

10510053

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PASSWORD:

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SESSION RESUMED IN FILE 'REGISTRY' AT 19:29:20 ON 11 SEP 2007  
FILE 'REGISTRY' ENTERED AT 19:29:20 ON 11 SEP 2007  
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COST IN U.S. DOLLARS

	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	2.40	282.07

	SINCE FILE	TOTAL
	ENTRY	SESSION
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)		
CA SUBSCRIBER PRICE	0.00	-14.04

=> FILE REG  
COST IN U.S. DOLLARS

	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	4.65	284.32

	SINCE FILE	TOTAL
	ENTRY	SESSION
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)		
CA SUBSCRIBER PRICE	0.00	-14.04

FILE 'REGISTRY' ENTERED AT 19:32:25 ON 11 SEP 2007  
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STRUCTURE FILE UPDATES: 10 SEP 2007 HIGHEST RN 946567-47-1  
DICTIONARY FILE UPDATES: 10 SEP 2007 HIGHEST RN 946567-47-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and  
predicted properties as well as tags indicating availability of  
experimental property data in the original document. For information  
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

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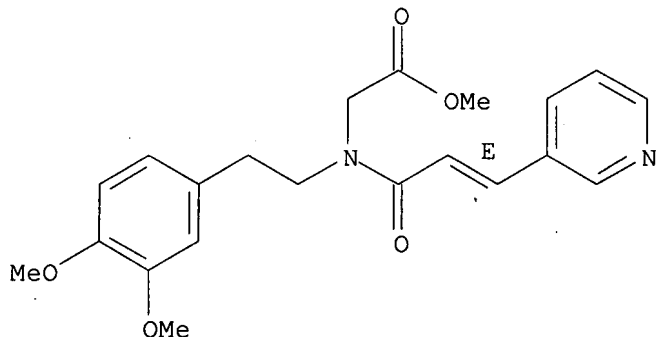
Updated Search

10510053

RN 637773-64-9 HCAPLUS

CN Glycine, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

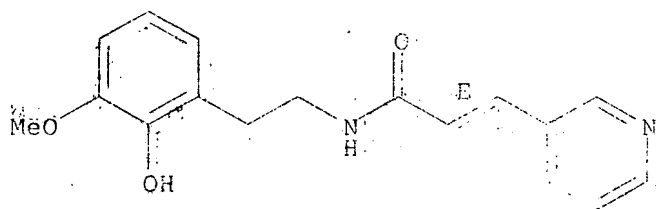


● HCl

RN 637773-65-0 HCAPLUS

CN 2-Propenamide, N-[2-(2-hydroxy-3-methoxyphenyl)ethyl]-3-(3-pyridinyl)-, (2E)- (HCl) (CA INDEX NAME)

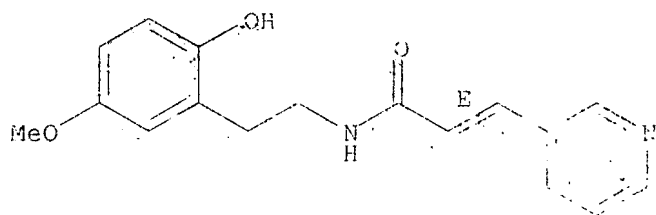
Double bond geometry as shown.



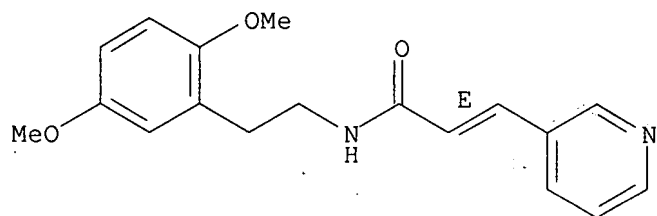
RN 637773-66-1 HCAPLUS

CN 2-Propenamide, N-[2-(2-hydroxy-5-methoxyphenyl)ethyl]-3-(3-pyridinyl)-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



10510053

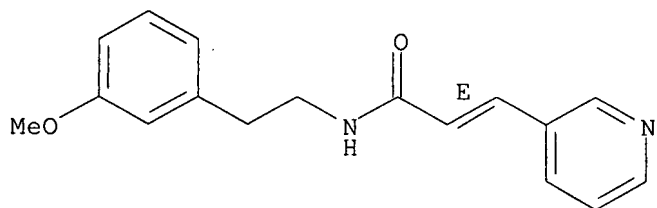


● HCl

RN 637773-61-6 HCAPLUS

CN 2-Propenamide, N-[2-(3-methoxyphenyl)ethyl]-3-(3-pyridinyl)-, (2E)- (9CI)  
(CA INDEX NAME)

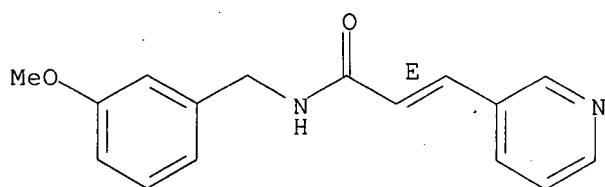
Double bond geometry as shown.



RN 637773-62-7 HCAPLUS

CN 2-Propenamide, N-[(3-methoxyphenyl)methyl]-3-(3-pyridinyl)-, (2E)- (9CI)  
(CA INDEX NAME)

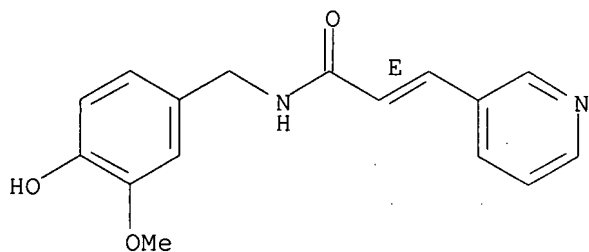
Double bond geometry as shown.



RN 637773-63-8 HCAPLUS

CN 2-Propenamide, N-[(4-hydroxy-3-methoxyphenyl)methyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



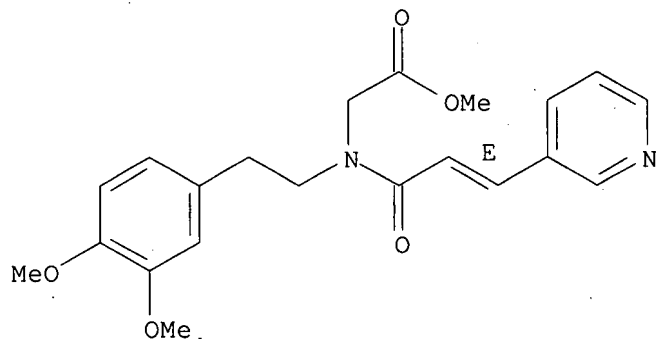
Updated Search

10510053

RN 637773-64-9 HCAPLUS

CN Glycine, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

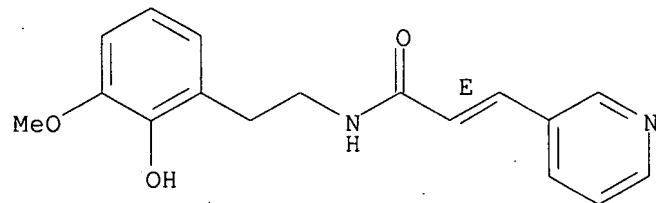


● HCl

RN 637773-65-0 HCAPLUS

CN 2-Propenamide, N-[2-(2-hydroxy-3-methoxyphenyl)ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

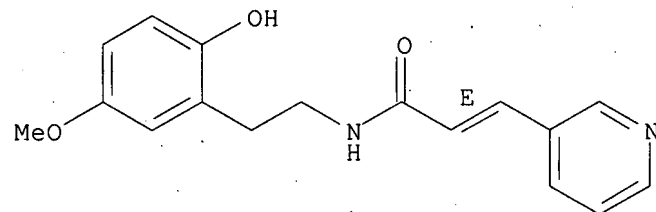
Double bond geometry as shown.



RN 637773-66-1 HCAPLUS

CN 2-Propenamide, N-[2-(2-hydroxy-5-methoxyphenyl)ethyl]-3-(3-pyridinyl)-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● HCl

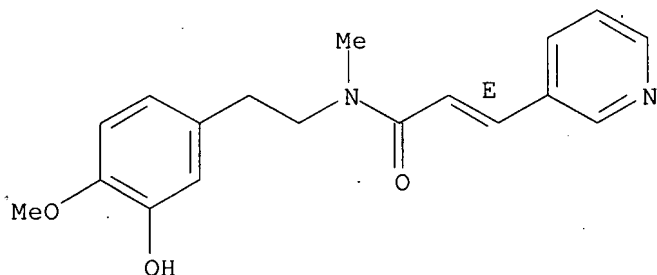
Updated Search

10510053

RN 637773-67-2 HCAPLUS

CN 2-Propenamide, N-[2-(3-hydroxy-4-methoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

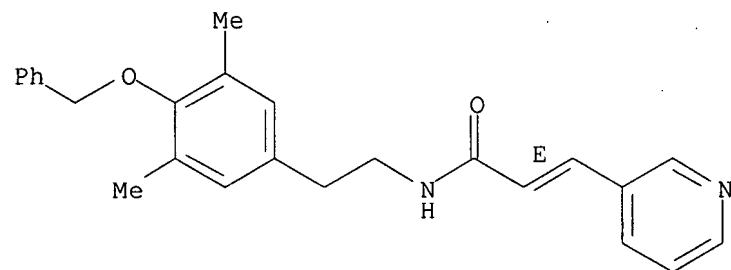


● HCl

RN 637773-68-3 HCAPLUS

CN 2-Propenamide, N-[2-[3,5-dimethyl-4-(phenylmethoxy)phenyl]ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

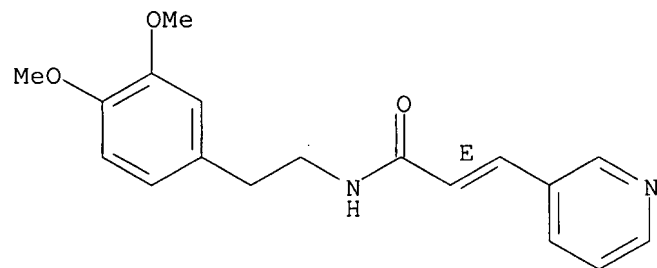
Double bond geometry as shown.



RN 637773-69-4 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



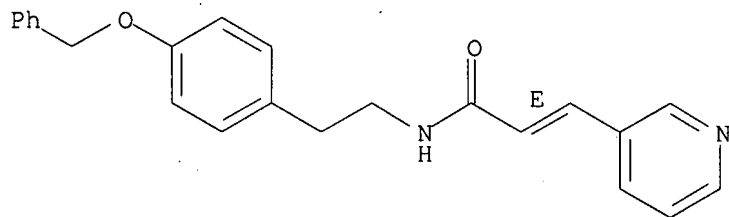
RN 637773-70-7 HCAPLUS

Updated Search

10510053

CN 2-Propenamide, N-[2-[4-(phenylmethoxy)phenyl]ethyl]-3-(3-pyridinyl)-,  
(2E)- (9CI) (CA INDEX NAME)

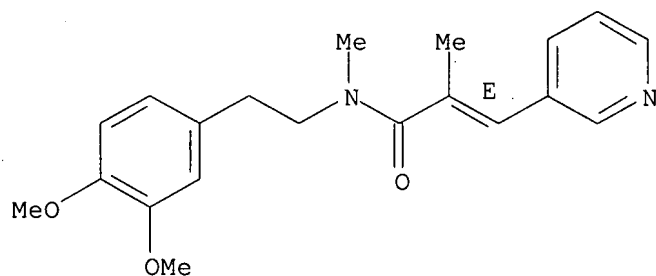
Double bond geometry as shown.



RN 637773-71-8 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N,2-dimethyl-3-(3-pyridinyl)-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

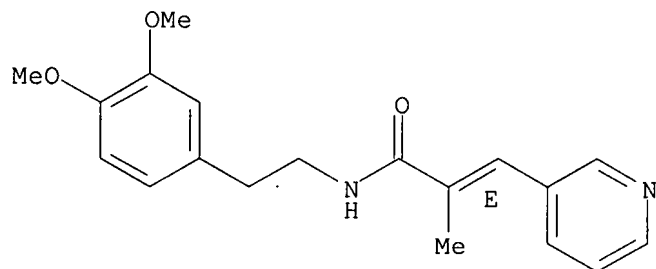


● HCl

RN 637773-72-9 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-2-methyl-3-(3-pyridinyl)-,  
(2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



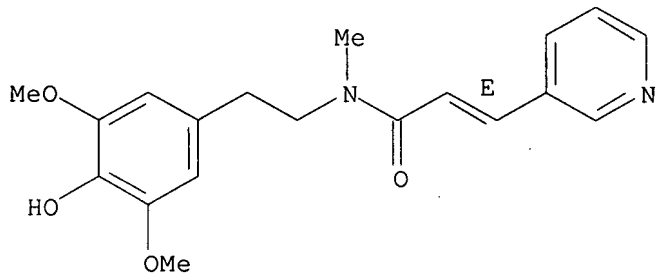
RN 637773-73-0 HCAPLUS

CN 2-Propenamide, N-[2-(4-hydroxy-3,5-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Updated Search

10510053

Double bond geometry as shown.

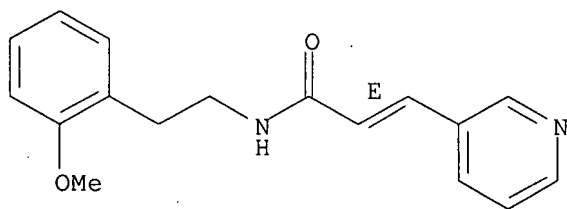


● HCl

RN 637773-74-1 HCAPLUS

CN 2-Propenamide, N-[2-(2-methoxyphenyl)ethyl]-3-(3-pyridinyl)-, (2E)- (9CI)  
(CA INDEX NAME)

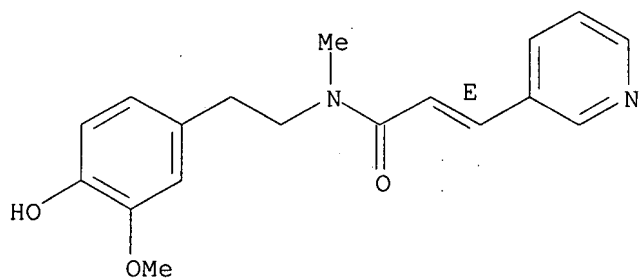
Double bond geometry as shown.



RN 637773-75-2 HCAPLUS

CN 2-Propenamide, N-[2-(4-hydroxy-3-methoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● HCl

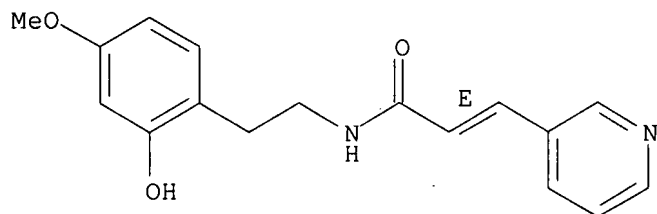
RN 637773-76-3 HCAPLUS

CN 2-Propenamide, N-[2-(2-hydroxy-4-methoxyphenyl)ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Updated Search

10510053

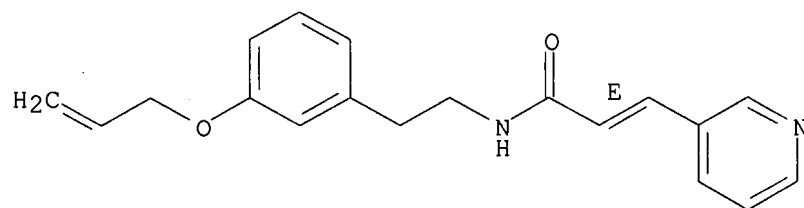
Double bond geometry as shown.



RN 637773-77-4 HCAPLUS

CN 2-Propenamide, N-[2-[3-(2-propenyloxy)phenyl]ethyl]-3-(3-pyridinyl)-,  
(2E)- (9CI) (CA INDEX NAME)

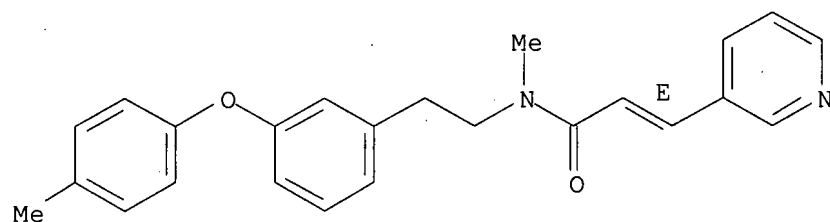
Double bond geometry as shown.



RN 637773-78-5 HCAPLUS

CN 2-Propenamide, N-methyl-N-[2-[3-(4-methylphenoxy)phenyl]ethyl]-3-(3-  
pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

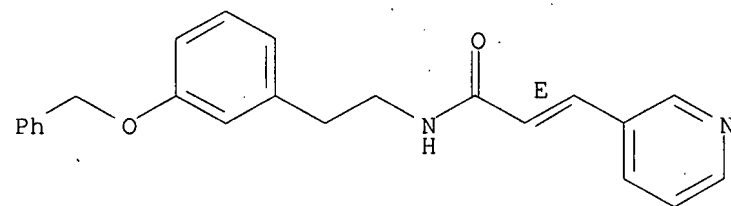
Double bond geometry as shown.



RN 637773-79-6 HCAPLUS

CN 2-Propenamide, N-[2-[3-(phenylmethoxy)phenyl]ethyl]-3-(3-pyridinyl)-,  
(2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 637773-80-9 HCAPLUS

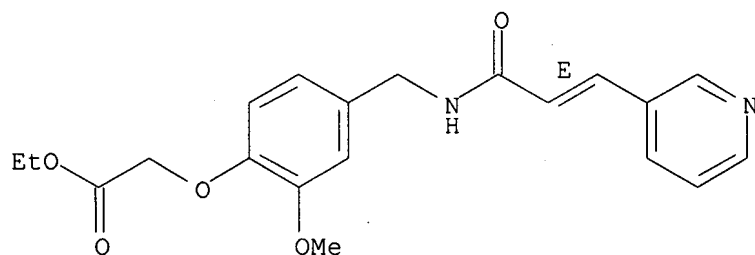
Updated Search



10510053

CN Acetic acid, [2-methoxy-4-[[[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]amino]methyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

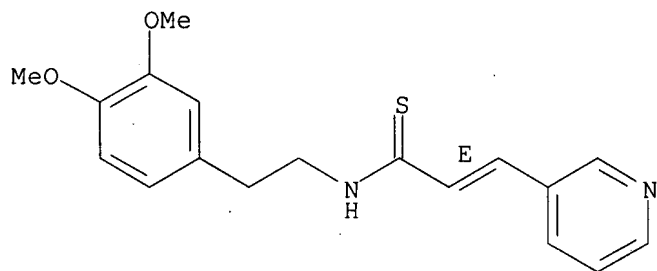
Double bond geometry as shown.



RN 637773-81-0 HCAPLUS

CN 2-Propenethioamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-3-(3-pyridinyl)-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

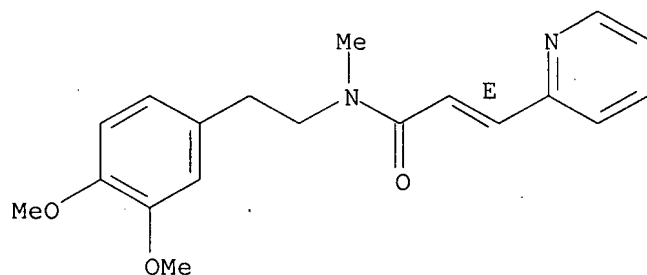


● HCl

RN 637773-84-3 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(2-pyridinyl)-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● HCl

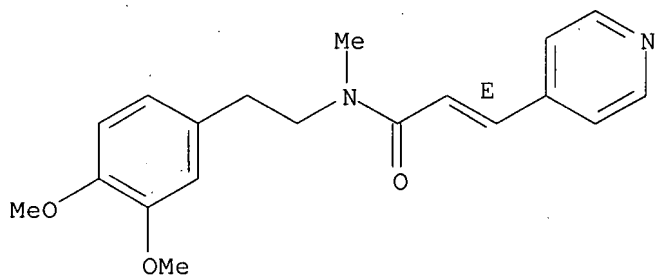
Updated Search

10510053

RN 637773-86-5 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(4-pyridinyl)-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

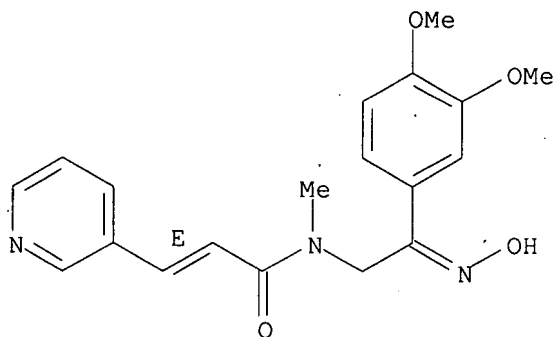


● HCl

RN 637773-89-8 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)-2-(hydroxyimino)ethyl]-N-methyl-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

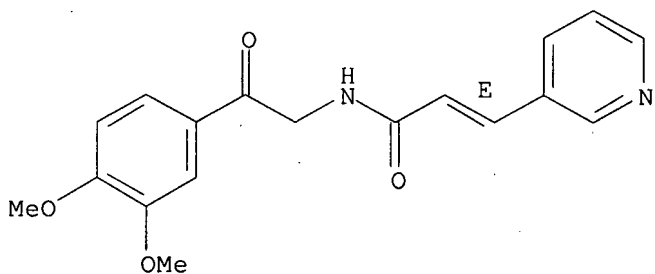
Double bond geometry as described by E or Z.



RN 637773-94-5 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)-2-oxoethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



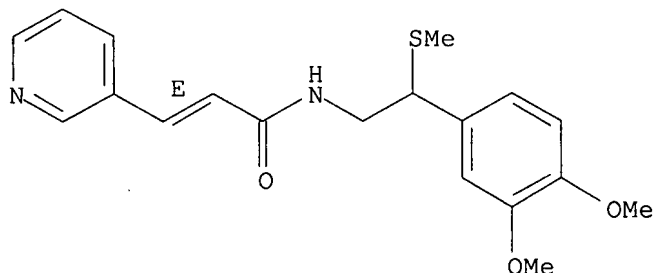
Updated Search

10510053

RN 637773-97-8 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)-2-(methylthio)ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



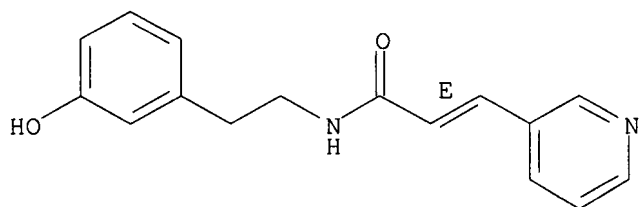
IT 219964-50-8P 219965-43-2P 637774-00-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(intermediate; preparation of pyridylacrylamides as phosphodiesterase IV inhibitors)

RN 219964-50-8 HCAPLUS

CN 2-Propenamide, N-[2-(3-hydroxyphenyl)ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

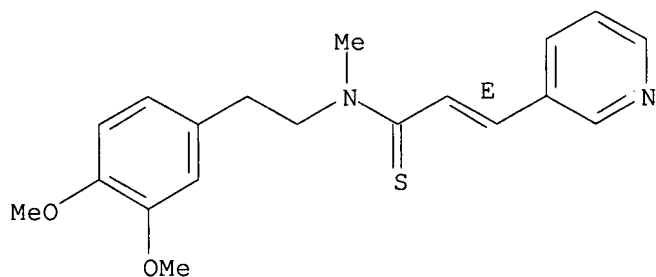
Double bond geometry as shown.



RN 219965-43-2 HCAPLUS

CN 2-Propenethioamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



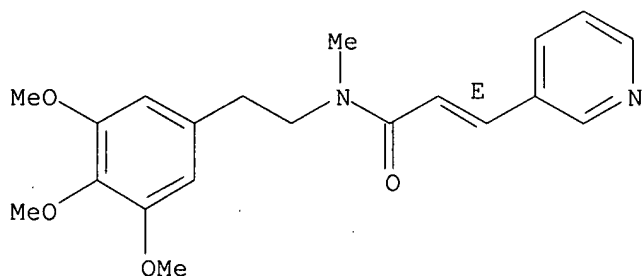
RN 637774-00-6 HCAPLUS

CN 2-Propenamide, N-methyl-3-(3-pyridinyl)-N-[2-(3,4,5-trimethoxyphenyl)ethyl]-, (2E)- (9CI) (CA INDEX NAME)

Updated Search

10510053

Double bond geometry as shown.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1999:96215 HCAPLUS

DOCUMENT NUMBER: 130:124997

TITLE: Preparation of pyridylacrylamide derivatives as TGF- $\beta$  inhibitors and therapeutic agents for nephritis

INVENTOR(S): Hasegawa, Yoshihiro; Shindou, Shouichirou; Hattori, Tomohisa; Yamazaki, Yousuke; Obata, Tatsuhiro; Horiuchi, Fumiko; Hayakawa, Hiroyuki; Kumazawa, Hiroaki

PATENT ASSIGNEE(S): Tsumura & Co., Japan

SOURCE: PCT Int. Appl., 104 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

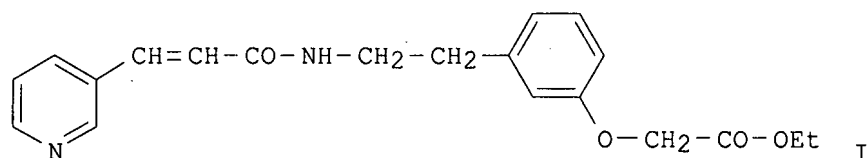
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

102b  
check

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9905109	A1	19990204	WO 1998-JP3312	19980724
W: AU, CA, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2298480	A1	19990204	CA 1998-2298480	19980724
AU 9883577	A	19990216	AU 1998-83577	19980724
AU 737018	B2	20010809		
EP 1000935	A1	20000517	EP 1998-933924	19980724
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6313153	B1	20011106	US 2000-463511	20000121
PRIORITY APPLN. INFO.:			JP 1997-200169	A 19970725
			JP 1997-288083	A 19971021
			WO 1998-JP3312	W 19980724
OTHER SOURCE(S):	MARPAT 130:124997			
GI				

Updated Search



AB The title compds. Ar1C(R1):C(R2)C(:X)N(R3)(CH2)<sup>n-1</sup>C(A)(B)Ar2 [Ar1 is (substituted) pyridyl; Ar2 is (substituted) phenyl; R1 is H, alkyl or aryl; R2 is H, alkyl, cyano or alkoxycarbonyl; R3 is H or (substituted) alkyl; X is O or S; A and B are each H, OH, alkoxy or alkylthio, or alternatively they together form oxo, thioxo, NY (wherein Y is dialkylamino, OH, aralkyloxy or alkoxy) or Z1MZ2 (wherein Z1 and Z2 are each O, S or optionally alkyl-substituted imino; and M is alkylene or phenylene), or B may be 1-alkylimidazol-2-yl with A being OH; and n is an integer of 1 to 3] are prepared The title compound I at 2 mg/kg in mice gave significant inhibition of TGF-β1 production

IT 219963-66-3P 219963-67-4P 219963-68-5P  
 219963-69-6P 219963-70-9P 219963-71-0P  
 219963-72-1P 219963-73-2P 219963-74-3P  
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10510053

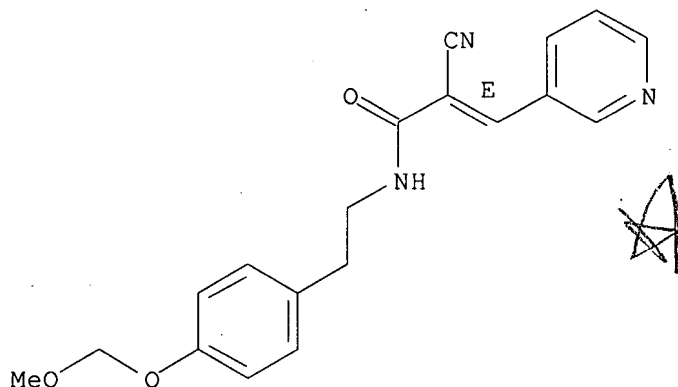
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219965-36-3P 219965-37-4P 219965-38-5P  
219965-39-6P 219965-40-9P 219965-41-0P  
219965-42-1P 219965-43-2P 219965-44-3P  
219965-46-5P 219965-48-7P 219965-49-8P  
219965-50-1P 219965-51-2P 219965-52-3P  
219965-53-4P 219965-54-5P 219965-55-6P  
219965-56-7P 219965-57-8P 219965-58-9P  
219965-59-0P 219965-60-3P 219965-61-4P  
219965-62-5P 219965-63-6P 219965-64-7P  
219965-65-8P 219965-66-9P 219965-67-0P  
219965-68-1P 219965-69-2P 219965-70-5P  
219965-71-6P 219965-72-7P 219965-73-8P  
219965-74-9P 219965-75-0P 219965-76-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of pyridylacrylamide derivs. as TGF- $\beta$  inhibitors and therapeutic agents for nephritis)

RN 219963-66-3 HCAPLUS

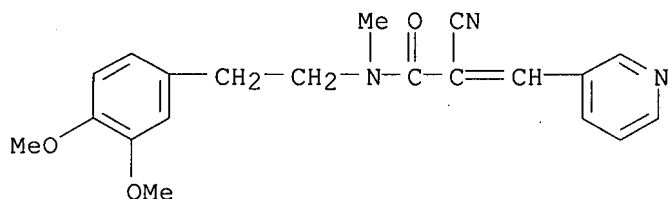
CN 2-Propenamide, 2-cyano-N-[2-[4-(methoxymethoxy)phenyl]ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 219963-67-4 HCAPLUS

CN 2-Propenamide, 2-cyano-N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



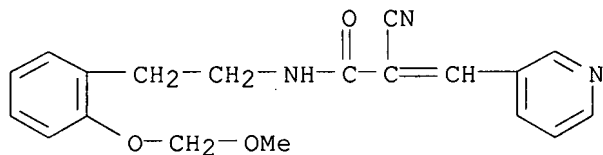
● HCl

Updated Search

10510053

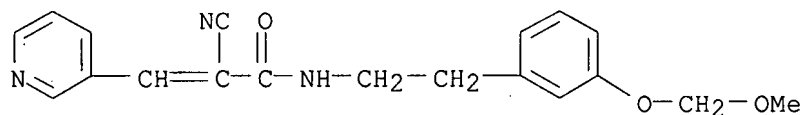
RN 219963-68-5 HCAPLUS

CN 2-Propenamide, 2-cyano-N-[2-[2-(methoxymethoxy)phenyl]ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



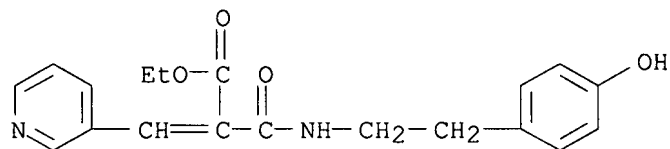
RN 219963-69-6 HCAPLUS

CN 2-Propenamide, 2-cyano-N-[2-[3-(methoxymethoxy)phenyl]ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 219963-70-9 HCAPLUS

CN 2-Propenoic acid, 2-[[[2-(4-hydroxyphenyl)ethyl]amino]carbonyl]-3-(3-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)



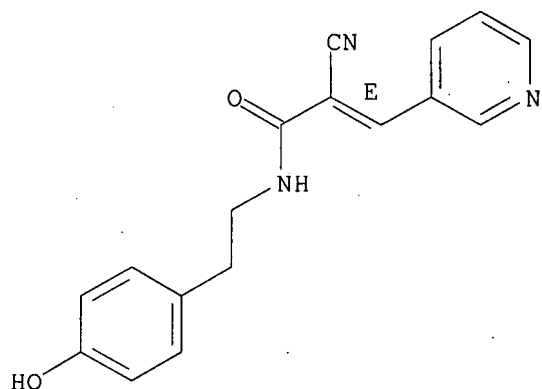
RN 219963-71-0 HCAPLUS

CN 2-Propenamide, 2-cyano-N-[2-(4-hydroxyphenyl)ethyl]-3-(3-pyridinyl)-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Updated Search

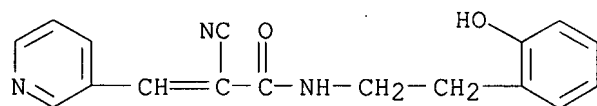
10510053



● HCl

RN 219963-72-1 HCAPLUS

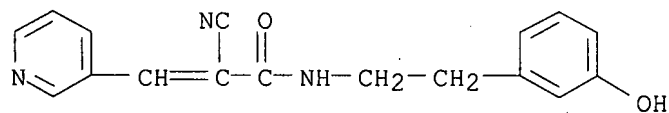
CN 2-Propenamide, 2-cyano-N-[2-(2-hydroxyphenyl)ethyl]-3-(3-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 219963-73-2 HCAPLUS

CN 2-Propenamide, 2-cyano-N-[2-(3-hydroxyphenyl)ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 219963-74-3 HCAPLUS

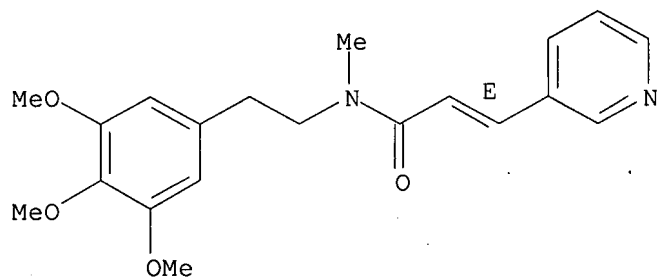
CN 2-Propenamide, N-methyl-3-(3-pyridinyl)-N-[2-(3,4,5-trimethoxyphenyl)ethyl]-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Updated Search

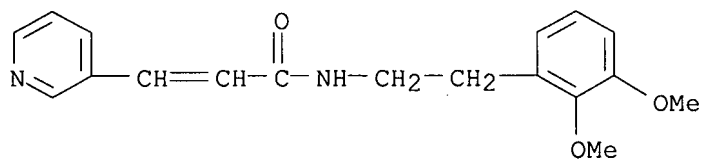


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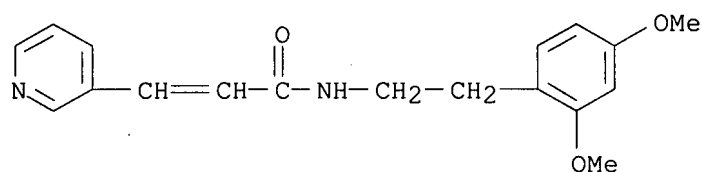
● HCl

RN 219963-75-4 HCAPLUS  
CN 2-Propenamide, N-[2-(2,3-dimethoxyphenyl)ethyl]-3-(3-pyridinyl)-,  
monohydrochloride (9CI) (CA INDEX NAME)

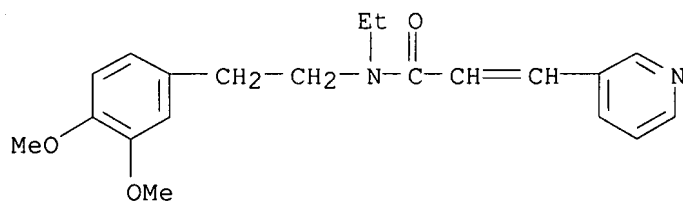


● HCl

RN 219963-76-5 HCAPLUS  
CN 2-Propenamide, N-[2-(2,4-dimethoxyphenyl)ethyl]-3-(3-pyridinyl)- (9CI)  
(CA INDEX NAME)



RN 219963-77-6 HCAPLUS  
CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-ethyl-3-(3-pyridinyl)-  
(9CI) (CA INDEX NAME)

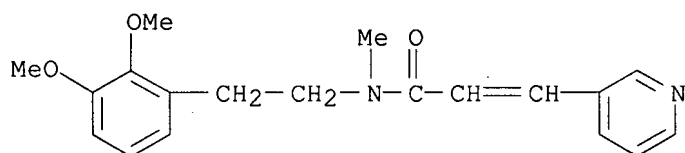


Updated Search

10510053

RN 219963-78-7 HCAPLUS

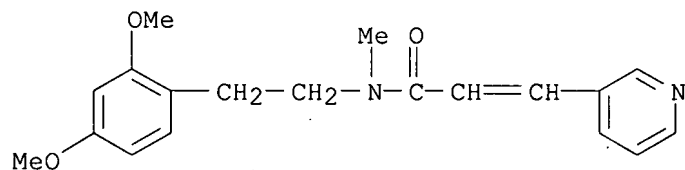
CN 2-Propenamide, N-[2-(2,3-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-,  
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

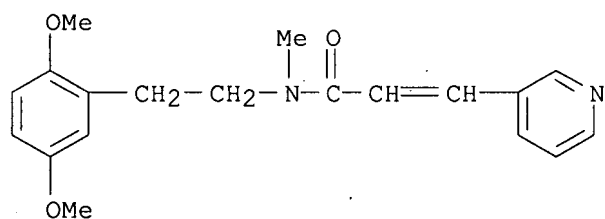
RN 219963-79-8 HCAPLUS

CN 2-Propenamide, N-[2-(2,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-  
(9CI) (CA INDEX NAME)



RN 219963-80-1 HCAPLUS

CN 2-Propenamide, N-[2-(2,5-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-,  
monohydrochloride (9CI) (CA INDEX NAME)

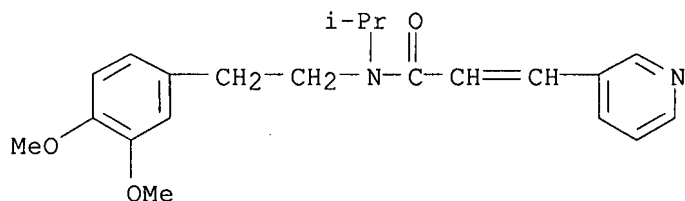


● HCl

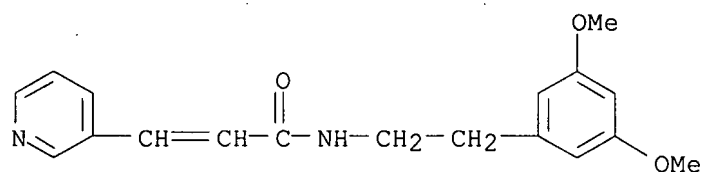
RN 219963-81-2 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-(1-methylethyl)-3-(3-  
pyridinyl)- (9CI) (CA INDEX NAME)

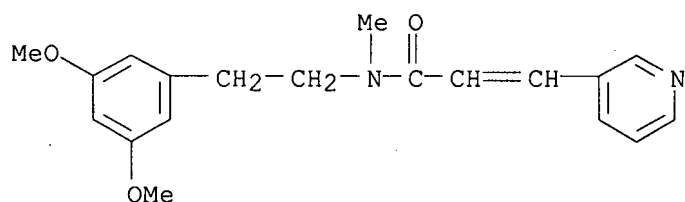
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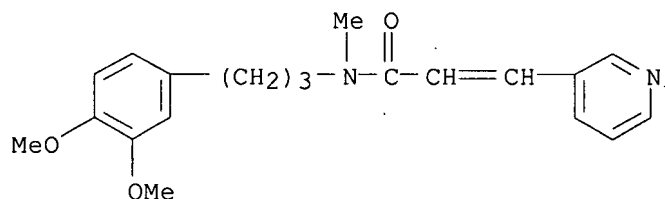
RN 219963-82-3 HCAPLUS  
CN 2-Propenamide, N-[2-(3,5-dimethoxyphenyl)ethyl]-3-(3-pyridinyl)- (9CI)  
(CA INDEX NAME)



RN 219963-83-4 HCAPLUS  
CN 2-Propenamide, N-[2-(3,5-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-  
(9CI) (CA INDEX NAME)



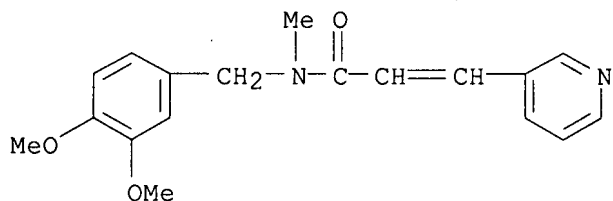
RN 219963-84-5 HCAPLUS  
CN 2-Propenamide, N-[3-(3,4-dimethoxyphenyl)propyl]-N-methyl-3-(3-pyridinyl)-  
(9CI) (CA INDEX NAME)



RN 219963-85-6 HCAPLUS  
CN 2-Propenamide, N-[(3,4-dimethoxyphenyl)methyl]-N-methyl-3-(3-pyridinyl)-,  
monohydrochloride (9CI) (CA INDEX NAME)

Updated Search

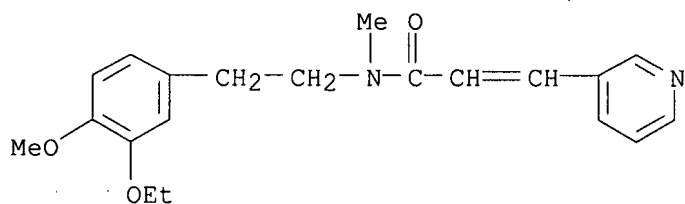
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● HCl

RN 219963-86-7 HCAPLUS

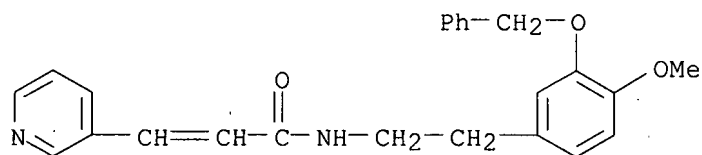
CN 2-Propenamide, N-[2-(3-ethoxy-4-methoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

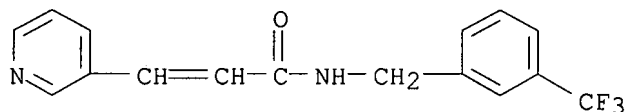
RN 219963-87-8 HCAPLUS

CN 2-Propenamide, N-[2-(4-methoxy-3-(phenylmethoxy)phenyl)ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 219963-88-9 HCAPLUS

CN 2-Propenamide, 3-(3-pyridinyl)-N-[[3-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

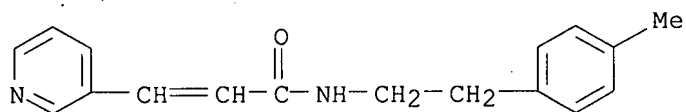


RN 219963-89-0 HCAPLUS

CN 2-Propenamide, N-[2-(4-methylphenyl)ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

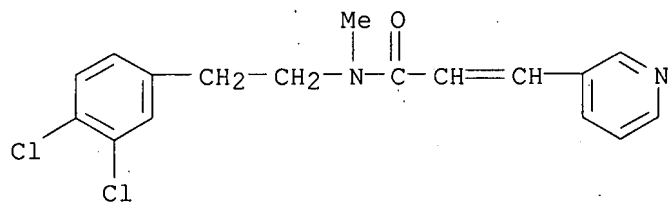
Updated Search

10510053



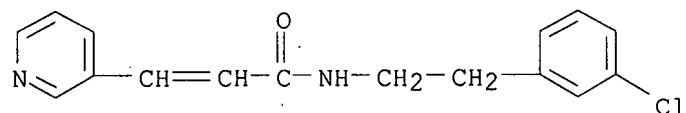
RN 219963-90-3 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dichlorophenyl)ethyl]-N-methyl-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



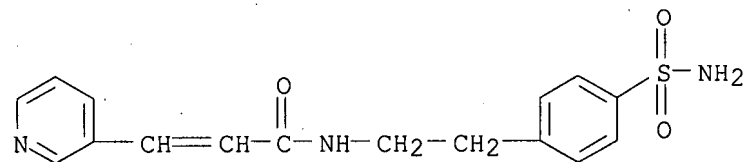
RN 219963-91-4 HCAPLUS

CN 2-Propenamide, N-[2-(3-chlorophenyl)ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



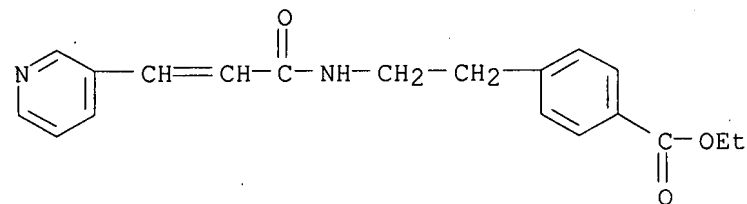
RN 219963-92-5 HCAPLUS

CN 2-Propenamide, N-[2-[4-(aminosulfonyl)phenyl]ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 219963-93-6 HCAPLUS

CN Benzoic acid, 4-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]-, ethyl ester (9CI) (CA INDEX NAME)

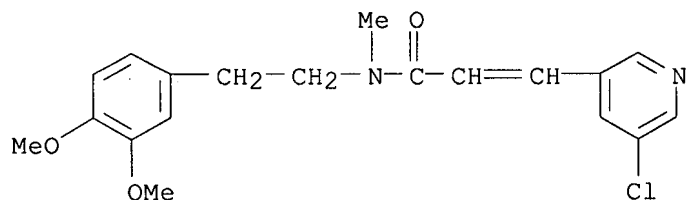


Updated Search

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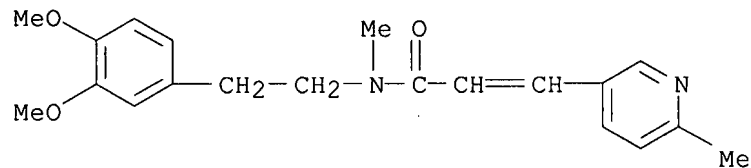
RN 219963-94-7 HCAPLUS

CN 2-Propenamide, 3-(5-chloro-3-pyridinyl)-N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl- (9CI) (CA INDEX NAME)



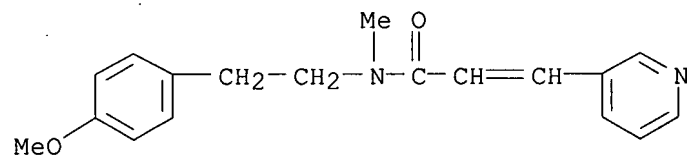
RN 219963-95-8 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(6-methyl-3-pyridinyl)- (9CI) (CA INDEX NAME)



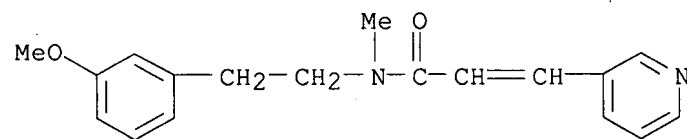
RN 219963-96-9 HCAPLUS

CN 2-Propenamide, N-[2-(4-methoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 219963-97-0 HCAPLUS

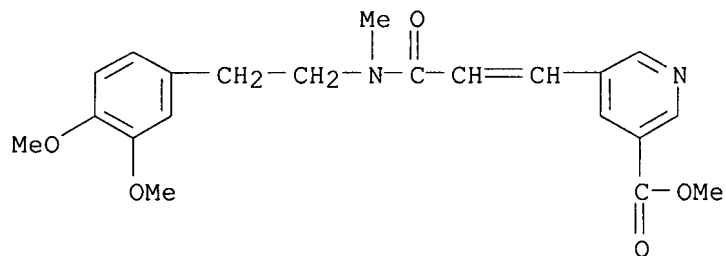
CN 2-Propenamide, N-[2-(3-methoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 219963-98-1 HCAPLUS

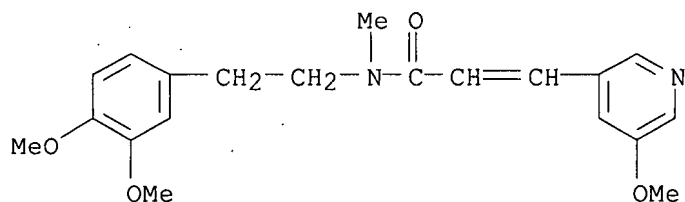
CN 3-Pyridinecarboxylic acid, 5-[3-[[2-(3,4-dimethoxyphenyl)ethyl]methylamino]-3-oxo-1-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

10510053



RN 219963-99-2 HCAPLUS

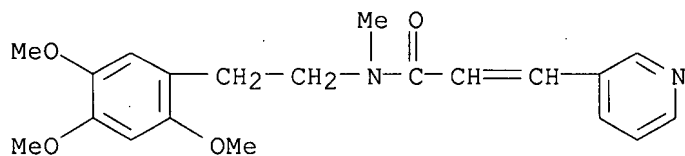
CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-3-(5-methoxy-3-pyridinyl)-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

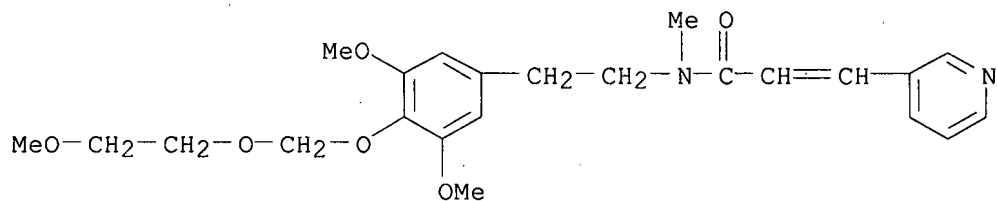
RN 219964-00-8 HCAPLUS

CN 2-Propenamide, N-methyl-3-(3-pyridinyl)-N-[2-(2,4,5-trimethoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)



RN 219964-01-9 HCAPLUS

CN 2-Propenamide, N-[2-[3,5-dimethoxy-4-[(2-methoxyethoxy)methoxy]phenyl]ethyl]-N-methyl-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

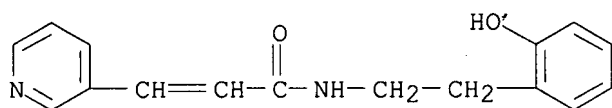


RN 219964-03-1 HCAPLUS

CN 2-Propenamide, N-[2-(2-hydroxyphenyl)ethyl]-3-(3-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

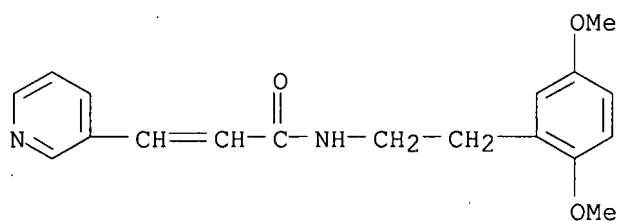
Updated Search

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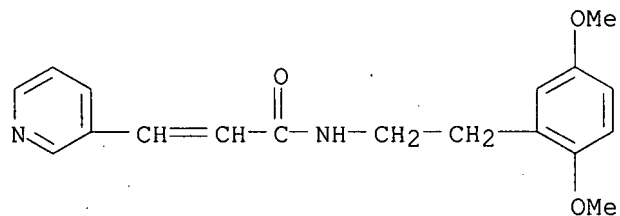


● HCl

RN 219964-04-2 HCAPLUS  
CN 2-Propenamide, N-[2-(2,5-dimethoxyphenyl)ethyl]-3-(3-pyridinyl)- (9CI)  
(CA INDEX NAME)

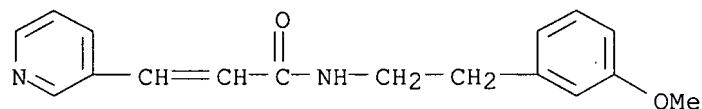


RN 219964-05-3 HCAPLUS  
CN 2-Propenamide, N-[2-(2,5-dimethoxyphenyl)ethyl]-3-(3-pyridinyl)-,  
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 219964-06-4 HCAPLUS  
CN 2-Propenamide, N-[2-(3-methoxyphenyl)ethyl]-3-(3-pyridinyl)- (9CI) (CA  
INDEX NAME)

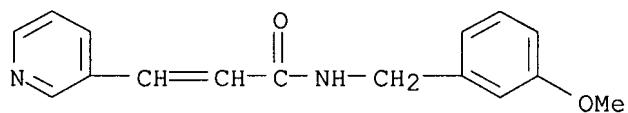


RN 219964-07-5 HCAPLUS  
CN 2-Propenamide, N-[(3-methoxyphenyl)methyl]-3-(3-pyridinyl)- (9CI) (CA  
INDEX NAME)

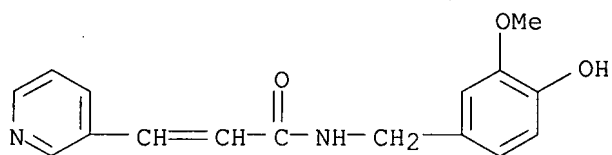
Updated Search



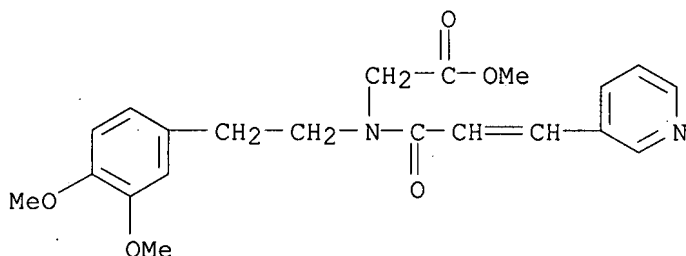
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RN 219964-08-6 HCAPLUS  
CN 2-Propenamide, N-[(4-hydroxy-3-methoxyphenyl)methyl]-3-(3-pyridinyl)-  
(9CI) (CA INDEX NAME)

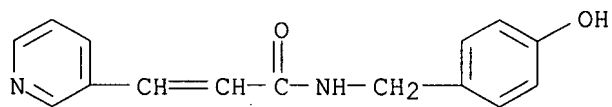


RN 219964-09-7 HCAPLUS  
CN Glycine, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-[1-oxo-3-(3-pyridinyl)-2-propenyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

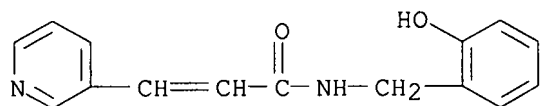
RN 219964-10-0 HCAPLUS  
CN 2-Propenamide, N-[(4-hydroxyphenyl)methyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 219964-11-1 HCAPLUS  
CN 2-Propenamide, N-[(2-hydroxyphenyl)methyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

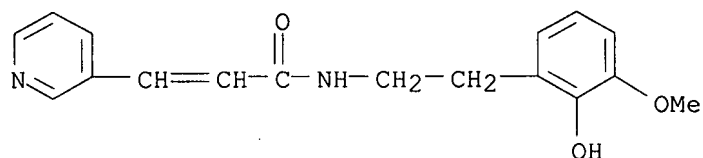
Updated Search

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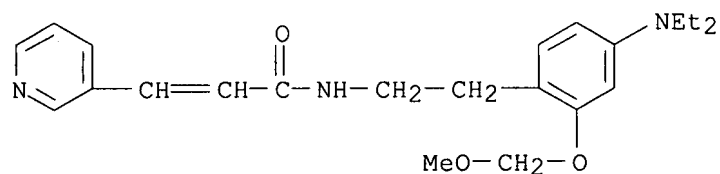
RN 219964-12-2 HCAPLUS

CN 2-Propenamide, N-[2-(2-hydroxy-3-methoxyphenyl)ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



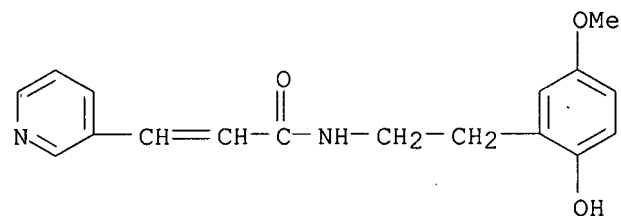
RN 219964-13-3 HCAPLUS

CN 2-Propenamide, N-[2-[4-(diethylamino)-2-(methoxymethoxy)phenyl]ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 219964-14-4 HCAPLUS

CN 2-Propenamide, N-[2-(2-hydroxy-5-methoxyphenyl)ethyl]-3-(3-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



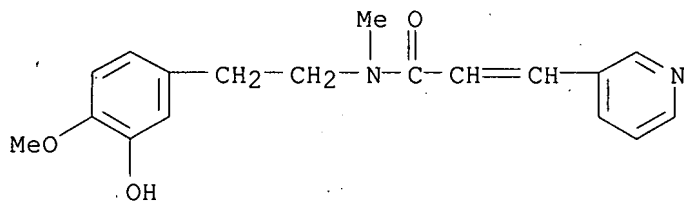
● HCl

RN 219964-15-5 HCAPLUS

CN 2-Propenamide, N-[2-(3-hydroxy-4-methoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Updated Search

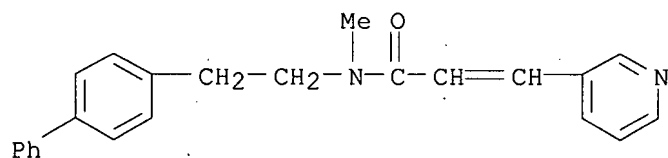
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● HCl

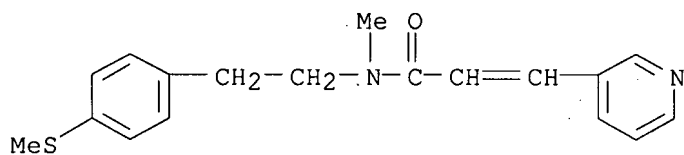
RN 219964-16-6 HCAPLUS

CN 2-Propenamide, N-(2-[1,1'-biphenyl]-4-ylethyl)-N-methyl-3-(3-pyridinyl)-  
(9CI) (CA INDEX NAME)



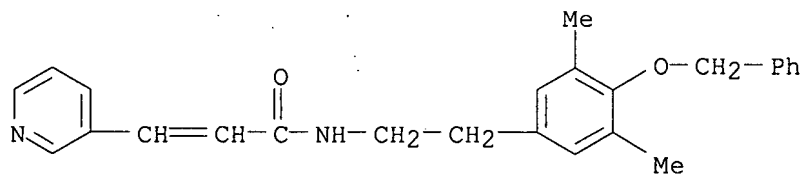
RN 219964-17-7 HCAPLUS

CN 2-Propenamide, N-methyl-N-(2-[4-(methylthio)phenyl]ethyl)-3-(3-pyridinyl)-  
(9CI) (CA INDEX NAME)



RN 219964-18-8 HCAPLUS

CN 2-Propenamide, N-[2-[3,5-dimethyl-4-(phenylmethoxy)phenyl]ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

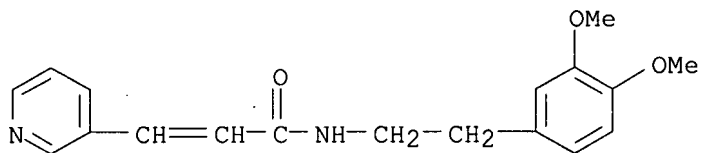


RN 219964-19-9 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-3-(3-pyridinyl)- (9CI)  
(CA INDEX NAME)

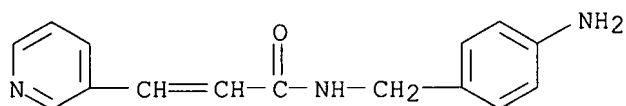
Updated Search

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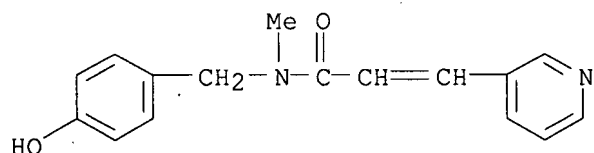
RN 219964-20-2 HCAPLUS

CN 2-Propenamide, N-[(4-aminophenyl)methyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



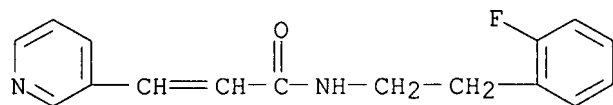
RN 219964-21-3 HCAPLUS

CN 2-Propenamide, N-[(4-hydroxyphenyl)methyl]-N-methyl-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



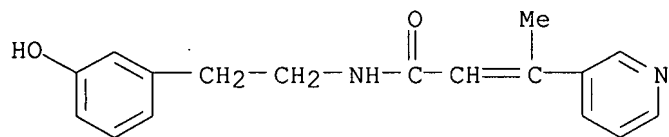
RN 219964-22-4 HCAPLUS

CN 2-Propenamide, N-[2-(2-fluorophenyl)ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 219964-24-6 HCAPLUS

CN 2-Butenamide, N-[2-(3-hydroxyphenyl)ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

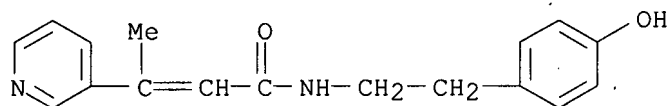


RN 219964-26-8 HCAPLUS

CN 2-Butenamide, N-[2-(4-hydroxyphenyl)ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

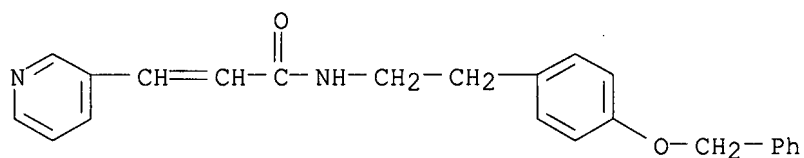
Updated Search

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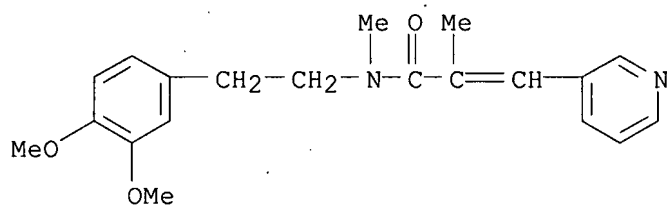
RN 219964-28-0 HCAPLUS

CN 2-Propenamide, N-[2-[4-(phenylmethoxy)phenyl]ethyl]-3-(3-pyridinyl)- (9CI)  
(CA INDEX NAME)



RN 219964-30-4 HCAPLUS

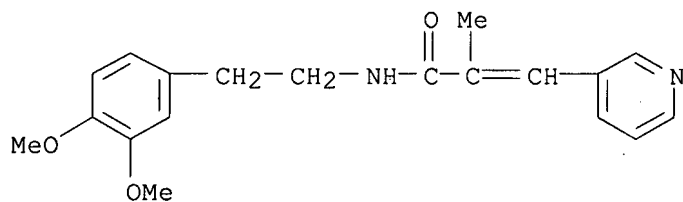
CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N,2-dimethyl-3-(3-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 219964-32-6 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-2-methyl-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

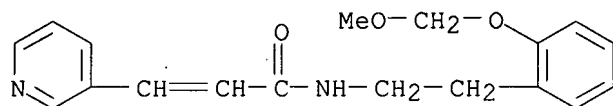


RN 219964-33-7 HCAPLUS

CN 2-Propenamide, N-[2-[2-(methoxymethoxy)phenyl]ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

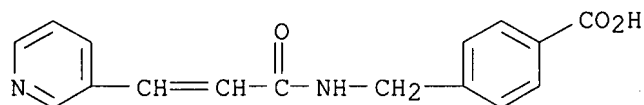
Updated Search

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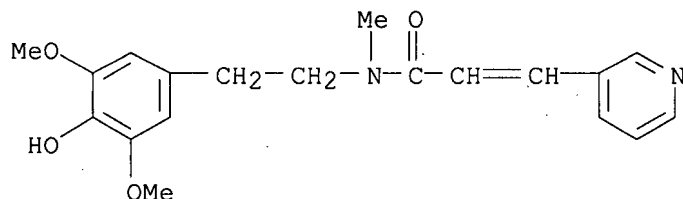
RN 219964-34-8 HCAPLUS

CN Benzoic acid, 4-[[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]methyl]- (9CI)  
(CA INDEX NAME)



RN 219964-35-9 HCAPLUS

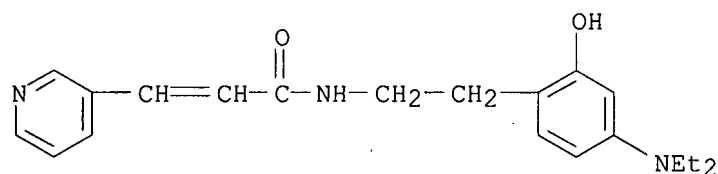
CN 2-Propenamide, N-[2-(4-hydroxy-3,5-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

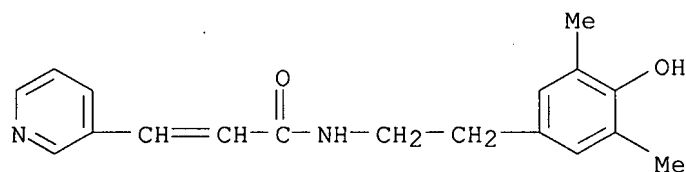
RN 219964-36-0 HCAPLUS

CN 2-Propenamide, N-[2-[4-(diethylamino)-2-hydroxyphenyl]ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 219964-37-1 HCAPLUS

CN 2-Propenamide, N-[2-(4-hydroxy-3,5-dimethylphenyl)ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



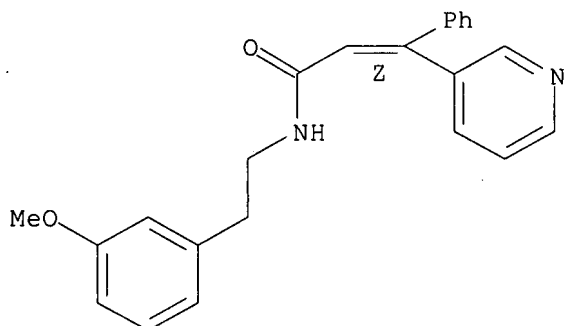
Updated Search

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RN 219964-38-2 HCAPLUS

CN 2-Propenamide, N-[2-(3-methoxyphenyl)ethyl]-3-phenyl-3-(3-pyridinyl)-,  
(2Z)- (9CI) (CA INDEX NAME)

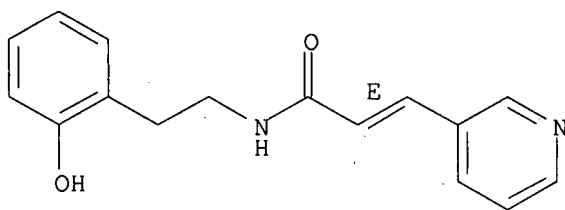
Double bond geometry as shown.



RN 219964-39-3 HCAPLUS

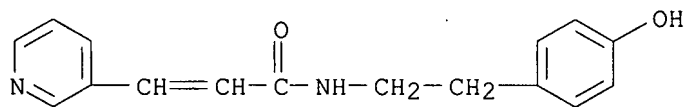
CN 2-Propenamide, N-[2-(2-hydroxyphenyl)ethyl]-3-(3-pyridinyl)-, (2E)- (9CI)  
(CA INDEX NAME)

Double bond geometry as shown.



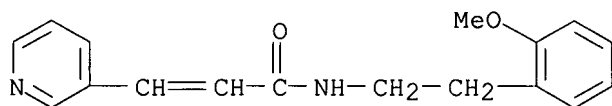
RN 219964-40-6 HCAPLUS

CN 2-Propenamide, N-[2-(4-hydroxyphenyl)ethyl]-3-(3-pyridinyl)- (9CI) (CA  
INDEX NAME)



RN 219964-41-7 HCAPLUS

CN 2-Propenamide, N-[2-(2-methoxyphenyl)ethyl]-3-(3-pyridinyl)- (9CI) (CA  
INDEX NAME)



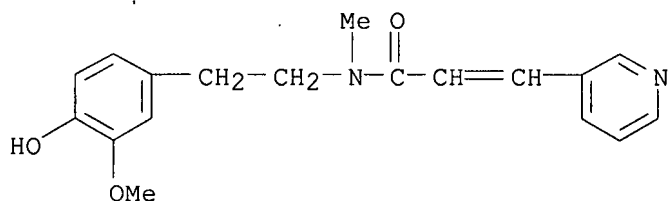
RN 219964-42-8 HCAPLUS

CN 2-Propenamide, N-[2-(4-hydroxy-3-methoxyphenyl)ethyl]-N-methyl-3-(3-

Updated Search

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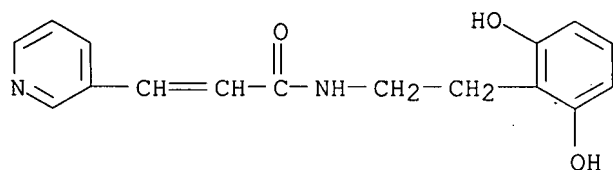
pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 219964-43-9 HCAPLUS

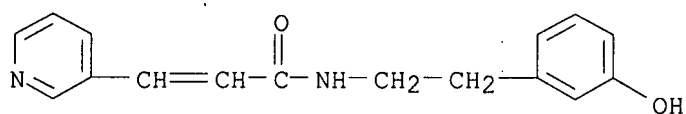
CN 2-Propenamide, N-[2-(2,6-dihydroxyphenyl)ethyl]-3-(3-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 219964-44-0 HCAPLUS

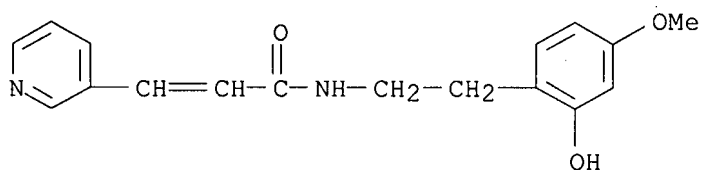
CN 2-Propenamide, N-[2-(3-hydroxyphenyl)ethyl]-3-(3-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 219964-45-1 HCAPLUS

CN 2-Propenamide, N-[2-(2-hydroxy-4-methoxyphenyl)ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



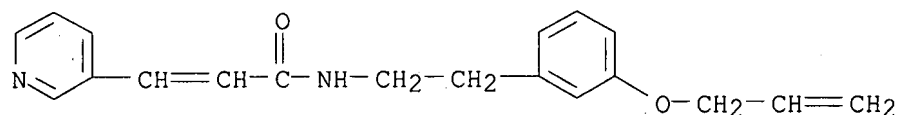
Updated Search



10510053

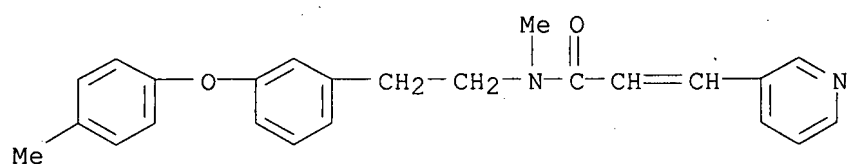
RN 219964-46-2 HCAPLUS

CN 2-Propenamide, N-[2-[3-(2-propenyloxy)phenyl]ethyl]-3-(3-pyridinyl)- (9CI)  
(CA INDEX NAME)



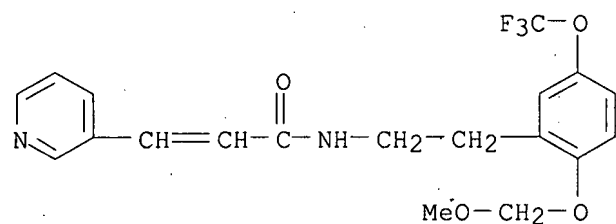
RN 219964-47-3 HCAPLUS

CN 2-Propenamide, N-methyl-N-[2-[3-(4-methylphenoxy)phenyl]ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



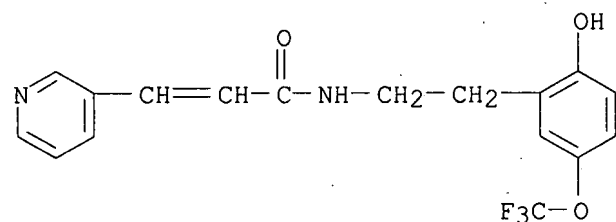
RN 219964-48-4 HCAPLUS

CN 2-Propenamide, N-[2-[2-(methoxymethoxy)-5-(trifluoromethoxy)phenyl]ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 219964-49-5 HCAPLUS

CN 2-Propenamide, N-[2-[2-hydroxy-5-(trifluoromethoxy)phenyl]ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



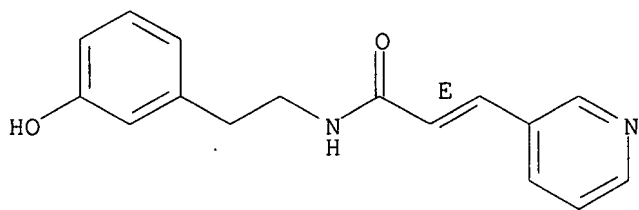
RN 219964-50-8 HCAPLUS

CN 2-Propenamide, N-[2-(3-hydroxyphenyl)ethyl]-3-(3-pyridinyl)-, (2E)- (9CI)  
(CA INDEX NAME)

Double bond geometry as shown.

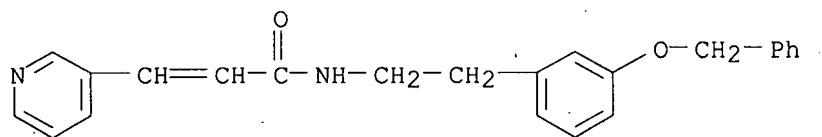
Updated Search

10510053



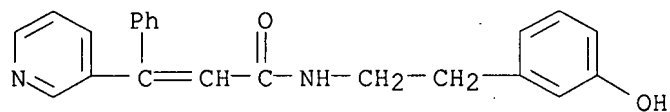
RN 219964-51-9 HCAPLUS

CN 2-Propenamide, N-[2-(3-(phenylmethoxy)phenyl)ethyl]-3-(3-pyridinyl)- (9CI)  
(CA INDEX NAME)



RN 219964-52-0 HCAPLUS

CN 2-Propenamide, N-[2-(3-hydroxyphenyl)ethyl]-3-phenyl-3-(3-pyridinyl)-,  
monohydrochloride (9CI) (CA INDEX NAME)

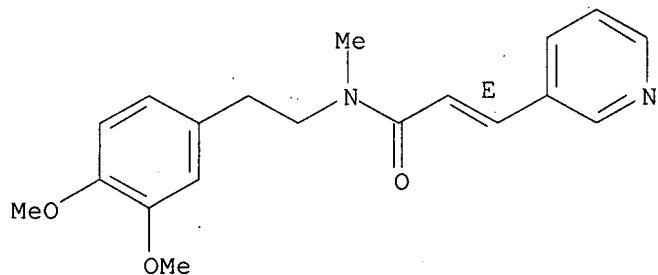


● HCl

RN 219964-53-1 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-,  
(2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



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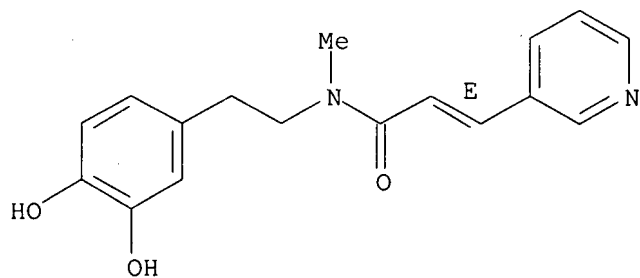
RN 219964-54-2 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dihydroxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-,  
(2E)- (9CI) (CA INDEX NAME)

Updated Search

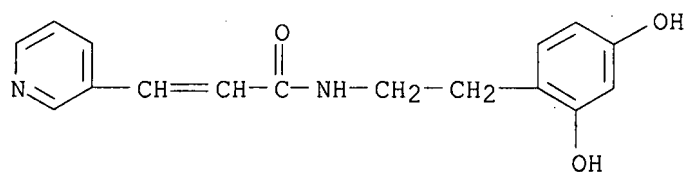
10510053

Double bond geometry as shown.



RN 219964-56-4 HCAPLUS

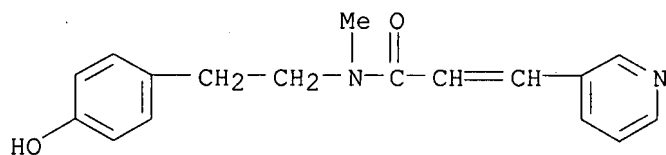
CN 2-Propenamide, N-[2-(2,4-dihydroxyphenyl)ethyl]-3-(3-pyridinyl)-,  
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

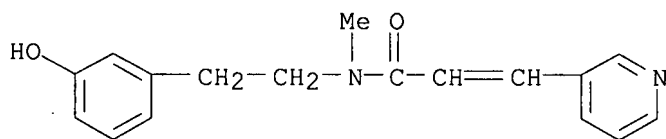
RN 219964-58-6 HCAPLUS

CN 2-Propenamide, N-[2-(4-hydroxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-  
(9CI) (CA INDEX NAME)



RN 219964-60-0 HCAPLUS

CN 2-Propenamide, N-[2-(3-hydroxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-  
(9CI) (CA INDEX NAME)

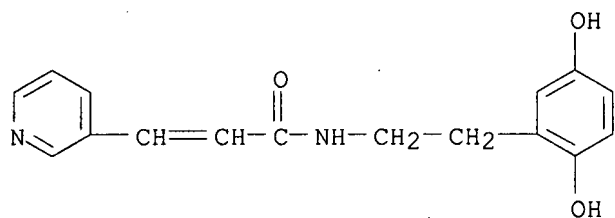


RN 219964-62-2 HCAPLUS

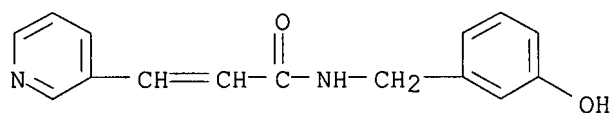
CN 2-Propenamide, N-[2-(2,5-dihydroxyphenyl)ethyl]-3-(3-pyridinyl)- (9CI)  
(CA INDEX NAME)

Updated Search

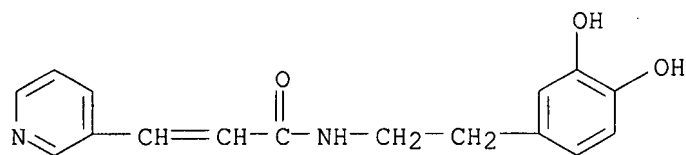
10510053



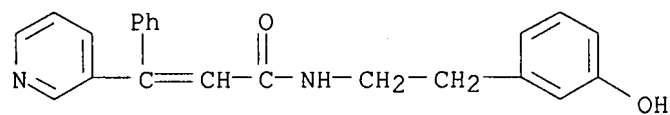
RN 219964-64-4 HCAPLUS  
CN 2-Propenamide, N-[(3-hydroxyphenyl)methyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 219964-66-6 HCAPLUS  
CN 2-Propenamide, N-[2-(3,4-dihydroxyphenyl)ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

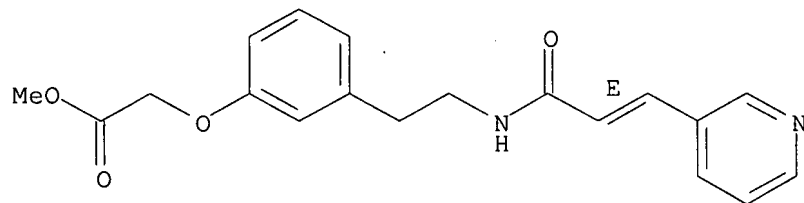


RN 219964-67-7 HCAPLUS  
CN 2-Propenamide, N-[2-(3-hydroxyphenyl)ethyl]-3-phenyl-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 219964-68-8 HCAPLUS  
CN Acetic acid, [3-[2-[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

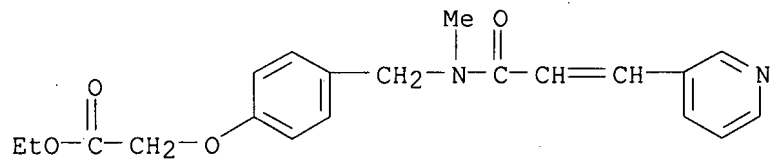


Updated Search

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RN 219964-69-9 HCAPLUS

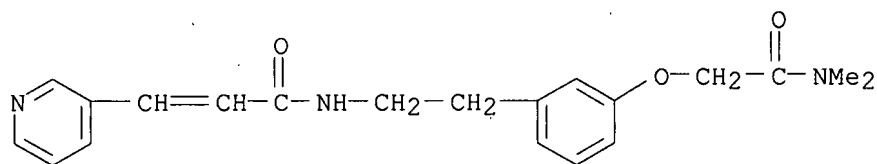
CN Acetic acid, [4-[[methyl[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]methyl]phenoxy]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 219964-71-3 HCAPLUS

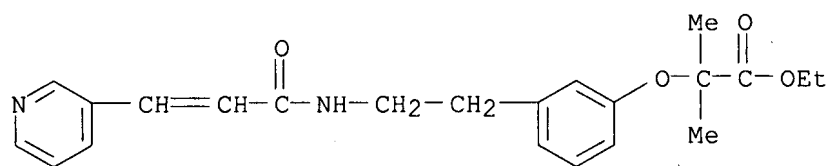
CN 2-Propenamide, N-[2-[3-[2-(dimethylamino)-2-oxoethoxy]phenyl]ethyl]-3-(3-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 219964-73-5 HCAPLUS

CN Propanoic acid, 2-methyl-2-[3-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

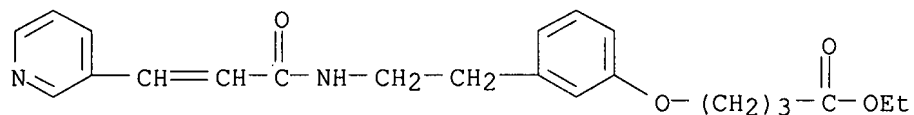


● HCl

RN 219964-75-7 HCAPLUS

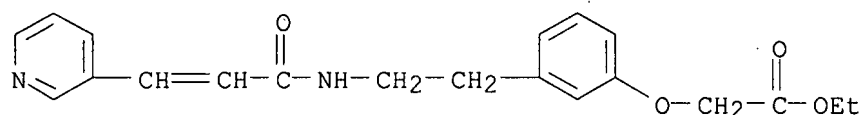
CN Butanoic acid, 4-[3-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

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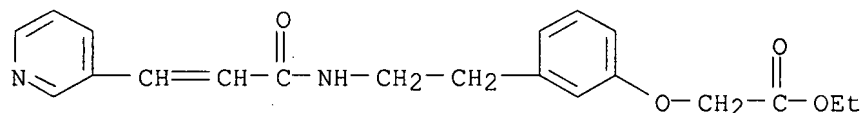
RN 219964-77-9 HCAPLUS

CN Acetic acid, [3-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 219964-79-1 HCAPLUS

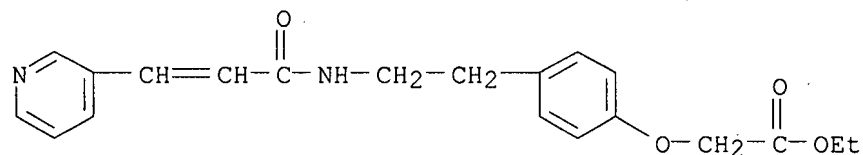
CN Acetic acid, [3-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 219964-81-5 HCAPLUS

CN Acetic acid, [4-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

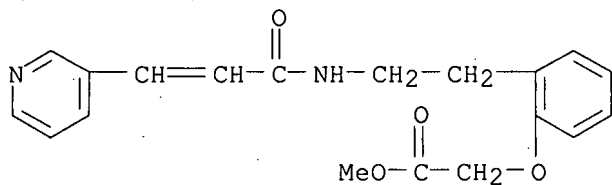


RN 219964-84-8 HCAPLUS

CN Acetic acid, [2-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Updated Search

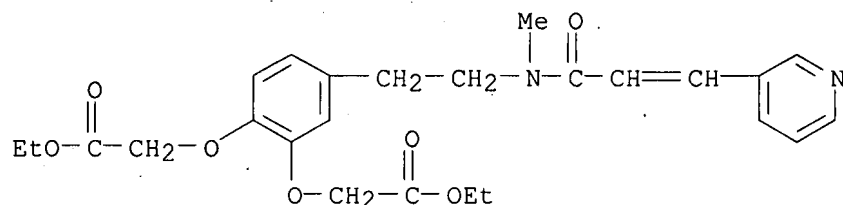
10510053



● HCl

RN 219964-88-2 HCAPLUS

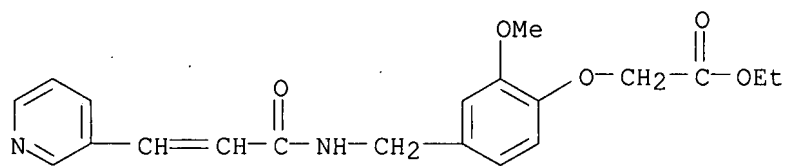
CN Acetic acid, 2,2'-[[4-[2-[methyl[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]-1,2-phenylene]bis(oxy)]bis-, diethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

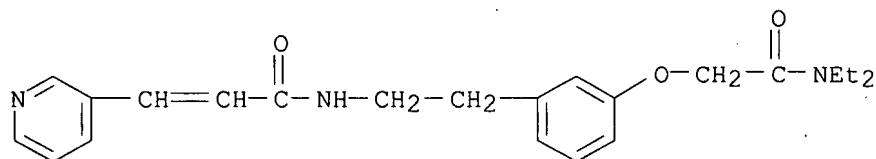
RN 219964-98-4 HCAPLUS

CN Acetic acid, [2-methoxy-4-[[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]methyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 219965-05-6 HCAPLUS

CN 2-Propenamide, N-[2-[3-[2-(diethylamino)-2-oxoethoxy]phenyl]ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

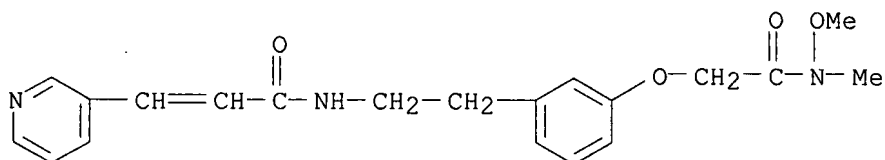


Updated Search

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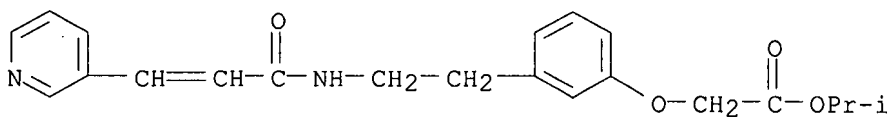
RN 219965-12-5 HCAPLUS

CN 2-Propenamide, N-[2-[3-[2-(methoxymethylamino)-2-oxoethoxy]phenyl]ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



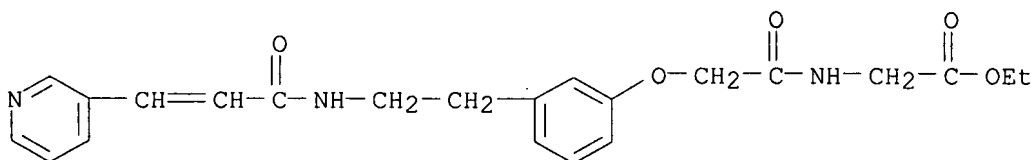
RN 219965-16-9 HCAPLUS

CN Acetic acid, [3-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



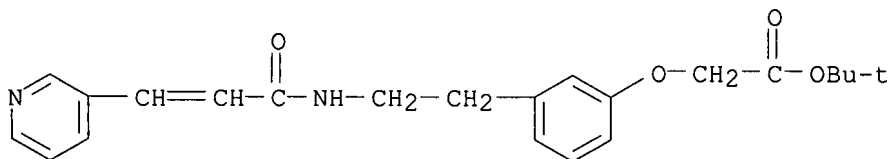
RN 219965-17-0 HCAPLUS

CN Glycine, N-[[3-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]acetyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 219965-18-1 HCAPLUS

CN Acetic acid, [3-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

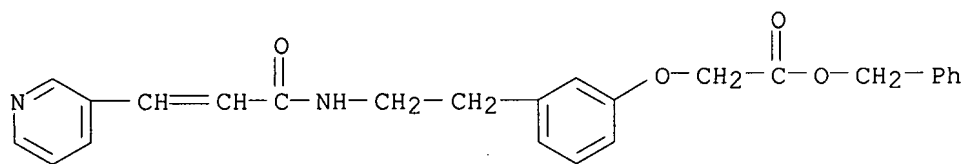


RN 219965-19-2 HCAPLUS

CN Acetic acid, [3-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]-, phenylmethyl ester (9CI) (CA INDEX NAME)

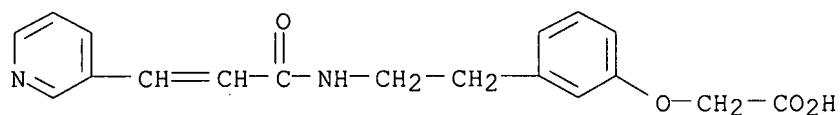


10510053



RN 219965-20-5 HCAPLUS

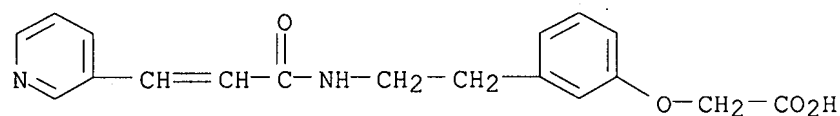
CN Acetic acid, [3-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]-, monopotassium salt (9CI) (CA INDEX NAME)



● K

RN 219965-21-6 HCAPLUS

CN Acetic acid, [3-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

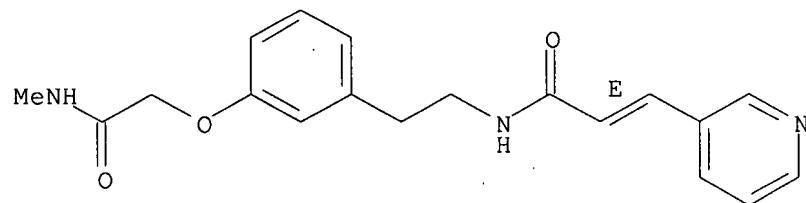


● HCl

RN 219965-22-7 HCAPLUS

CN 2-Propenamide, N-[2-[3-[2-(methylamino)-2-oxoethoxy]phenyl]ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



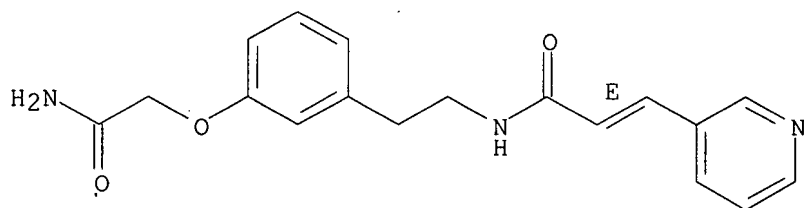
RN 219965-23-8 HCAPLUS

CN 2-Propenamide, N-[2-[3-(2-amino-2-oxoethoxy)phenyl]ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Updated Search

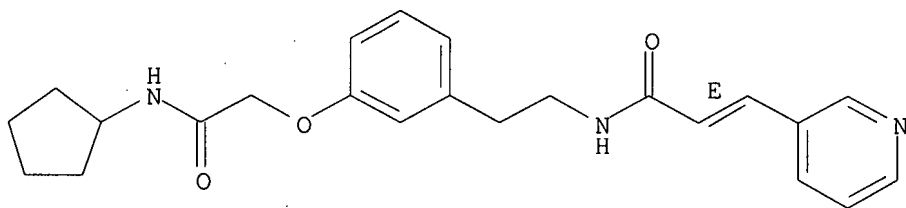
10510053



RN 219965-24-9 HCAPLUS

CN 2-Propenamide, N-[2-[3-[2-(cyclopentylamino)-2-oxoethoxy]phenyl]ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

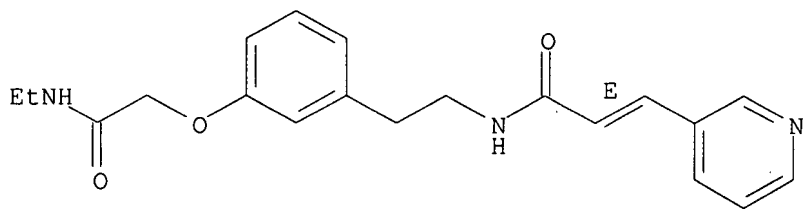
Double bond geometry as shown.



RN 219965-25-0 HCAPLUS

CN 2-Propenamide, N-[2-[3-[2-(ethylamino)-2-oxoethoxy]phenyl]ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

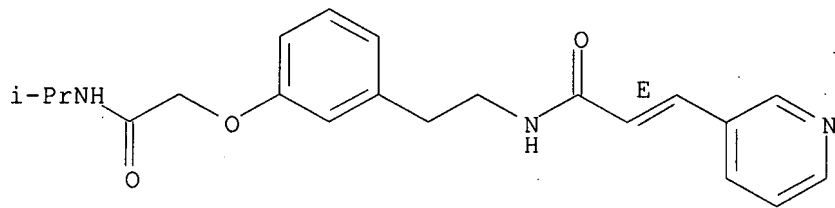
Double bond geometry as shown.



RN 219965-26-1 HCAPLUS

CN 2-Propenamide, N-[2-[3-[2-[(1-methylethyl)amino]-2-oxoethoxy]phenyl]ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



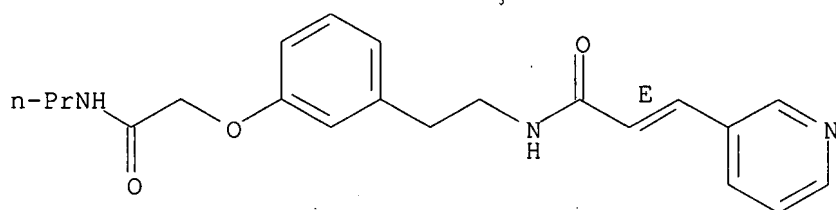
RN 219965-27-2 HCAPLUS

CN 2-Propenamide, N-[2-[3-[2-oxo-2-(propylamino)ethoxy]phenyl]ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Updated Search

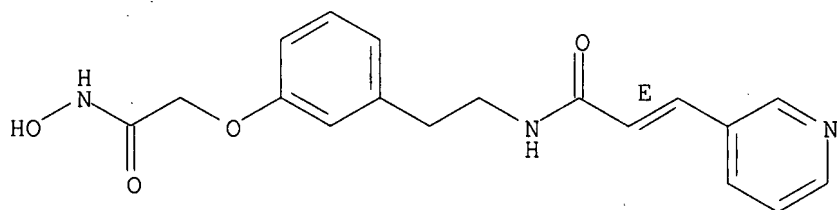
10510053



RN 219965-28-3 HCAPLUS

CN 2-Propenamide, N-[2-[3-[2-(hydroxyamino)-2-oxoethoxy]phenyl]ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

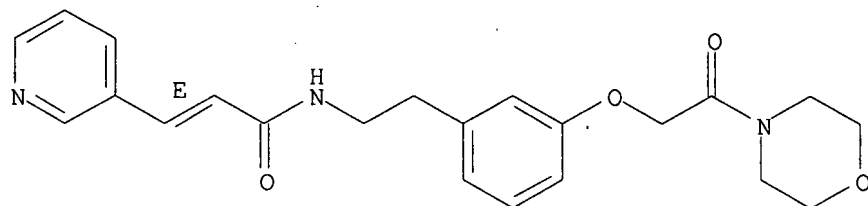
Double bond geometry as shown.



RN 219965-29-4 HCAPLUS

CN 2-Propenamide, N-[2-[3-[2-(4-morpholinyl)-2-oxoethoxy]phenyl]ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

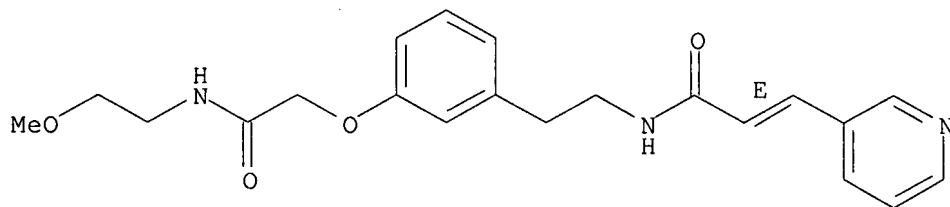
Double bond geometry as shown.



RN 219965-30-7 HCAPLUS

CN 2-Propenamide, N-[2-[3-[2-[(2-methoxyethyl)amino]-2-oxoethoxy]phenyl]ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



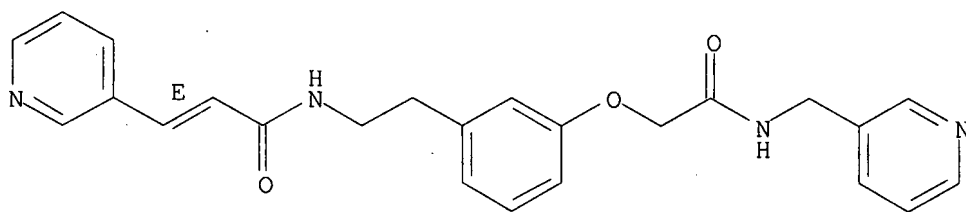
RN 219965-31-8 HCAPLUS

CN 2-Propenamide, N-[2-[3-[2-oxo-2-[(3-pyridinylmethyl)amino]ethoxy]phenyl]ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Updated Search

10510053

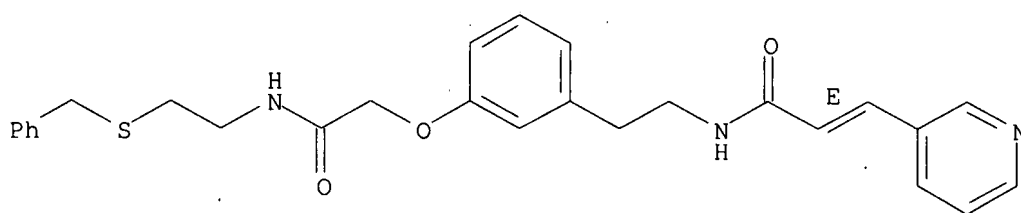
Double bond geometry as shown.



RN 219965-32-9 HCAPLUS

CN 2-Propenamide, N-[2-[3-[2-oxo-2-[[2-[(phenylmethyl)thio]ethyl]amino]ethoxy]phenyl]ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

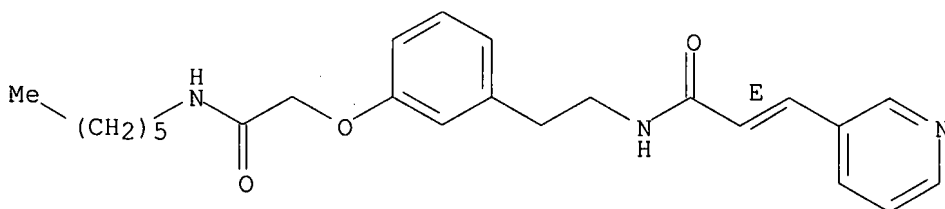
Double bond geometry as shown.



RN 219965-33-0 HCAPLUS

CN 2-Propenamide, N-[2-[3-[2-(hexylamino)-2-oxoethoxy]phenyl]ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

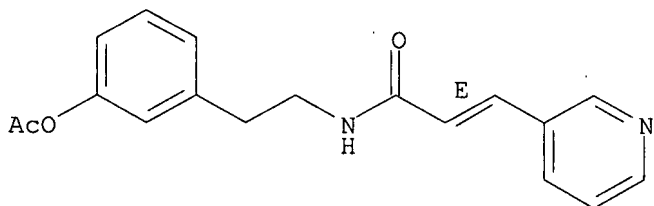
Double bond geometry as shown.



RN 219965-34-1 HCAPLUS

CN 2-Propenamide, N-[2-[3-(acetyloxy)phenyl]ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



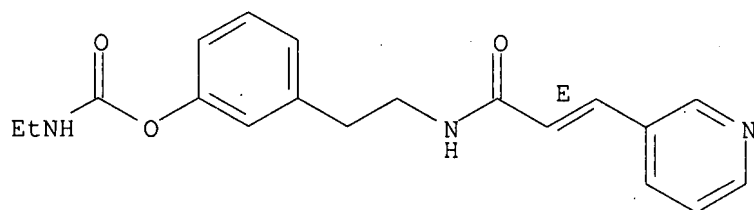
RN 219965-35-2 HCAPLUS

CN Carbamic acid, ethyl-, 3-[2-[[[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenyl] ester (9CI) (CA INDEX NAME)

Updated Search

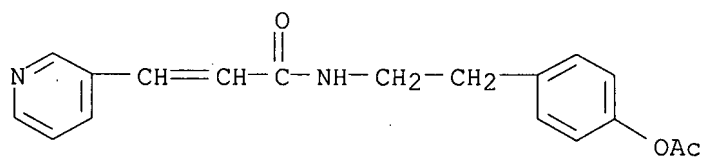
10510053

Double bond geometry as shown.



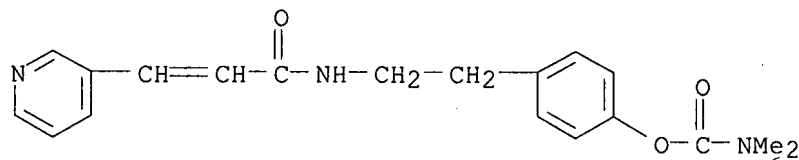
RN 219965-36-3 HCAPLUS

CN 2-Propenamide, N-[2-[4-(acetyloxy)phenyl]ethyl]-3-(3-pyridinyl)- (9CI)  
(CA INDEX NAME)



RN 219965-37-4 HCAPLUS

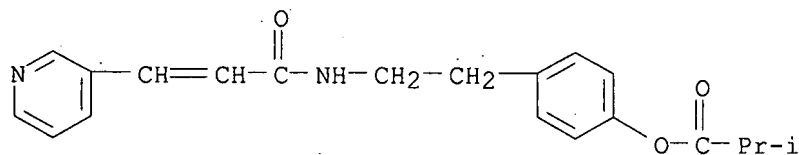
CN Carbamic acid, dimethyl-, 4-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 219965-38-5 HCAPLUS

CN Propanoic acid, 2-methyl-, 4-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)

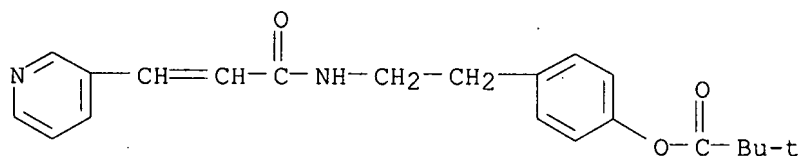


RN 219965-39-6 HCAPLUS

CN Propanoic acid, 2,2-dimethyl-, 4-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)

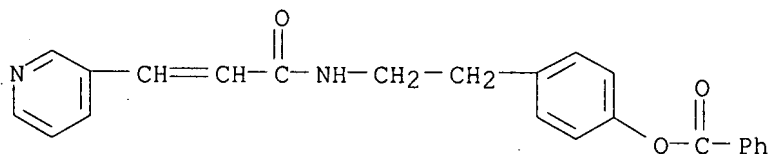
Updated Search

10510053



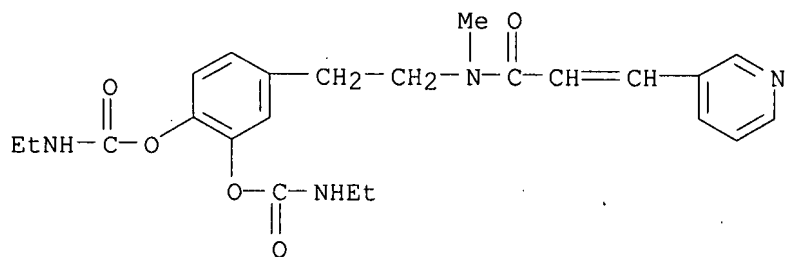
RN 219965-40-9 HCAPLUS

CN 2-Propenamide, N-[2-[4-(benzoyloxy)phenyl]ethyl]-3-(3-pyridinyl)- (9CI)  
(CA INDEX NAME)



RN 219965-41-0 HCAPLUS

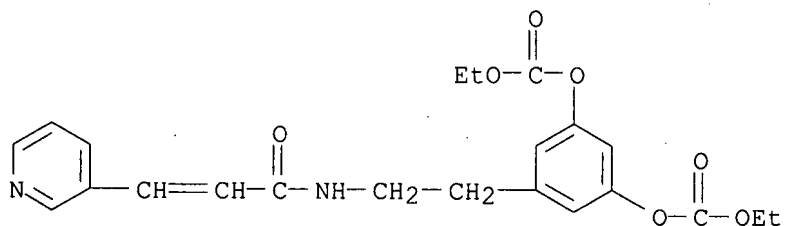
CN Carbamic acid, ethyl-, 4-[2-[methyl[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]-1,2-phenylene ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 219965-42-1 HCAPLUS

CN Carbonic acid, 5-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]-1,3-phenylene diethyl ester (9CI) (CA INDEX NAME)



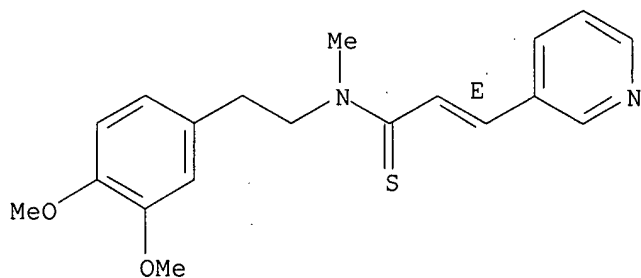
RN 219965-43-2 HCAPLUS

CN 2-Propenethioamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Updated Search

10510053

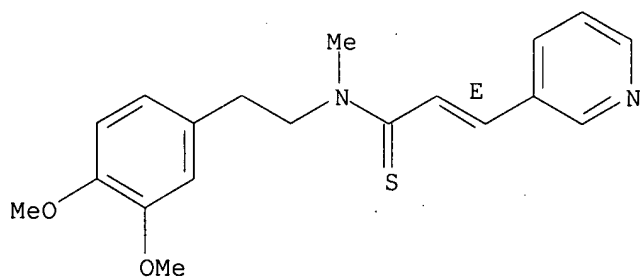
Double bond geometry as shown.



RN 219965-44-3 HCAPLUS

CN 2-Propenethioamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

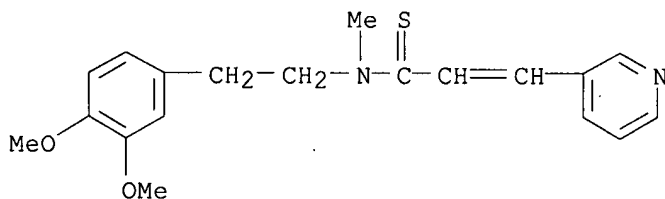
Double bond geometry as shown.



● HCl

RN 219965-46-5 HCAPLUS

CN 2-Propenethioamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



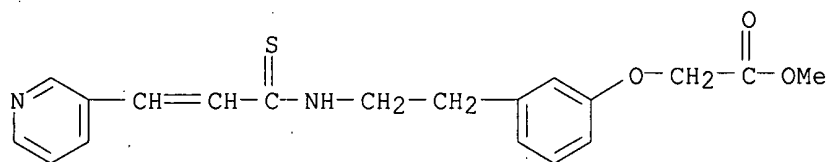
● HCl

RN 219965-48-7 HCAPLUS

CN Acetic acid, [3-[2-[[3-(3-pyridinyl)-1-thioxo-2-propenyl]amino]ethyl]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)

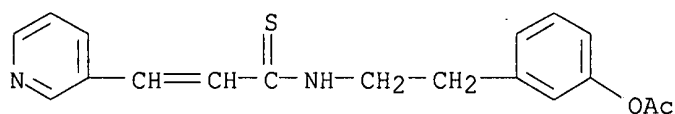
Updated Search

10510053



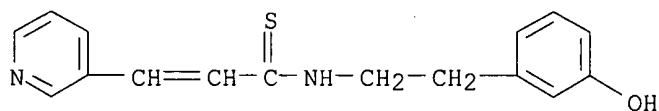
RN 219965-49-8 HCAPLUS

CN 2-Propenethioamide, N-[2-[3-(acetyloxy)phenyl]ethyl]-3-(3-pyridinyl)-  
(9CI) (CA INDEX NAME)



RN 219965-50-1 HCAPLUS

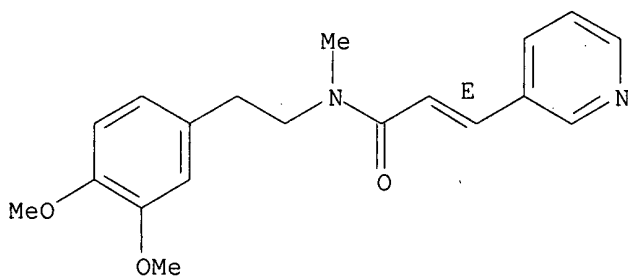
CN 2-Propenethioamide, N-[2-(3-hydroxyphenyl)ethyl]-3-(3-pyridinyl)- (9CI)  
(CA INDEX NAME)



RN 219965-51-2 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-,  
monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● HCl

RN 219965-52-3 HCAPLUS

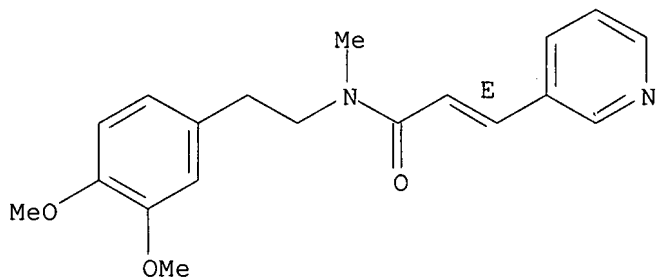
CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-,  
monohydrobromide, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Updated Search



10510053



● HBr

RN 219965-53-4 HCAPLUS

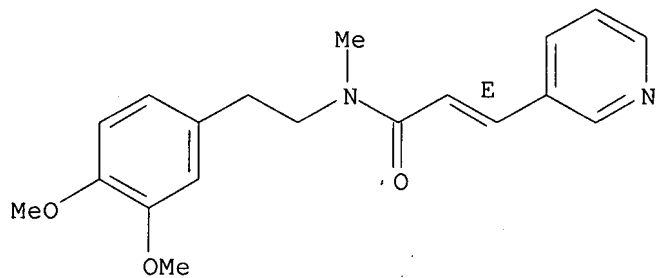
CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, (2E)-, sulfate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 219964-53-1

CMF C19 H22 N2 O3

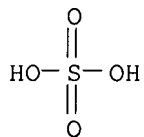
Double bond geometry as shown.



CM 2

CRN 7664-93-9

CMF H2 O4 S



RN 219965-54-5 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, (2E)-, phosphate (1:1) (9CI) (CA INDEX NAME)

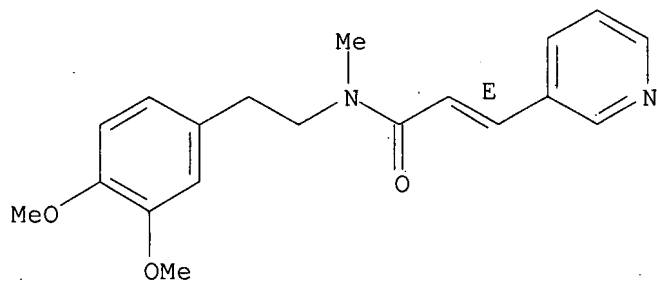
CM 1

Updated Search

10510053

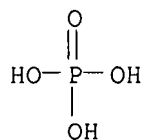
CRN 219964-53-1  
CMF C19 H22 N2 O3

Double bond geometry as shown.



CM 2

CRN 7664-38-2  
CMF H3 O4 P

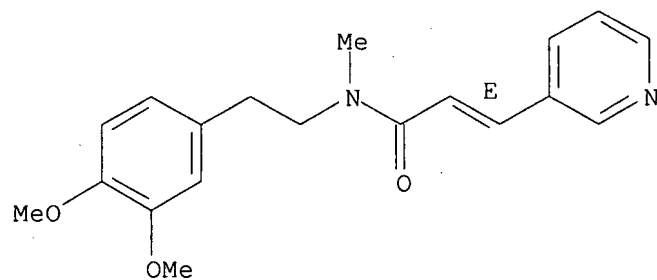


RN 219965-55-6 HCAPLUS  
CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, (2E)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 219964-53-1  
CMF C19 H22 N2 O3

Double bond geometry as shown.

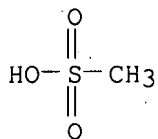


CM 2

CRN 75-75-2  
CMF C H4 O3 S

Updated Search

10510053

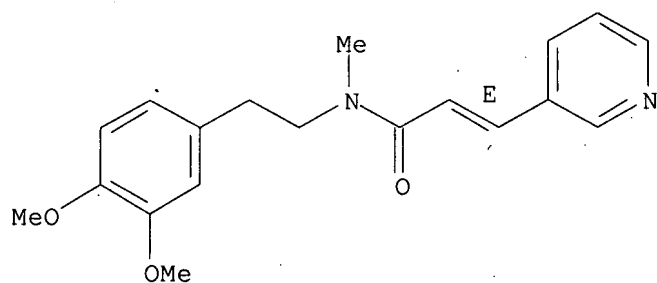


RN 219965-56-7 HCAPLUS  
CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-,  
(2E)-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (9CI) (CA INDEX NAME)

CM 1

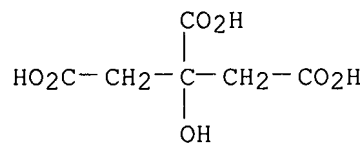
CRN 219964-53-1  
CMF C19 H22 N2 O3

Double bond geometry as shown.



CM 2

CRN 77-92-9  
CMF C6 H8 O7



RN 219965-57-8 HCAPLUS  
CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-,  
(2E)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

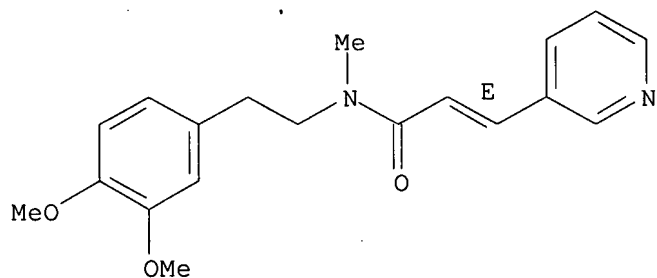
CM 1

CRN 219964-53-1  
CMF C19 H22 N2 O3

Double bond geometry as shown.

Updated Search

10510053

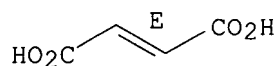


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



RN 219965-58-9 HCAPLUS

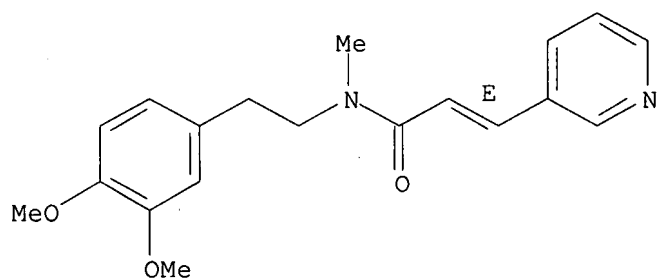
CN Butanedioic acid, compd. with (2E)-N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-2-propenamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 219964-53-1

CMF C19 H22 N2 O3

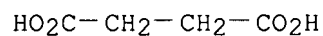
Double bond geometry as shown.



CM 2

CRN 110-15-6

CMF C4 H6 O4



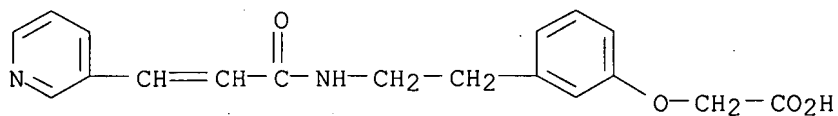
RN 219965-59-0 HCAPLUS

CN Acetic acid, [3-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]-

Updated Search

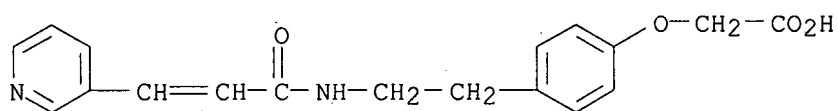
10510053

(9CI) (CA INDEX NAME)



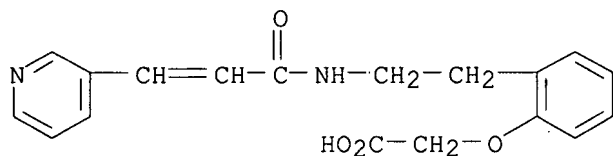
RN 219965-60-3 HCAPLUS

CN Acetic acid, [4-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]-  
(9CI) (CA INDEX NAME)



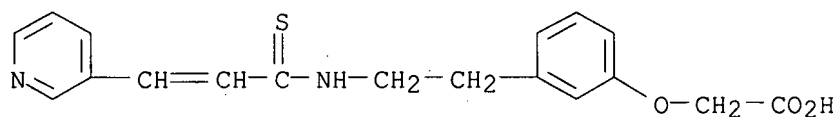
RN 219965-61-4 HCAPLUS

CN Acetic acid, [2-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]-  
(9CI) (CA INDEX NAME)



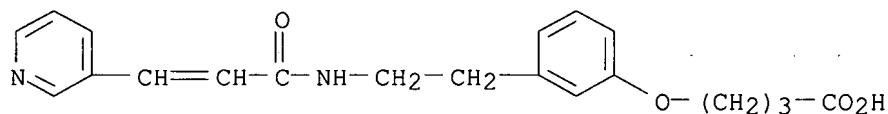
RN 219965-62-5 HCAPLUS

CN Acetic acid, [3-[2-[[3-(3-pyridinyl)-1-thioxo-2-propenyl]amino]ethyl]phenoxy]- (9CI) (CA INDEX NAME)



RN 219965-63-6 HCAPLUS

CN Butanoic acid, 4-[3-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]- (9CI) (CA INDEX NAME)

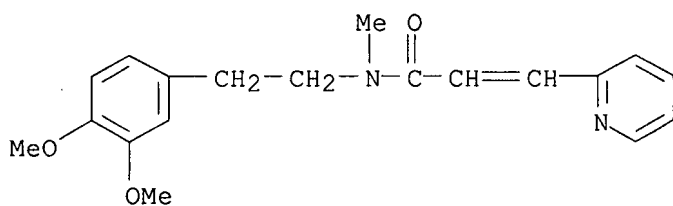


RN 219965-64-7 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(2-pyridinyl)-,  
monohydrochloride (9CI) (CA INDEX NAME)

Updated Search

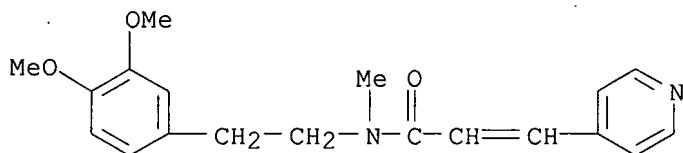
10510053



● HCl

RN 219965-65-8 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(4-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

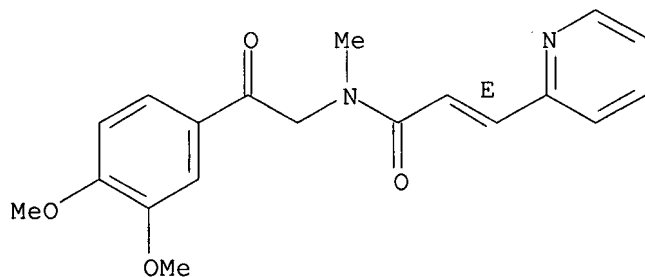


● HCl

RN 219965-66-9 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)-2-oxoethyl]-N-methyl-3-(2-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



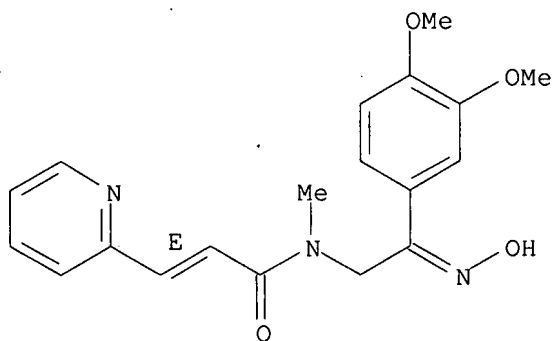
RN 219965-67-0 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)-2-(hydroxyimino)ethyl]-N-methyl-3-(2-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as described by E or Z.

Updated Search

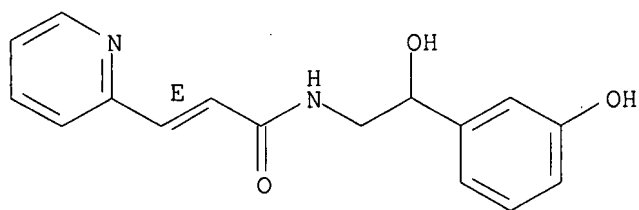
10510053



RN 219965-68-1 HCAPLUS

CN 2-Propenamide, N-[2-hydroxy-2-(3-hydroxyphenyl)ethyl]-3-(2-pyridinyl)-,  
(2E)- (9CI) (CA INDEX NAME)

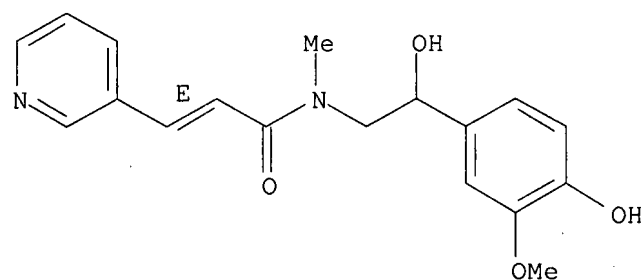
Double bond geometry as shown.



RN 219965-69-2 HCAPLUS

CN 2-Propenamide, N-[2-hydroxy-2-(4-hydroxy-3-methoxyphenyl)ethyl]-N-methyl-3-  
(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



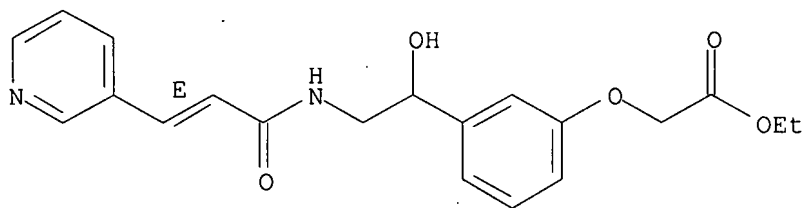
RN 219965-70-5 HCAPLUS

CN Acetic acid, [3-[1-hydroxy-2-[[[(2E)-1-oxo-3-(3-pyridinyl)-2-  
propenyl]amino]ethyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Updated Search

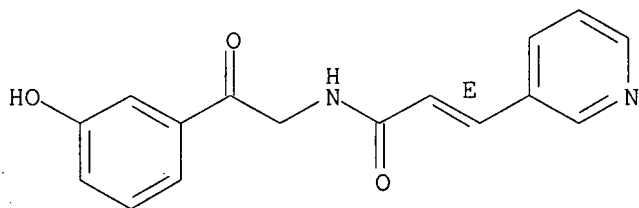
10510053



RN 219965-71-6 HCAPLUS

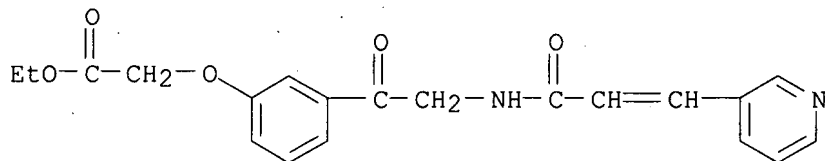
CN 2-Propenamide, N-[2-(3-hydroxyphenyl)-2-oxoethyl]-3-(3-pyridinyl)-, (2E)-  
(9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 219965-72-7 HCAPLUS

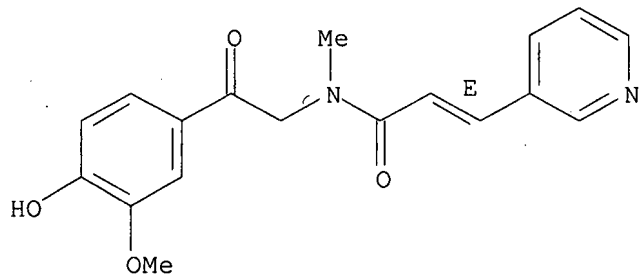
CN Acetic acid, [3-[[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]acetyl]phenoxy]-  
, ethyl ester (9CI) (CA INDEX NAME)



RN 219965-73-8 HCAPLUS

CN 2-Propenamide, N-[2-(4-hydroxy-3-methoxyphenyl)-2-oxoethyl]-N-methyl-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



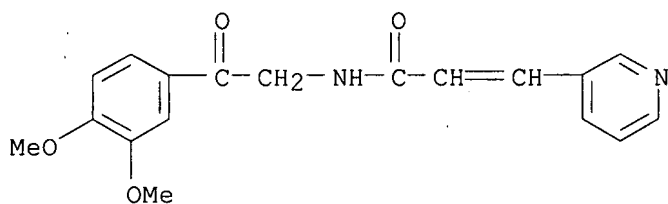
RN 219965-74-9 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)-2-oxoethyl]-3-(3-pyridinyl)-  
(9CI) (CA INDEX NAME)

Updated Search



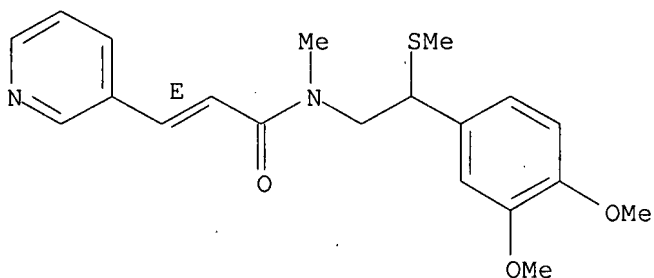
10510053



RN 219965-75-0 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)-2-(methylthio)ethyl]-N-methyl-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

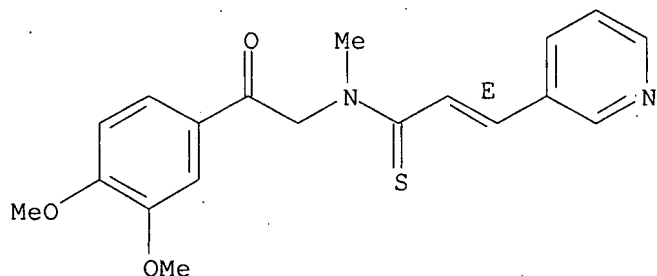
Double bond geometry as shown.



RN 219965-76-1 HCAPLUS

CN 2-Propenethioamide, N-[2-(3,4-dimethoxyphenyl)-2-oxoethyl]-N-methyl-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> D HIS

(FILE 'HOME' ENTERED AT 19:12:07 ON 11 SEP 2007)

FILE 'REGISTRY' ENTERED AT 19:12:38 ON 11 SEP 2007

L1 STRUCTURE UPLOADED

L2 15 S L1

L3 299 S L1 FULL

Updated Search

10510053

FILE 'HCAPLUS' ENTERED AT 19:18:04 ON 11 SEP 2007

L4 17 S L3  
L5 2 S L4 AND HATTORI, T?/AU  
L6 15 S L4 NOT L5  
L7 0 S L6 AND SASAKI, T?/AU  
L8 0 S L6 AND HASEGAWA, Y?/AU  
L9 0 S L6 AND OBATA, T?/AU  
L10 1 S HATTORI, T?/AU AND SASAKI, T?/AU AND HASEGAWA, Y?/AU AND OBAT

FILE 'CAOLD' ENTERED AT 19:19:51 ON 11 SEP 2007

L11 1 S L3

FILE 'REGISTRY' ENTERED AT 19:20:06 ON 11 SEP 2007

L12 1 S 6721-83-1/RN  
SET NOTICE 1 DISPLAY  
SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 19:20:20 ON 11 SEP 2007

L13 1 S 95699-31-3/RN  
SET NOTICE 1 DISPLAY  
SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 19:32:25 ON 11 SEP 2007

L14 STRUCTURE UPLOADED  
L15 STRUCTURE UPLOADED  
L16 22 S L15  
L17 484 S L15 FULL

FILE 'HCAPLUS' ENTERED AT 19:33:52 ON 11 SEP 2007

L18 42 S L17  
L19 2 S L18 AND HATTORI, T?/AU

=> S L18 NOT L19

L20 40 L18 NOT L19

=> S L20 AND SASAKI, T?/AU

12191 SASAKI, T?/AU  
L21 0 L20 AND SASAKI, T?/AU

=> S L20 AND HASEGAWA, Y?/AU

4009 HASEGAWA, Y?/AU  
L22 0 L20 AND HASEGAWA, Y?/AU

=> S L20 AND OBATA, T?/AU

937 OBATA, T?/AU  
L23 0 L20 AND OBATA, T?/AU

=> D L20, IBIB ABS HITSTR, 1-40

L20 ANSWER 1 OF 40 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:640830 HCAPLUS

DOCUMENT NUMBER: 147:72815

TITLE: Preparation of 3-heterocyclylacrylamide derivatives as  
FaBI protein inhibitors for treating bacterial  
infection

INVENTOR(S): Pauls, Henry; Berman, Judd M.

PATENT ASSIGNEE(S): Affinium Pharmaceuticals, Inc., Can.

SOURCE: PCT Int. Appl., 199pp.

CODEN: PIXXD2

Updated Search

10510053

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2007067416	A2	20070614	WO 2006-US45903	20061201
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
PRIORITY APPLN. INFO.:			US 2005-742514P	P 20051205
			US 2005-754024P	P 20051223
OTHER SOURCE(S):	MARPAT 147:72815			
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; A = a monocyclic ring of 4-7 atoms containing 0-2 heteroatoms, a bicyclic ring of 8-12 atoms containing 0-4 heteroatoms or a tricyclic ring of 8-12 atoms containing 0-6 heteroatoms wherein the rings are independently aliphatic, aromatic, heteroaryl or heterocyclic in nature, the heteroatoms are selected from N, S or O and the rings are optionally substituted with one or more groups selected from C1-4-alkyl, OR'', cyano, OCF<sub>3</sub>, F, Cl, Br, iodo; wherein R'' = H, alkyl, aralkyl, or heteroaralkyl; R' = H, Me; R = Q-Q12, 6-amino-3-pyridyl; R1 = OH or -O(CH<sub>2</sub>)<sub>n</sub>Ar; wherein n = an integer from 1 to 6 inclusive; Ar = aryl or heteroaryl; R2 = H or C(O)R3; R3 = H, alkyl, or aryl; R4 = OH or N(R3)<sub>2</sub>; the two R5 taken together form a spirocycloalkane, a spiroaryl, or a spiroheterocycloalkane; R6 = H, OH, alkyl, or aryl; R7 = alkyl, aryl, cycloalkane, or heterocycloalkane; M = H or OH, or two M taken together form O or N(R3); provided that when R is Q1 or 6-amino-3-pyridyl, R' is (R)-Me] or pharmaceutically acceptable salts thereof are prepared These compds. including 3-(pyridin-2-yl)acrylamide, 3-(7-oxo-5,6,7,8-tetrahydro[1,8]naphthyridin-3-yl)acrylamide, and 3-(8-oxo-5,7,8,9-tetrahydro-6-oxa-1,9-diazabenzocycloheptan-3-yl)acrylamide derivs. have FabI inhibiting activity, preferably inhabiting the Fab I activity of a microbe with an IC<sub>50</sub> of at least 1 order of magnitude lower than the IC<sub>50</sub> for inhibiting enoyl CoA hydratase of a mammal. They may also inhibit other enzymes, including those similar to FabI either structurally or functionally, for example, Fab K. Kits and compns. containing the compds. I and methods of treating a subject with a bacterial illness are also disclosed. Thus, 5-bromo-3-(pyridin-2-ylmethoxy)pyridin-2-ylamine was coupled with N-methyl-N-(3-methylbenzofuran-2-ylmethyl)acrylamide in the presence of diisopropylethylamine, Pd(OAc)<sub>2</sub>, and tris(o-tolyl)phosphine in DMF under refluxing overnight to give 27% (E)-3-[6-amino-5-(pyridin-2-ylmethoxy)pyridin-3-yl]-N-methyl-N-(3-methylbenzofuran-2-

Updated Search

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ylmethyl)acrylamide. In Staphylococcus aureus FabI enzyme inhibition assays, the invention compds. showed the IC50 values ranging from .apprx.0.05  $\mu$ M to .apprx.5.0  $\mu$ M.

IT 941603-85-6P, (E)-3-(6-Acetylamino-5-hydroxypyridin-3-yl)-N-(3-methoxy-2-propoxybenzyl)-N-methylacrylamide hydrochloride

941603-88-9P, (E)-3-(6-Acetylamino-5-hydroxypyridin-3-yl)-N-(3-methoxy-2-propoxybenzyl)-N-methylacrylamide

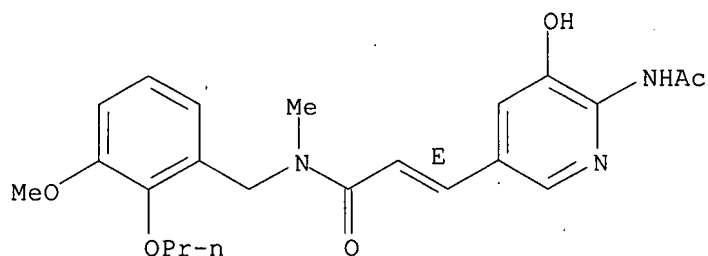
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 3-heterocyclacrylamide derivs. as FaBI protein inhibitors for treating bacterial infection)

RN 941603-85-6 HCAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-5-hydroxy-3-pyridinyl]-N-[(3-methoxy-2-propoxyphenyl)methyl]-N-methyl-, hydrochloride (1:1), (2E)- (CA INDEX NAME)

Double bond geometry as shown.

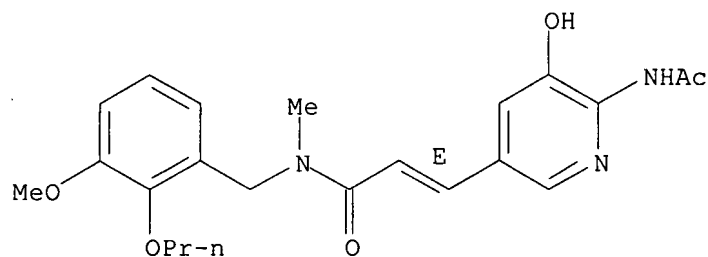


● HCl

RN 941603-88-9 HCAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-5-hydroxy-3-pyridinyl]-N-[(3-methoxy-2-propoxyphenyl)methyl]-N-methyl-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



L20 ANSWER 2 OF 40 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:464439 HCAPLUS

DOCUMENT NUMBER: 146:462506

TITLE: Piperazine derivatives as antimalarial agents

INVENTOR(S): Binkert, Christoph; Boss, Christoph; Corminboeuf, Olivier; Grisostomi, Corinna; Meyer, Solange

PATENT ASSIGNEE(S): Actelion Pharmaceuticals Ltd., Switz.

Updated Search

10510053

SOURCE: PCT Int. Appl., 129pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007046075	A1	20070426	WO 2006-IB53868	20061020
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: WO 2005-IB53457 A 20051021  
OTHER SOURCE(S): MARPAT 146:462506  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Piperazine derivs. (I) [R1 = H; alkyl, cycloalkyl, ethoxycarbonyl, hydroxyethyl, benzo[1,3]dioxolyl, substituted aryl, pyridyl, furanyl, thienyl, pyrimidinyl, pyridazinyl, benzothienyl, benzofuranyl, quinolinyl, isoquinolinyl, benzhydryl, imidazolyl, thiazolyl, or oxazolyl; R2 = H, alkyl, indolyl, carboxyl, alkoxycarbonyl, aminocarbonyl, (un)substituted imidazolyl, cycloalkyl, substituted aryl, pyridyl, benzothienyl, thiazolyl, or thienyl; R3 = H, alkyl, cycloalkyl, formyl, acetyl, ethoxycarbonyl, hydroxyethyl, benzo[1,3]dioxolyl, indolyl, substituted pyridyl, furanyl, thienyl, pyrimidinyl, pyridazinyl, benzothienyl, benzofuranyl, quinolinyl, isoquinolinyl, benzhydryl or substituted aryl; R4 = alkyl, cycloalkyl, benzo[1,3]dioxolyl, benzo[1,3]dioxolyl-CH2, benzothienyl, benzofuranyl, indazolyl, substituted indolyl, (un)substituted quinolinyl, isoquinolinyl, benzhydryl substituted aryl, pyridyl, pyridyl-CH2-CH2, aryl-CH2, pyridyl-CH2, thienyl-CH2, pyrimidinyl-CH=CH, furanyl-CH=CH, or thienyl-CH=CH; R5 = bond, CH2, CH2CH2, CO; Y = (CH2)n; n = 0 - 2] were prepared and used as medicaments for the treatment or prevention of protozoal infections, especially malaria. Thus, compound II prepared by coupling of (S)-2-tert-butoxycarbonyl phenylpropionic acid with 1-benzylpiperazine, following by reductive amination with 4-n-pentylbenzaldehyde and acylation with trans-4-trifluoromethyl cinnamic acid, showed in vitro activity against erythrocytic stages of P. falciparum IC50 = 3.8 nM.

IT 934982-79-3P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperazine derivs. as antimalarial agents or vaccines via coupling of amino acids and piperazine derivs., following by reductive

Updated Search

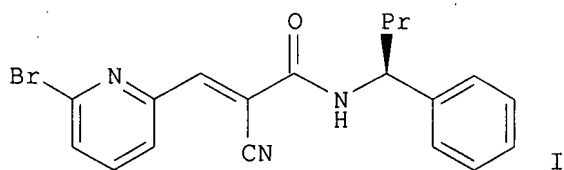
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          amination with aldehydes and acylation with acids)
RN      934982-79-3  HCAPLUS
CN      2-Propenamide, N-[ (1S)-2-oxo-1-(phenylmethyl)-2-[4-(phenylmethyl)-1-
      piperazinyl]ethyl]-N-[ [4-(2-pyridinyl)phenyl]methyl]-3-[6-
      (trifluoromethyl)-3-pyridinyl]-, (2E)-  (CA INDEX NAME)

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CCN1CCN(C(=O)S[C@H](C1)C2=CC=CC=C2)C(=O)C=Cc3cc(F)(F)Fnc3Cc4ccc(cc4)/N=C/c5ccccc5

L20 ANSWER 3 OF 40 HCAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 2007:426190 HCAPLUS  
DOCUMENT NUMBER: 147:86619  
TITLE: Degrasyn activates proteasomal-dependent degradation  
of c-Myc  
AUTHOR(S): Bartholomeusz, Geoffrey; Talpaz, Moshe; Bornmann,  
William; Kong, Ling-Yuan; Donato, Nicholas J.  
CORPORATE SOURCE: Department of Experimental Therapeutics, University of  
Texas M. D. Anderson Cancer Center, Houston, TX, USA  
SOURCE: Cancer Research (2007), 67(8), 3912-3918  
CODEN: CNREA8; ISSN: 0008-5472  
PUBLISHER: American Association for Cancer Research  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI



Updated Search

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and 378 that has not previously been associated with c-Myc stability. Degrasyn-induced degradation of c-Myc depends on proteasomes but is independent of the degron regions previously shown to be important for ubiquitin-mediated targeting and proteasomal destruction of the protein. Degrasyn-dependent c-Myc proteolysis is not mediated by any previously identified c-Myc regulatory mechanism, does not require new protein synthesis, and does not depend on the nuclear localization of c-Myc. Degrasyn reduced c-Myc levels in A375 melanoma cells and in A375 tumors in nude mice, and this activity correlated with tumor growth inhibition. Together, these results suggest that degrasyn reduces the stability of c-Myc in vitro and in vivo through a unique signaling process that uses c-Myc domains not previously associated with c-Myc regulation.

IT 856243-80-6, Degrasyn

RL: DMA (Drug mechanism of action); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

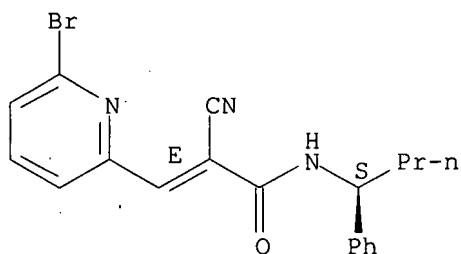
(WP 1130; degrasyn activates proteasomal-dependent degradation of c-Myc in tumor cells)

RN 856243-80-6 HCAPLUS

CN 2-Propenamide, 3-(6-bromo-2-pyridinyl)-2-cyano-N-[(1S)-1-phenylbutyl]-, (2E)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 4 OF 40 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:404239 HCAPLUS

DOCUMENT NUMBER: 146:514010

TITLE: A novel inhibitor of the STAT3 pathway induces apoptosis in malignant glioma cells both in vitro and in vivo

AUTHOR(S): Iwamaru, A.; Szymanski, S.; Iwado, E.; Aoki, H.; Yokoyama, T.; Fokt, I.; Hess, K.; Conrad, C.; Madden, T.; Sawaya, R.; Kondo, S.; Priebe, W.; Kondo, Y.

CORPORATE SOURCE: Department of Neurosurgery, The University of Texas MD Anderson Cancer Center, Houston, TX, USA

SOURCE: Oncogene (2007), 26(17), 2435-2444

CODEN: ONCNES; ISSN: 0950-9232

PUBLISHER: Nature Publishing Group

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Signal transducer and activator of transcription-3 (STAT3) is constitutively activated in a variety of cancer types, including malignant gliomas. STAT3 is activated by phosphorylation of a tyrosine residue, after which it dimerizes and translocates into the nucleus. There it regulates the expression of several genes responsible for proliferation

Updated Search

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and survival at the transcriptional level. A selective inhibitor of STAT3 phosphorylation, AG490, has been shown to inhibit growth and induce apoptosis in some cancer cell types. However, although AG490 routinely shows in vitro anticancer activity, it has not consistently demonstrated an in vivo anticancer effect in animal models. Here, we have tested WP1066, a novel inhibitor structurally related to AG490 but significantly more potent and active, against human malignant glioma U87-MG and U373-MG cells in vitro and in vivo. IC50 values for WP1066 were 5.6  $\mu$ M in U87-MG cells and 3.7  $\mu$ M in U373-MG cells, which represents 18-fold and eightfold increases in potency, resp., over that of AG490. WP1066 activated Bax, suppressed the expression of c-myc, Bcl-XL and Mcl-1, and induced apoptosis. Systemic i.p. administration of WP1066 in mice significantly ( $P < 0.001$ ) inhibited the growth of s.c. malignant glioma xenografts during the 30-day follow-up period. Immunohistochem. anal. of the excised tumors revealed that phosphorylated STAT3 levels in the WP1066 treatment group remained inhibited at 3 wk after the final WP1066 injection, whereas tumors from the control group expressed high levels of phosphorylated STAT3. We conclude that WP1066 holds promise as a therapeutic agent against malignant gliomas.

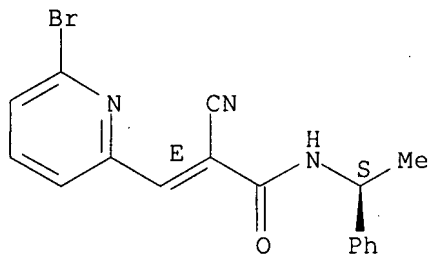
IT 857064-38-1, WP 1066

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(novel inhibitor of STAT3 pathway induces apoptosis in malignant glioma cells)

RN 857064-38-1 HCAPLUS

CN 2-Propenamide, 3-(6-bromo-2-pyridinyl)-2-cyano-N-[(1S)-1-phenylethyl]-, (2E)- (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 5 OF 40 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:1065854 HCAPLUS

DOCUMENT NUMBER: 145:418954

TITLE: Preparation of benzyloxypropylamine derivatives as tachykinin receptor antagonists

INVENTOR(S): Higashiura, Kunihiko; Ogino, Takashi; Furukawa, Kazuhito

PATENT ASSIGNEE(S): Nippon Zoki Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 89pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

Updated Search



10510053

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006106727	A1	20061012	WO 2006-JP306451	20060329
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.:			JP 2005-103326	A 20050331
OTHER SOURCE(S):			MARPAT 145:418954	
GI				

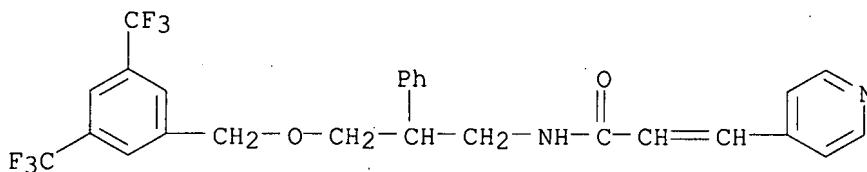
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [R1a, R1b = H, halo, alkyl, etc.; R2 = optionally substituted Ph with halo, diphenylmethyl; R3 = H, alkyl, acetoxymethyl; R4 = alkyl, piperidinyl, carboxymethyl, etc.], pharmaceutically acceptable salts or solvates thereof were prepared For example, WSC·HCl mediated amidation of N-BOC-piperidine-4-carboxylic acid with 3-[3,5-bis(trifluoromethyl)benzyloxy]-2-phenylpropylamine hydrochloride followed by treatment with HCl afforded compound II·HCl in 83% yield over 2 steps. In human neurokinin-1 (NK1) receptor binding assays, the IC50 value of compound II·HCl was 29.3 nmol/L. Compds. I are claimed useful for the treatment of inflammation, allergy, etc.

IT 912274-63-6P 912274-64-7P 912274-65-8P  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of benzyloxypropylamine derivs. as tachykinin receptor antagonists for treatment of inflammation and allergy)

RN 912274-63-6 HCAPLUS

CN 2-Propenamide, N-[3-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-2-phenylpropyl]-3-(4-pyridinyl)- (CA INDEX NAME)

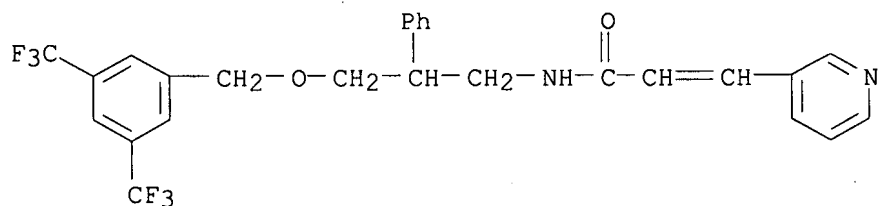


RN 912274-64-7 HCAPLUS

CN 2-Propenamide, N-[3-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-2-phenylpropyl]-3-(3-pyridinyl)- (CA INDEX NAME)

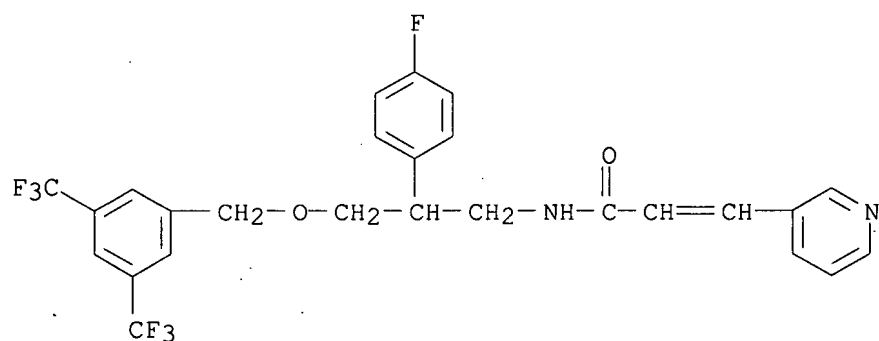
Updated Search

10510053



RN 912274-65-8 HCAPLUS

CN 2-Propenamide, N-[3-[[[3,5-bis(trifluoromethyl)phenyl]methoxy]-2-(4-fluorophenyl)propyl]-3-(3-pyridinyl)- (CA INDEX NAME)



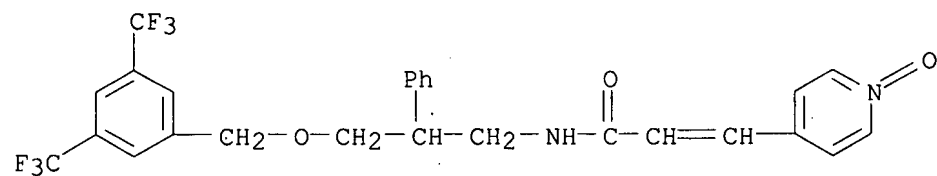
IT 912274-71-6P 912274-72-7P 912274-73-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzyloxypropylamine derivs. as tachykinin receptor antagonists for treatment of inflammation and allergy)

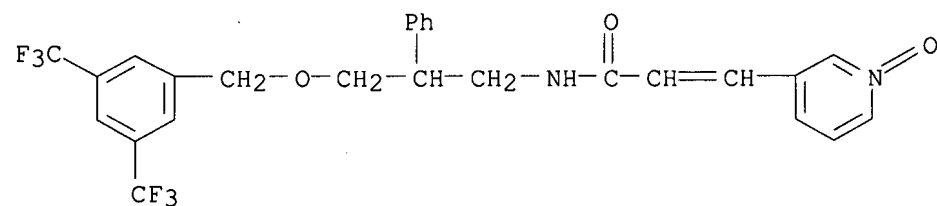
RN 912274-71-6 HCAPLUS

CN 2-Propenamide, N-[3-[[[3,5-bis(trifluoromethyl)phenyl]methoxy]-2-phenylpropyl]-3-(1-oxido-4-pyridinyl)- (CA INDEX NAME)



RN 912274-72-7 HCAPLUS

CN 2-Propenamide, N-[3-[[[3,5-bis(trifluoromethyl)phenyl]methoxy]-2-phenylpropyl]-3-(1-oxido-3-pyridinyl)- (CA INDEX NAME)

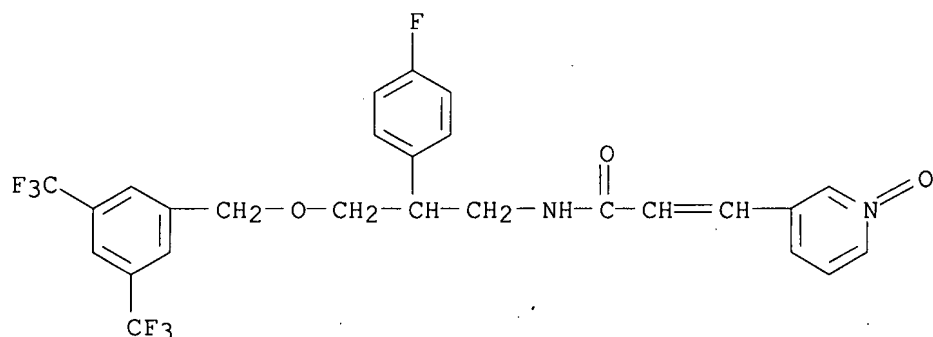


Updated Search

10510053

RN 912274-73-8 HCAPLUS

CN 2-Propenamide, N-[3-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-2-(4-fluorophenyl)propyl]-3-(1-oxido-3-pyridinyl)- (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 6 OF 40 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:689087 HCAPLUS

DOCUMENT NUMBER: 146:287527

TITLE: Determination of Chidamide in plasma by RP-HPLC with solid-phase extraction.

AUTHOR(S): Wang, Xin; Meng, Xiang-Ming; Meng, Zhi-Yun; Dou, Gui-Fang

CORPORATE SOURCE: Institute of Transfusion Medicine, Academy of Military Medical Sciences, Beijing, 100850, Peop. Rep. China

SOURCE: Jiefangjun Yaoxue Xuebao (2006), 22(2), 113-115

CODEN: JYXIAY; ISSN: 1008-9926

PUBLISHER: Zhongguo Renmin Jiefangjun Zonghouqinbu Weishengbu Yaopin Yiqi Jianyansuo

DOCUMENT TYPE: Journal

LANGUAGE: Chinese

AB An RP-HPLC method for the determination of Chidamide in plasma was established. The Chidamide with the internal standard MS-275 added was extracted from the plasma with a solid-phase extraction column. The plasma sample was loaded onto a SPE column. The Chidamide was eluted with Acetonitrile, dried by air and determined by reversed-phase high-performance liquid chromatog. (RP-HPLC)

at 260nm. The mobile phase consisted of 0.6% acetic acid solution and Acetonitrile (0-6min, 81:19; 6-13min, 76:24) with the flow rate of 1.0 mL/min. The column was KR100-5C18 (4.6mm\*250mm, 5μm). The column temperature was room temperature, and the injected volume was 20μl. The

linear range was 0.04~10μg/mL (r=0.9981), and the LLOQ was 0.04μg/mL. The intra-day and inter-day RSD was 2.01% (14.81% and 5.79%~10.16%, resp.). The RE was -0.85%~4.39%. The average extraction recovery was 74%. The

proposed method is simple, accurate and precise. It is suitable for toxicokinetics study.

IT 743420-02-2, Chidamide

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU

(Therapeutic use); BIOL (Biological study); USES (Uses)

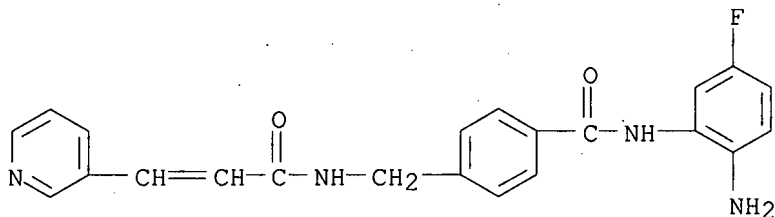
(determination of Chidamide in plasma by RP-HPLC with solid-phase extraction)

Updated Search

10510053

RN 743420-02-2 HCAPLUS

CN Benzamide, N-(2-amino-5-fluorophenyl)-4-[[[1-oxo-3-(3-pyridinyl)-2-propen-1-yl]amino]methyl]- (CA INDEX NAME)



L20 ANSWER 7 OF 40 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:636869 HCAPLUS

DOCUMENT NUMBER: 145:103734

TITLE: Compositions comprising multiple antibiotic agents including a FabI inhibitor, methods of using the same, and preparation of the heterocycle FabI inhibitors  
INVENTOR(S): Berman, Judd M.; Schmid, Molly B.; Mendlein, John D.; Kaplan, Nachum

PATENT ASSIGNEE(S): Affinium Pharmaceuticals, Inc., Can.

SOURCE: U.S. Pat. Appl. Publ., 192 pp., which which  
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006142265	A1	20060629	US 2005-231298	20050919
WO 2004082586	A2	20040930	WO 2004-IB1261	20040317
WO 2004082586	A3	20041223		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

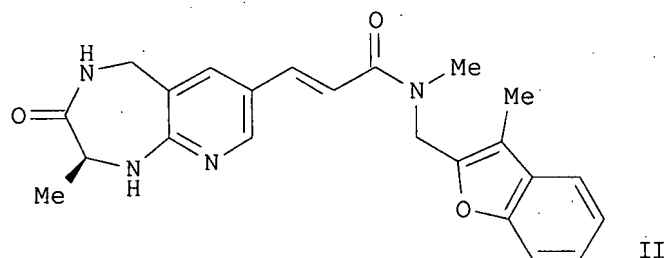
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-455189P P 20030317  
US 2003-476970P P 20030609  
US 2003-488379P P 20030718  
WO 2004-IB1261 A2 20040317

OTHER SOURCE(S): MARPAT 145:103734

GI

Updated Search



AB The invention is directed to antibacterial compns. comprising an NADH (or NADPH)-dependent enoyl-acyl carrier protein (ACP) reductase (FabI, previously designated EnvM) inhibitor of formula (Y1)a-A-CH(R1)-NR1CO-L-R2 (I) and at least one other antibiotic/antibacterial agent [L = alkyl, alkenyl, or cycloalkyl which may be substituted by one or more R1; A = (un)substituted bicyclic heteroaryl of 8-12 atoms or a tricyclic ring of 12-16 atoms, containing 1-4 heteroatoms selected from N, S, and O; R1 = H, cyclo/alkyl, alk/aryl; R2 = heterocyclyl; a = 0-4; Y1 = -(CH2)n-CO-NR4R5; R4 = water solubilizing group; R5 = H, cyclo/alkyl; n = 0-4]. The antibacterial composition exhibits a synergistic antibacterial effect compared to its individual components. Thus, bromination of (S)-2-methyl-1,2,4,5-tetrahydropyrido[2,3-e][1,4]diazepin-3-one (preparation given), coupling of the bromide with N-methyl-N-[(3-methylbenzofuran-2-yl)methyl]acrylamide, and acidulation of the free base (no data) with TFA gave pyridodiazepine II•TFA. Selected I inhibited FabI with a  $K_i < 1$  nM, an MIC (minimal inhibitory concentration)  $< 0.125$   $\mu\text{g/mL}$ , and an  $\text{IC}_{50} < 10$  nM.

IT 709651-92-3P, (E)-3-[6-Amino-5-[(morpholin-4-yl)methyl]pyridin-3-yl]-N-(2-ethoxy-3-methoxybenzyl)-N-methyl-2-propenamide monohydrochloride  
 709652-09-5P, (E)-3-[6-Amino-5-(4-methylpiperazin-1-ylmethyl)pyridin-3-yl]-N-(2-ethoxy-3-methoxybenzyl)-N-methyl-2-propenamide monohydrochloride  
 709652-12-0P, (E)-3-[6-Amino-5-(4-methylpiperazin-1-ylmethyl)pyridin-3-yl]-N-(3-methoxy-2-propoxybenzyl)-N-methyl-2-propenamide monohydrochloride  
 709652-13-1P, (E)-3-[6-Amino-5-(4-methylpiperazin-1-ylmethyl)pyridin-3-yl]-N-(2-ethoxy-3-methylbenzyl)-N-methyl-2-propenamide monohydrochloride  
 709652-28-8P, (E)-3-(6-Aminopyridin-3-yl)-N-(2,3-dimethoxybenzyl)-N-methyl-2-propenamide  
 709652-29-9P, (E)-N-(4-Acetylaminobenzyl)-3-(6-aminopyridin-3-yl)-N-methyl-2-propenamide  
 709652-67-5P, (E)-3-(6-Aminopyridin-3-yl)-N-(2-ethoxy-3-methoxybenzyl)-N-methyl-2-propenamide monohydrochloride  
 709652-68-6P, (E)-3-(6-Aminopyridin-3-yl)-N-(2-propoxy-3-methoxybenzyl)-N-methyl-2-propenamide monohydrochloride  
 709652-69-7P, (E)-3-(6-Aminopyridin-3-yl)-N-(2-isopropoxy-3-methoxybenzyl)-N-methyl-2-propenamide monohydrochloride  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

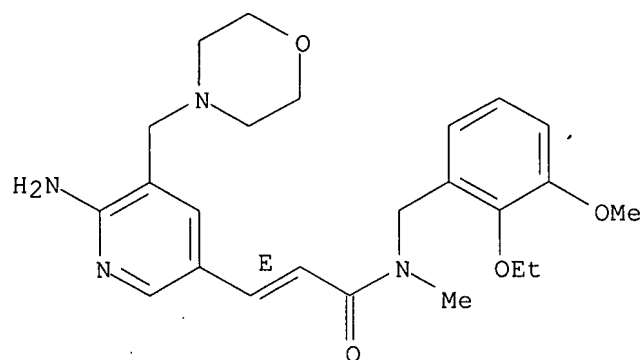
(bactericide; compns. comprising multiple antibiotic agents and preparation of heterocycle FabI inhibitor)

RN 709651-92-3 HCAPLUS

CN 2-Propenamide, 3-[6-amino-5-(4-morpholinylmethyl)-3-pyridinyl]-N-[(2-ethoxy-3-methoxyphenyl)methyl]-N-methyl-, monohydrochloride, (2E)- (9CI)  
 (CA INDEX NAME)

Double bond geometry as shown.

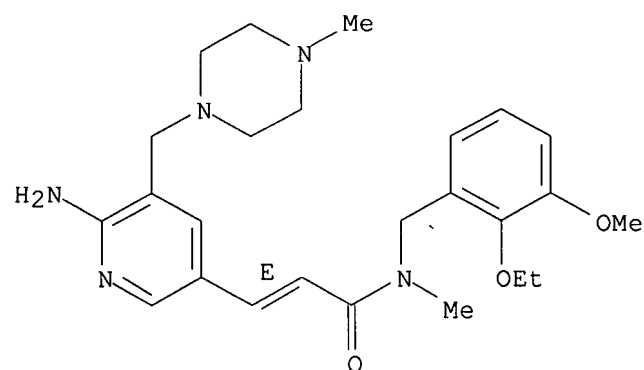
10510053



● HCl

RN 709652-09-5 HCAPLUS  
CN 2-Propenamide, 3-[6-amino-5-[(4-methyl-1-piperazinyl)methyl]-3-pyridinyl]-  
N-[(2-ethoxy-3-methoxyphenyl)methyl]-N-methyl-, monohydrochloride, (2E)-  
(9CI) (CA INDEX NAME)

Double bond geometry as shown.



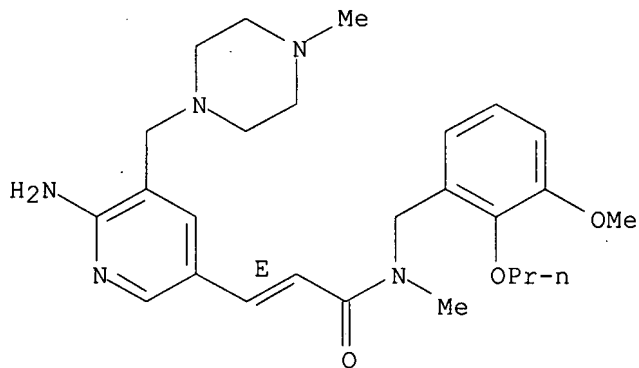
● HCl

RN 709652-12-0 HCAPLUS  
CN 2-Propenamide, 3-[6-amino-5-[(4-methyl-1-piperazinyl)methyl]-3-pyridinyl]-  
N-[(3-methoxy-2-propoxyphenyl)methyl]-N-methyl-, monohydrochloride, (2E)-  
(9CI) (CA INDEX NAME)

Double bond geometry as shown.

Updated Search

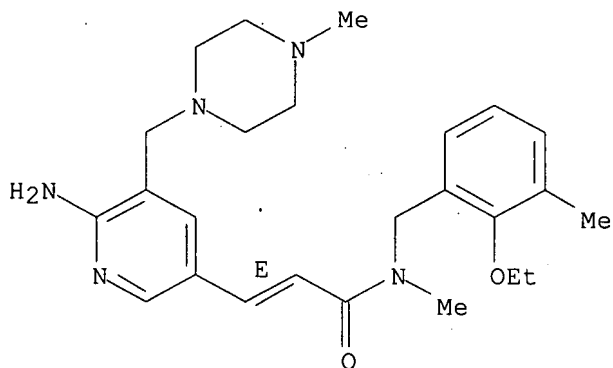
10510053



● HCl

RN 709652-13-1 HCAPLUS  
CN 2-Propenamide, 3-[6-amino-5-[(4-methyl-1-piperazinyl)methyl]-3-pyridinyl]-N-[(2-ethoxy-3-methylphenyl)methyl]-N-methyl-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

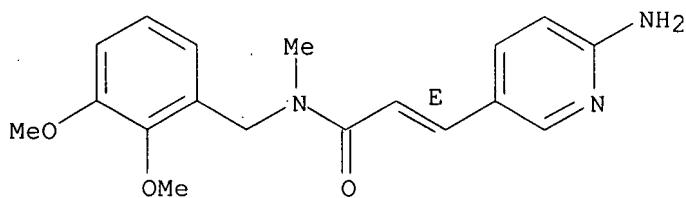
Double bond geometry as shown.



● HCl

RN 709652-28-8 HCAPLUS  
CN 2-Propenamide, 3-(6-amino-3-pyridinyl)-N-[(2,3-dimethoxyphenyl)methyl]-N-methyl-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



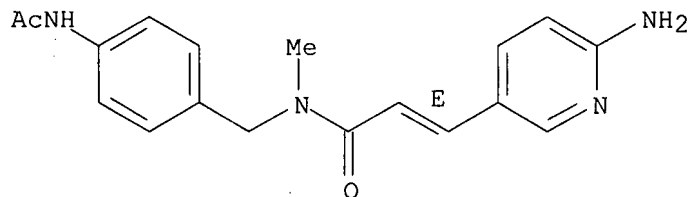
Updated Search

10510053

RN 709652-29-9 HCAPLUS

CN 2-Propenamide, N-[[4-(acetamino)phenyl)methyl]-3-(6-amino-3-pyridinyl)-N-methyl-, (2E)- (9CI) (CA INDEX NAME)

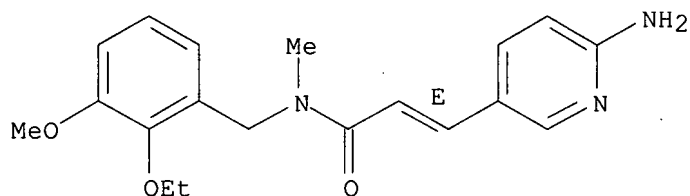
Double bond geometry as shown.



RN 709652-67-5 HCAPLUS

CN 2-Propenamide, 3-(6-amino-3-pyridinyl)-N-[(2-ethoxy-3-methoxyphenyl)methyl]-N-methyl-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

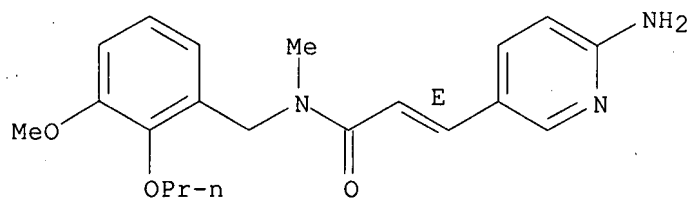


● HCl

RN 709652-68-6 HCAPLUS

CN 2-Propenamide, 3-(6-amino-3-pyridinyl)-N-[(3-methoxy-2-propoxyphenyl)methyl]-N-methyl-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● HCl

RN 709652-69-7 HCAPLUS

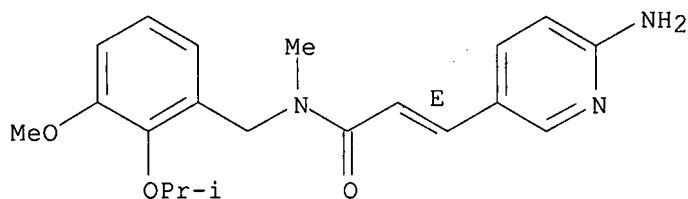
CN 2-Propenamide, 3-(6-amino-3-pyridinyl)-N-[[3-methoxy-2-(1-methylethoxy)phenyl)methyl]-N-methyl-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Updated Search



10510053

Double bond geometry as shown.



● HCl

L20 ANSWER 8 OF 40 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:99988 HCAPLUS

DOCUMENT NUMBER: 144:192493

TITLE: Preparation of N-(benzoylphenyl)tyrosine derivatives as PPAR $\gamma$  modulators

INVENTOR(S): Serra Comas, Carmen; Fernandez Serrat, Anna; Balsa Lopez, Dolores; Masip Masip, Isabel; Catena Ruiz, Juan Lorenzo; Hidalgo Rodriguez, Jose; Lagunas Arnal, Carmen; Salcedo Roca, Carolina; Fernandez Garcia, Andres

PATENT ASSIGNEE(S): Laboratorios S.A.L.V.A.T., S.A., Spain

SOURCE: PCT Int. Appl., 123 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

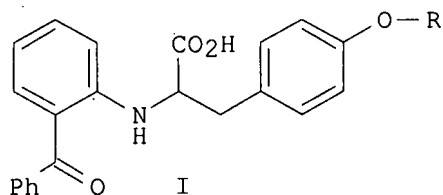
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006010775	A1	20060202	WO 2005-EP53728	20050729
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RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
AU 2005266337	A1	20060202	AU 2005-266337	20050729
CA 2574021	A1	20060202	CA 2005-2574021	20050729
EP 1778624	A1	20070502	EP 2005-778004	20050729
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
IN 2007CN00845	A	20070824	IN 2007-CN845	20070227
PRIORITY APPLN. INFO.:			ES 2004-1966	A 20040730
			WO 2005-EP53728	W 20050729

OTHER SOURCE(S): MARPAT 144:192493

Updated Search

10510053

GI



AB The invention relates to tyrosine derivs. I [R is (CH<sub>2</sub>)<sub>2</sub>-3N(X-R<sub>1</sub>)-A-J-T, where X is null or CO; R<sub>1</sub> is alkyl, haloalkyl, alkoxyalkyl, alkenyl, alkynyl, alk(en)(yn)ylene-Y (Y is a ring); A is alk(en)(yn)ylene or alk(en)(yn)ylene-Z (Z is a ring); J is a bond, (CH<sub>2</sub>)<sub>1-4</sub>, O, S, SO<sub>2</sub>, CO, etc.; T is H, alk(en)(yn)yl or Y], including stereoisomers and pharmaceutically-acceptable salts, which are PPAR<sub>γ</sub> modulators and therefore are useful for the treatment or prevention of a condition or disease mediated by these receptors. Thus, (S)-2-(2-benzoylphenylamino)-3-[4-[3-[benzyl(3-phenylpropynoyl)amino]ethoxy]phenyl]propionic acid was prepared and K<sub>i</sub> < 500 nM in the PPAR<sub>γ</sub> affinity assay.

IT 875404-24-3P 875404-25-4P

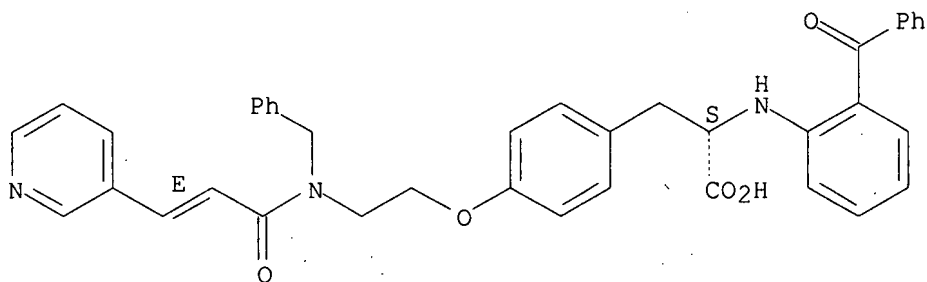
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-(benzoylphenyl)tyrosine derivs. as PPAR<sub>γ</sub> modulators)

RN 875404-24-3 HCAPLUS

CN L-Tyrosine, N-(2-benzoylphenyl)-O-[2-[[ (2E)-1-oxo-3-(3-pyridinyl)-2-propenyl](phenylmethyl)amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



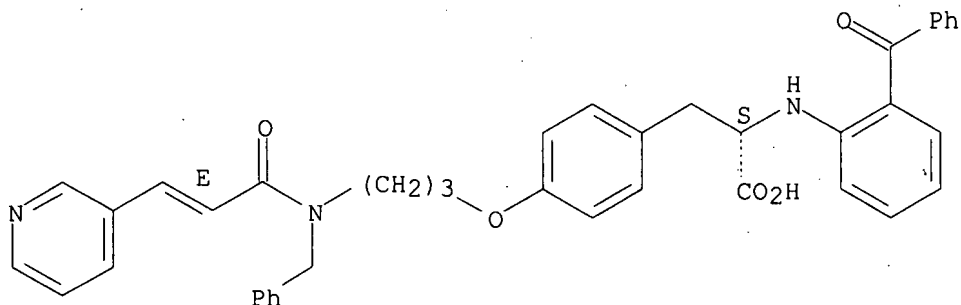
RN 875404-25-4 HCAPLUS

CN L-Tyrosine, N-(2-benzoylphenyl)-O-[3-[[ (2E)-1-oxo-3-(3-pyridinyl)-2-propenyl](phenylmethyl)amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

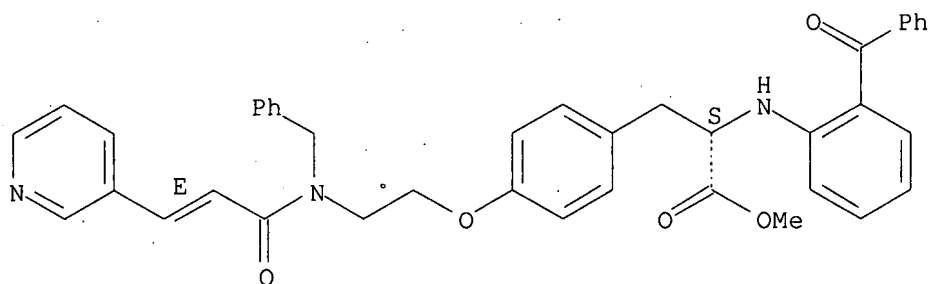
Updated Search

10510053



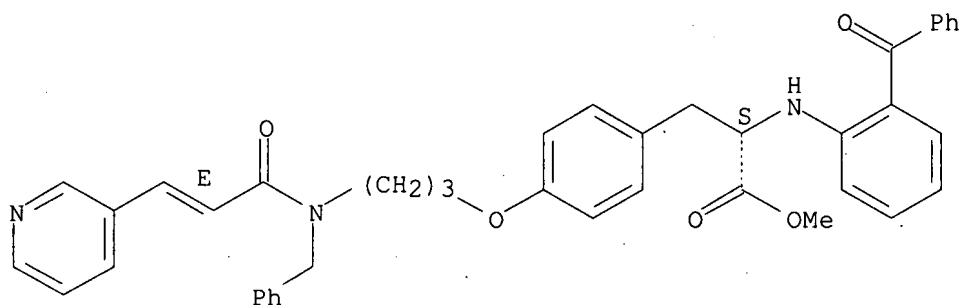
IT 875411-02-2P 875411-03-3P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation of N-(benzoylphenyl)tyrosine derivs. as PPAR $\gamma$  modulators)  
RN 875411-02-2 HCAPLUS  
CN L-Tyrosine, N-(2-benzoylphenyl)-O-[2-[[[(2E)-1-oxo-3-(3-pyridinyl)-2-  
propenyl](phenylmethyl)amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



RN 875411-03-3 HCAPLUS  
CN L-Tyrosine, N-(2-benzoylphenyl)-O-[3-[[[(2E)-1-oxo-3-(3-pyridinyl)-2-  
propenyl](phenylmethyl)amino]propyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Updated Search

10510053

L20 ANSWER 9 OF 40 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1343003 HCAPLUS

DOCUMENT NUMBER: 144:80584

TITLE: Insight into the Structural Requirements of  
Urokinase-Type Plasminogen Activator Inhibitors Based  
on 3D QSAR CoMFA/CoMSIA Models

AUTHOR(S): Bhongade, Bhoomendra A.; Gadad, Andanappa K.

CORPORATE SOURCE: Department of Medicinal Chemistry College of Pharmacy,  
J. N. Medical College, Karnataka, India

SOURCE: Journal of Medicinal Chemistry (2006), 49(2), 475-489  
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Urokinase-type plasminogen activator (uPA), a trypsin-like serine protease, has been implicated in large number of malignancies, tumor cell invasion, angiogenesis and metastasis; hence, the potent and selective inhibitors of uPA may therefore be therapeutically useful drugs for treatment of various forms of cancer. A three-dimensional quant. structure-activity relation (3D QSAR) study was performed on five different chemical series reported as selective uPA inhibitors employing comparative mol. field anal. (CoMFA)/comparative mol. similarity indexes anal. (CoMSIA) techniques to investigate the structural requirements for substrates and derive a predictive model that may be used for the design of novel uPA inhibitors. ClogP has been used as an addnl. descriptor in the CoMFA anal. to study the effects of lipophilic parameters on activity. Inclusion of ClogP did not improve the models significantly and exhibited comparable correlation coeffs. with CoMFA steric and electrostatic models. 3D QSAR models were derived for 2-pyridinylguanidines (training set N = 25, test set N = 8), 4-aminoarylguanidines and 4-aminoarylbenzamidines (training set N = 29, test set N = 8), thiophene-2-carboxamidines (training set N = 64, test set N = 19), 2-naphthamidines (training set N = 32, test set N = 8), and 1-isoquinolinylguanidines (training set N = 29, test set N = 7). The CoMFA models with steric and electrostatic fields exhibited  $r^2_{cv}$  0.452-0.722,  $r^2_{ncv}$  0.812-0.986,  $r^2_{pred}$  0.597-0.870, whereas CoMFA ClogP models showed  $r^2_{cv}$  0.420-0.707,  $r^2_{ncv}$  0.849-0.957,  $r^2_{pred}$  0.600-0.870. The CoMSIA models displayed  $r^2_{cv}$  0.663-0.729,  $r^2_{ncv}$  0.909-0.998,  $r^2_{pred}$  0.554-0.855. 3D contour maps generated from these models were analyzed individually, which provides the regions in space where interactive fields may influence the activity. The superimposition of contour maps on the active site of serine proteases addnl. helps in understanding the structural requirements of these inhibitors. Further, the predictive ability of 3D QSAR models was affirmed by predicting the activity of novel 2-naphthamidines. 3D QSAR models developed may be used in designing and predicting the uPA inhibitory activity of novel mols.

IT 872354-19-3 872354-20-6

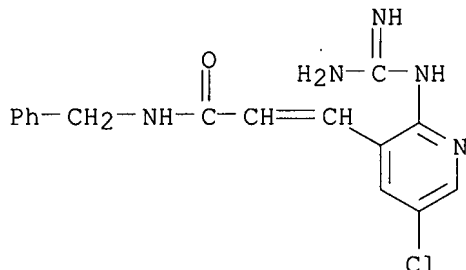
RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)

(structural requirements of uPA inhibitors based on QSAR CoMFA/CoMSIA models)

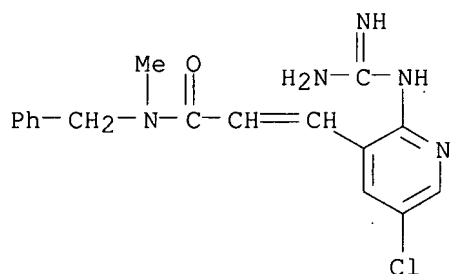
RN 872354-19-3 HCAPLUS

CN 2-Propenamide, 3-[2-[(aminoiminomethyl)amino]-5-chloro-3-pyridinyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

10510053



RN 872354-20-6 HCAPLUS  
CN 2-Propenamide, 3-[2-[(aminoiminomethyl)amino]-5-chloro-3-pyridinyl]-N-methyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 72 THERE ARE 72 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 10 OF 40 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1199192 HCAPLUS

DOCUMENT NUMBER: 144:94548

TITLE: Quantitation of chidamide

AUTHOR(S): Liang, Guanghua; Huang, Guohui; Pau, Xiqiang

CORPORATE SOURCE: Guangzhou Institute for Drug Central, Guangzhou, 510160, Peop. Rep. China

SOURCE: Guangdong Yaoxue (2005), 15(4), 9-11

CODEN: GYUAAU; ISSN: 1007-9939

PUBLISHER: Guangdong Yaoxue Bianjibu

DOCUMENT TYPE: Journal

LANGUAGE: Chinese

AB A method for the determination of chidamide by HPLC was presented.

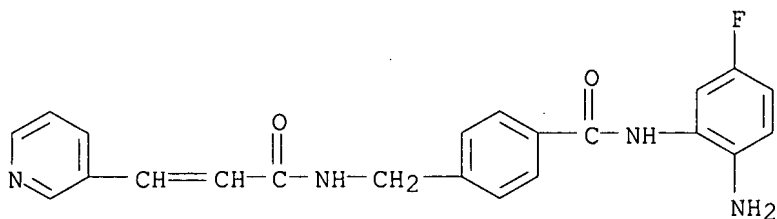
IT 743420-02-2, Chidamide

RL: ANT (Analyte); ANST (Analytical study)  
(determination of chidamide by HPLC)

RN 743420-02-2 HCAPLUS

CN Benzamide, N-(2-amino-5-fluorophenyl)-4-[[[1-oxo-3-(3-pyridinyl)-2-propen-1-yl]amino]methyl]- (CA INDEX NAME)

10510053



L20 ANSWER 11 OF 40 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:570875 HCAPLUS

DOCUMENT NUMBER: 143:97273

TITLE: Preparation of benzyl cyanocinnamides and related compounds for treatment of cell proliferative diseases

INVENTOR(S): Priebe, Waldemar; Donato, Nicholas; Talpaz, Moshe; Szymanski, Slawomir; Fokt, Izabela; Levitki, Alexander

PATENT ASSIGNEE(S): Board of Regents, the University of Texas System, USA

SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

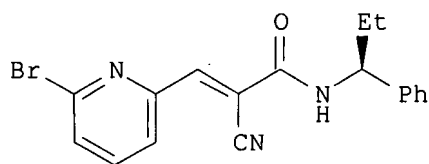
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005058829	A1	20050630	WO 2004-US41712	20041213
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN				
AU 2004298511	A1	20050630	AU 2004-298511	20041213
CA 2548152	A1	20050630	CA 2004-2548152	20041213
US 2005277680	A1	20051215	US 2004-10834	20041213
EP 1701941	A1	20060920	EP 2004-813958	20041213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
CN 1894215	A	20070110	CN 2004-80037045	20041213
BR 2004016981	A	20070221	BR 2004-16981	20041213
JP 2007515413	T	20070614	JP 2006-544085	20041213
IN 2006DN03155	A	20070824	IN 2006-DN3155	20060602
MX 2006PA06460	A	20060823	MX 2006-PA6460	20060607
PRIORITY APPLN. INFO.:			US 2003-528877P	P 20031211
			WO 2004-US41712	W 20041213
OTHER SOURCE(S):			CASREACT 143:97273; MARPAT 143:97273	
GI				

10510053

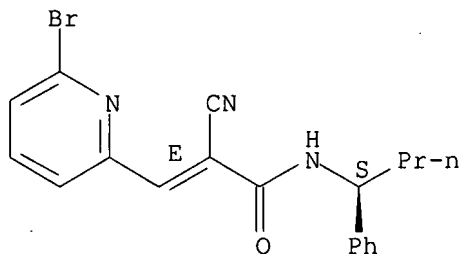


AB RR2C:CR4COR3 [R = R1, R1Z1; Z1 = alkylene; R1 = (substituted) pyridinyl, Ph, pyranyl, thiopyranyl, piperidinyl; R2 = H, OH, SH, NO2, alkyl, alkenyl, alkynyl, alkoxy, alkylaryl, halo, NH2, etc.; R3 = tetrahydroisoquinolinyl, dihydroisoindolyl, amino, pyridinylmethylamino, (substituted) benzylamino, benzyloxy, benzylthio, etc.; R4 = cyano, alkyl, alkylthiomethyl, CH2N3, substituted amino], were prepared Thus, title compound (I) showed an IC50 = 800 nM against MM1 myeloma tumors.

IT 856243-80-6P 856243-81-7P 857064-38-1P, WP  
1066 857064-40-5P, WP 1015 857064-69-8P, WP 1065  
857064-70-1P, WP 1075  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(claimed compound; preparation of benzyl cyanocinnamides and related compds. for treatment of cell proliferative diseases)

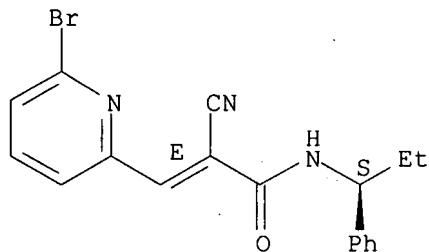
RN 856243-80-6 HCAPLUS  
CN 2-Propenamide, 3-(6-bromo-2-pyridinyl)-2-cyano-N-[(1S)-1-phenylbutyl]-, (2E)- (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



RN 856243-81-7 HCAPLUS  
CN 2-Propenamide, 3-(6-bromo-2-pyridinyl)-2-cyano-N-[(1S)-1-phenylpropyl]-, (2E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



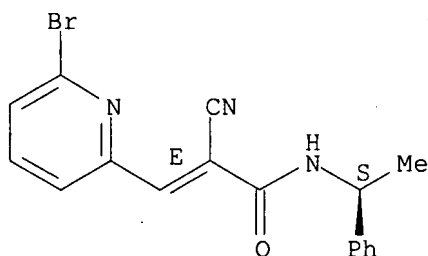
Updated Search

10510053

RN 857064-38-1 HCAPLUS

CN 2-Propenamide, 3-(6-bromo-2-pyridinyl)-2-cyano-N-[(1S)-1-phenylethyl]-, (2E)- (CA INDEX NAME)

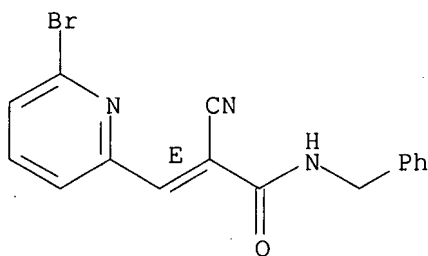
Absolute stereochemistry.  
Double bond geometry as shown.



RN 857064-40-5 HCAPLUS

CN 2-Propenamide, 3-(6-bromo-2-pyridinyl)-2-cyano-N-(phenylmethyl)-, (2E)- (9CI) (CA INDEX NAME)

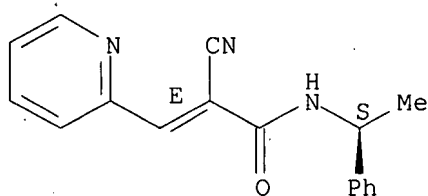
Double bond geometry as shown.



RN 857064-69-8 HCAPLUS

CN 2-Propenamide, 2-cyano-N-[(1S)-1-phenylethyl]-3-(2-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



RN 857064-70-1 HCAPLUS

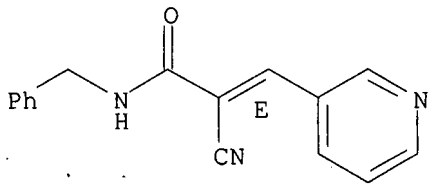
CN 2-Propenamide, 2-cyano-N-(phenylmethyl)-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Updated Search



10510053



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 12 OF 40 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:464384 HCAPLUS

DOCUMENT NUMBER: 144:27469

TITLE: Histone deacetylase inhibitors of benzamide derivatives with differentiation and antiproliferative activity

INVENTOR(S): Lu, Xianping; Li, Zhibin; Xie, Aihua

PATENT ASSIGNEE(S): Shenzhen Microchip Biological Sci. & Tech. Co., Ltd., Peop. Rep. China

SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, No pp. given  
CODEN: CNXXEV

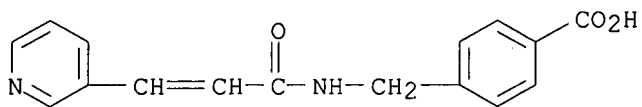
DOCUMENT TYPE: Patent

LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	CN 1513839	A	20040721	CN 2003-139760	20030704
PRIORITY APPLN. INFO.:				CN 2003-139760	20030704
AB	The present invention is related to the preparation and pharmaceutical use of the novel benzamide derivs. as histone deacetylase inhibitors (HDACI). The method of using these compds. and their pharmaceutically acceptable salts for treating cell proliferative diseases such as cancer and psoriasis is also disclosed.				
IT	219964-34-8P 743420-02-2P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (histone deacetylase inhibitors of benzamide derivs. with differentiation and antiproliferative activity)				
RN	219964-34-8 HCAPLUS				
CN	Benzoic acid, 4-[[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]methyl]- (9CI) (CA INDEX NAME)				

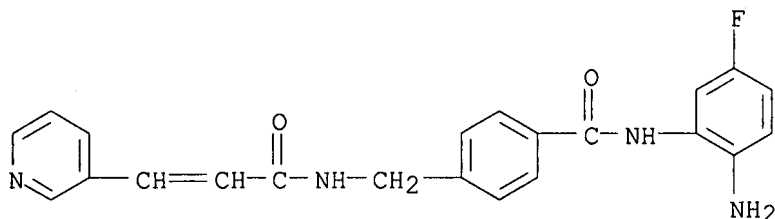


RN 743420-02-2 HCAPLUS

CN Benzoic acid, N-(2-amino-5-fluorophenyl)-4-[[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]methyl]- (CA INDEX NAME)

Updated Search

10510053



L20 ANSWER 13 OF 40 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2005:182657 HCAPLUS  
 DOCUMENT NUMBER: 142:280195  
 TITLE: Preparation of biaryl heterocyclic compounds and methods of making and using the same in pharmaceutical applications  
 INVENTOR(S): Zhou, Jiacheng; Bhattacharjee, Ashoke; Chen, Shili; Chen, Yi; Farmer, Jay J.; Goldberg, Joel A.; Hanselmann, Roger; Lou, Rongliang; Orbin, Alia; Oyelere, Adegboyega K.; Salvino, Joseph M.; Springer, Dane M.; Tran, Jennifer; Wang, Deping; Wu, Yusheng  
 PATENT ASSIGNEE(S): Rib-X Pharmaceuticals, Inc., USA  
 SOURCE: PCT Int. Appl., 259 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 5  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019211	A2	20050303	WO 2004-US17101	20040602
WO 2005019211	A3	20060330		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004267007	A1	20050303	AU 2004-267007	20040602
CA 2528089	A1	20050303	CA 2004-2528089	20040602
EP 1656370	A2	20060517	EP 2004-776193	20040602
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1832932	A	20060913	CN 2004-80021883	20040602
JP 2006526647	T	20061124	JP 2006-515034	20040602
US 2005203147	A1	20050915	US 2005-118808	20050429
US 7148219	B2	20061212		
MX 2005PA13132	A	20060525	MX 2005-PA13132	20051205
IN 2005KN02516	A	20061013	IN 2005-KN2516	20051207
US 2006264426	A1	20061123	US 2006-486769	20060714
PRIORITY APPLN. INFO.:			US 2003-475430P	P 20030603
			US 2003-475453P	P 20030603

10510053

US 2003-490855P	P 20030729
US 2003-529731P	P 20031215
US 2003-531584P	P 20031219
US 2004-859476	A1 20040602
WO 2004-US17101	W 20040602
US 2005-118808	A1 20050429

OTHER SOURCE(S): CASREACT 142:280195; MARPAT 142:280195  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [A and B independently = Ph, pyridyl, pyrazinyl, pyrimidinyl, or pyridazinyl; R1 and R2 = independently = halo, CF3, CN, NO2, NR42, COR4, etc.; R3 = OR4, NR42, COR4, CO2R4, CSR4, etc.; R4 independently = H, (un)substituted-alkyl, -alkenyl, -alkynyl, -aryl, etc.; M = (un)substituted, (un)saturated carbocycle or aryl heterocycle containing

one

or more heteroatoms chosen from N, O, and S; L = X, L1, L1X, XL2, L1XL2, XL1XL2, L1XL2X, X2, L1X2, X2L2, L1X2L2 wherein X independently = O, NR4, NO, N(OR4), NR4NR4, etc., and L1 and L2 are independently = (un)substituted-alkyl, -alkenyl, -alkynyl; m = 0-4; n = 0-4], and their pharmaceutically acceptable salts, are prepared Thus, e.g., II was prepared by conversion of TBDPS protected 1-bromo-4-(2-hydroxyethyl)benzene to the arylboronic acid which is coupled with N-[3-(3-fluoro-4-iodophenyl)-2-oxo-oxazolidin-5-ylmethyl]acetamide followed by desilylation, mesylation, and substitution with imidazole. I are disclosed as potential anti-infective, anti-proliferative, anti-inflammatory, and prokinetic agents (no data). More particularly, the invention relates to a family of compds. having both a biaryl moiety and at least one heterocyclic moiety that are useful as such agents.

IT 847490-17-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

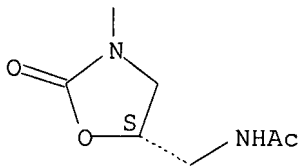
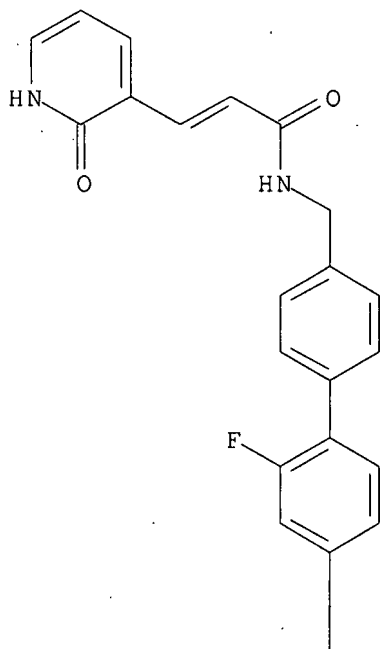
(preparation of biaryl heterocyclic compound as anti-infective, anti-proliferative, anti-inflammatory, and prokinetic agents)

RN 847490-17-9 HCAPLUS

CN 2-Propenamide, N-[[4'-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2'-fluoro[1,1'-biphenyl]-4-yl]methyl]-3-(1,2-dihydro-2-oxo-3-pyridinyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry unknown.

Updated Search



L20 ANSWER 14 OF 40 HCAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 2004:921745 HCAPLUS  
DOCUMENT NUMBER: 142:106026  
TITLE: Structural studies of biarylpyridines fluorophores  
lead to the identification of promising long  
wavelength emitters for use in fluorescent  
chemosensors  
AUTHOR(S): Fang, A. G.; Mello, J. V.; Finney, N. S.  
CORPORATE SOURCE: Department of Chemistry and Biochemistry, University  
of California, San Diego, La Jolla, CA, 92093-0358,  
USA  
SOURCE: Tetrahedron (2004), 60(49), 11075-11087  
CODEN: TETRAB; ISSN: 0040-4020  
PUBLISHER: Elsevier B.V.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 142:106026  
AB Fluorescent chemosensors-mols. whose fluorescence emission changes in  
response to a reversible binding event-require both a substrate binding

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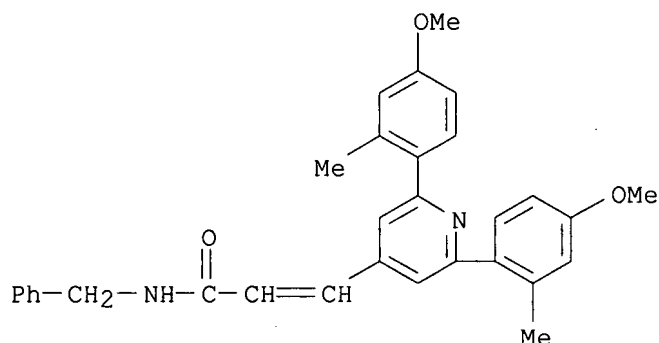
domain and a reporting fluorophore. The approach to chemosensor development is based on a combination of a new signaling mechanism and a modular fluorophore synthesis. The latter feature has facilitated detailed study of the properties of polyarylpiperidine fluorophores, and led to the identification of a visibly-emissive piperidine as a promising lead structure for chemosensor development. The results of this study are described herein.

IT 816446-61-4P

RL: ARG (Analytical reagent use); PRP (Properties); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses) (metal ions determination by fluorometry with long wavelength emitting biarylpiperidine fluorophores)

RN 816446-61-4 HCAPLUS

CN 2-Propenamide, 3-[2,6-bis(4-methoxy-2-methylphenyl)-4-pyridinyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 15 OF 40 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:799437 HCAPLUS

DOCUMENT NUMBER: 141:314353

TITLE: Compositions comprising multiple antibiotic agents including a FabI inhibitor, methods of using the same, and preparation of the heterocycle FabI inhibitors  
INVENTOR(S): Berman, Judd M.; Schmid, Molly B.; Mendlein, John D.; Kaplan, Nachum

PATENT ASSIGNEE(S): Affinium Pharmaceuticals, Inc., Can.

SOURCE: PCT Int. Appl., 311 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004082586	A2	20040930	WO 2004-IB1261	20040317
WO 2004082586	A3	20041223		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,

Updated Search

10510053

TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,  
 BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,  
 ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,  
 SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,  
 TD, TG

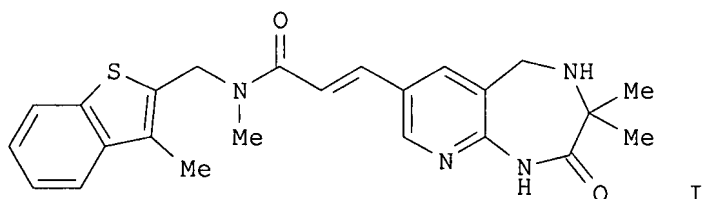
CA 2519429 A1 20040930 CA 2004-2519429 20040317  
 EP 1608377 A2 20051228 EP 2004-721257 20040317  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK  
 JP 2006523207 T 20061012 JP 2006-506526 20040317  
 US 2006142265 A1 20060629 US 2005-231298 20050919

PRIORITY APPLN. INFO.:

US 2003-455189P P 20030317  
 US 2003-476970P P 20030609  
 US 2003-488379P P 20030718  
 WO 2004-IB1261 W 20040317

OTHER SOURCE(S): MARPAT 141:314353

GI



AB The invention is directed to antibacterial compns. comprising an NADH (or NADPH)-dependent enoyl-acyl carrier protein (ACP) reductase (FabI, previously designated EnvM) inhibitor of formula (Y1)a-A-CH(R1)-NR1CO-L-R2 (I) and at least one other antibiotic/antibacterial agent [L = alkyl, alkenyl, or cycloalkyl which may be substituted by one or more R1; A = (un)substituted bicyclic heteroaryl of 8-12 atoms or a tricyclic ring of 12-16 atoms, containing 1-4 heteroatoms selected from N, S, and O; R1 = cyclo/alkyl, alk/aryl; R2 = heterocyclyl; a = 0-4; Y1 = -(CH2)n-CO-NR4R5; R4 = water solubilizing group; R5 = H, cyclo/alkyl; n = 0-4]. The antibacterial composition exhibits a synergistic antibacterial effect compared to its individual components. Thus, reacting 7-Bromo-3,3-dimethyl-1,3,4,5-tetrahydropyrido[2,3-e][1,4]diazepin-2-one (preparation given) with N-Methyl-N-[(3-methylbenzo[b]thiophen-2-yl)methyl]acrylamide (preparation given), followed by acidulation gave diazepinone salt II•HCl. Selected I inhibited FabI with a Ki < 1 nM, an MIC (minimal inhibitory concentration) < 0.125 µg/mL, and an IC50 < 10 nM.

IT 709651-92-3P, (E)-3-[6-Amino-5-[(morpholin-4-yl)methyl]pyridin-3-yl]-N-(2-ethoxy-3-methoxybenzyl)-N-methyl-2-propenamide monohydrochloride  
 709652-09-5P, (E)-3-[6-Amino-5-(4-methylpiperazin-1-ylmethyl)pyridin-3-yl]-N-(2-ethoxy-3-methoxybenzyl)-N-methyl-2-propenamide monohydrochloride  
 709652-12-0P, (E)-3-[6-Amino-5-(4-methylpiperazin-1-ylmethyl)pyridin-3-yl]-N-(3-methoxy-2-propoxybenzyl)-N-methyl-2-propenamide monohydrochloride  
 709652-13-1P, (E)-3-[6-Amino-5-(4-methylpiperazin-1-ylmethyl)pyridin-3-yl]-N-(2-ethoxy-3-methylbenzyl)-N-methyl-2-propenamide monohydrochloride  
 709652-28-8P, (E)-3-(6-Aminopyridin-3-yl)-N-(2,3-dimethoxybenzyl)-N-methyl-2-propenamide  
 709652-29-9P, (E)-N-(4-Acetylaminobenzyl)-3-(6-aminopyridin-3-yl)-N-methyl-2-propenamide  
 709652-67-5P, (E)-3-(6-Aminopyridin-3-yl)-N-(2-ethoxy-3-methoxybenzyl)-N-methyl-2-

Updated Search

10510053

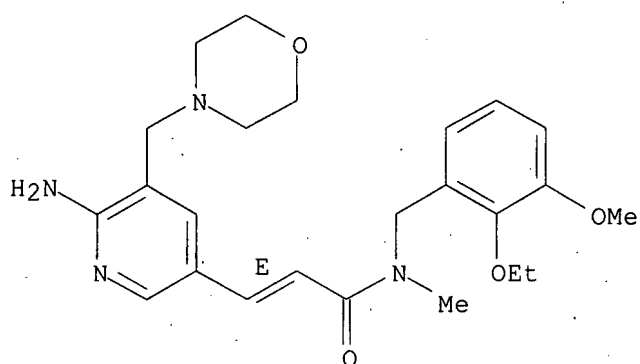
propenamide monohydrochloride 709652-68-6P, (E)-3-(6-Aminopyridin-3-yl)-N-(2-propoxy-3-methoxybenzyl)-N-methyl-2-propenamide monohydrochloride 709652-69-7P, (E)-3-(6-Aminopyridin-3-yl)-N-(2-isopropoxy-3-methoxybenzyl)-N-methyl-2-propenamide monohydrochloride  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(bactericide; compns. comprising multiple antibiotic agents and preparation of heterocycle FabI inhibitor)

RN 709651-92-3 HCAPLUS

CN 2-Propenamide, 3-[6-amino-5-(4-morpholinylmethyl)-3-pyridinyl]-N-[(2-ethoxy-3-methoxyphenyl)methyl]-N-methyl-, monohydrochloride, (2E)- (9CI)  
(CA INDEX NAME)

Double bond geometry as shown.

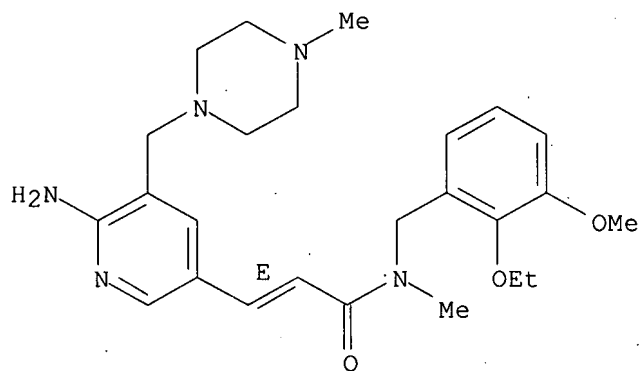


● HCl

RN 709652-09-5 HCAPLUS

CN 2-Propenamide, 3-[6-amino-5-[(4-methyl-1-piperazinyl)methyl]-3-pyridinyl]-N-[(2-ethoxy-3-methoxyphenyl)methyl]-N-methyl-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● HCl

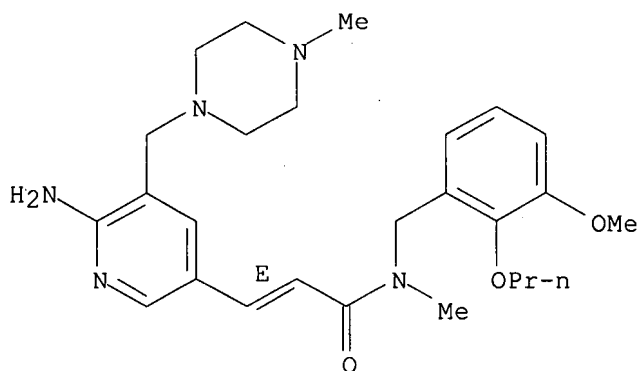
Updated Search

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RN 709652-12-0 HCAPLUS

CN 2-Propenamide, 3-[6-amino-5-[(4-methyl-1-piperazinyl)methyl]-3-pyridinyl]-N-[(3-methoxy-2-propoxyphenyl)methyl]-N-methyl-, monohydrochloride, (2E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

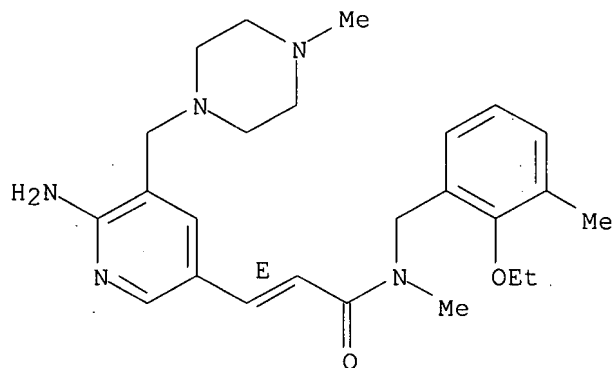


● HCl

RN 709652-13-1 HCAPLUS

CN 2-Propenamide, 3-[6-amino-5-[(4-methyl-1-piperazinyl)methyl]-3-pyridinyl]-N-[(2-ethoxy-3-methylphenyl)methyl]-N-methyl-, monohydrochloride, (2E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.



● HCl

RN 709652-28-8 HCAPLUS

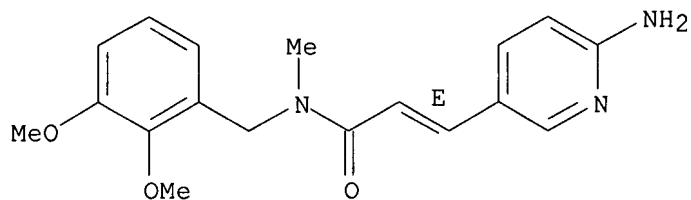
CN 2-Propenamide, 3-(6-amino-3-pyridinyl)-N-[(2,3-dimethoxyphenyl)methyl]-N-methyl-, (2E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

Updated Search



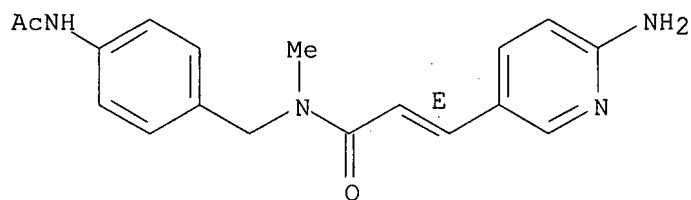
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RN 709652-29-9 HCAPLUS

CN 2-Propenamide, N-[[4-(acetylamino)phenyl)methyl]-3-(6-amino-3-pyridinyl)-N-methyl-, (2E)- (9CI) (CA INDEX NAME)

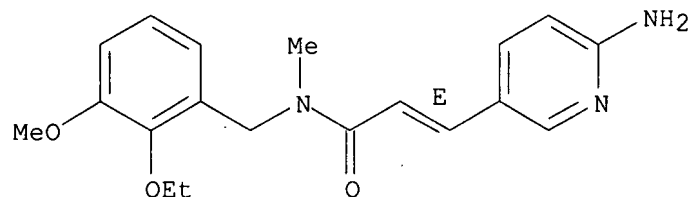
Double bond geometry as shown.



RN 709652-67-5 HCAPLUS

CN 2-Propenamide, 3-(6-amino-3-pyridinyl)-N-[(2-ethoxy-3-methoxyphenyl)methyl]-N-methyl-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● HCl

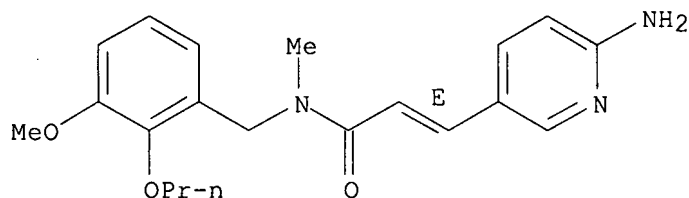
RN 709652-68-6 HCAPLUS

CN 2-Propenamide, 3-(6-amino-3-pyridinyl)-N-[(3-methoxy-2-propoxyphenyl)methyl]-N-methyl-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Updated Search

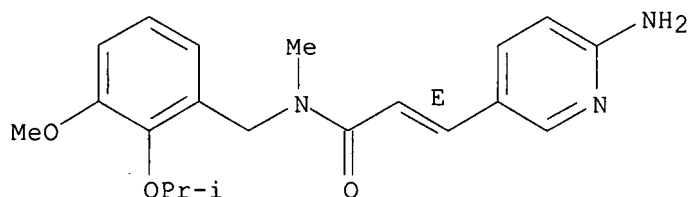
10510053



● HCl

RN 709652-69-7 HCAPLUS  
CN 2-Propenamide, 3-(6-amino-3-pyridinyl)-N-[[3-methoxy-2-(1-methylethoxy)phenyl]methyl]-N-methyl-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● HCl

L20 ANSWER 16 OF 40 HCAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 2004:698116 HCAPLUS  
DOCUMENT NUMBER: 141:218937  
TITLE: Histone deacetylase inhibitors of novel benzamide derivatives with potent differentiation and anti-proliferation activity  
INVENTOR(S): Lu, Xian-ping; Li, Zhibin; Xie, Aihua; Li, Boyu; Ning, Zhiqiang; Shan, Song; Deng, Tuo; Hu, Weiming  
PATENT ASSIGNEE(S): Shenzhen Chipscreen Biosciences Ltd., Peop. Rep. China  
SOURCE: PCT Int. Appl., 33 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004071400	A2	20040826	WO 2004-IB401	20040209
WO 2004071400	A3	20050616		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE,			

Updated Search

10510053

BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU,  
MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN,  
GQ, GW, ML, MR, NE, SN, TD, TG

US 2004224991	A1	20041111	US 2004-770035	20040202
US 7244751	B2	20070717		
AU 2004212345	A1	20040826	AU 2004-212345	20040209
CA 2511479	A1	20040826	CA 2004-2511479	20040209
EP 1592665	A2	20051109	EP 2004-709299	20040209
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
IN 2005KN01354	A	20061208	IN 2005-KN1354	20050713
HK 1079042	A2	20060324	HK 2005-107958	20050909
PRIORITY APPLN. INFO.:				
			US 2003-447915P	P 20030214
			US 2004-770035	A 20040202
			WO 2004-IB401	W 20040209
			WO 2004-US401	W 20040209

OTHER SOURCE(S): MARPAT 141:218937

AB The present invention is related to the preparation and pharmaceutical use of novel benzamide derivs. as histone deacetylase inhibitors (HDACI), their preps. and the methods of using these compds. or their pharmaceutically acceptable salt in the treatment of cell proliferative diseases, e.g. cancer and psoriasis.

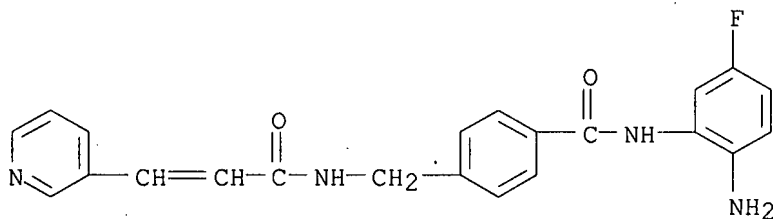
IT 743420-02-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(benzamide derivs. as histone deacetylase inhibitors with potent differentiation and anti-proliferation activity in relation to transcription activation of nuclear hormone receptors and combination with other agents)

RN 743420-02-2 HCAPLUS

CN Benzamide, N-(2-amino-5-fluorophenyl)-4-[[[1-oxo-3-(3-pyridinyl)-2-propen-1-yl]amino]methyl]- (CA INDEX NAME)



IT 219964-34-8P

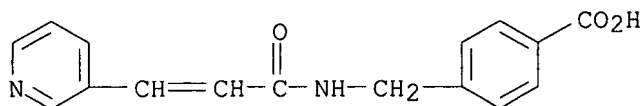
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Préparation); RACT (Reactant or reagent)

(benzamide derivs. as histone deacetylase inhibitors with potent differentiation and anti-proliferation activity in relation to transcription activation of nuclear hormone receptors and combination with other agents)

RN 219964-34-8 HCAPLUS

CN Benzoic acid, 4-[[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]methyl]- (9CI) (CA INDEX NAME)

10510053



L20 ANSWER 17 OF 40 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:639609 HCAPLUS

DOCUMENT NUMBER: 142:297958

TITLE: Synthesis of chidamide, a new histone deacetylase (HDAC) inhibitor

AUTHOR(S): Yin, Zi-hui; Wu, Zhong-wen; Lan, YU-kun; Liao, Chen-zhong; Shan, Song; Li, Zhi-liang; Ning, Zhi-qiang; Lu, Xian-ping; Li, Zhi-bin

CORPORATE SOURCE: Chipscreen Biosciences Ltd., Shenzhen, 518057, Peop. Rep. China

SOURCE: Zhongguo Xinyao Zazhi (2004), 13(6), 536-538  
CODEN: ZXZHA6; ISSN: 1003-3734

PUBLISHER: Zhongguo Xinyao Zazhishe

DOCUMENT TYPE: Journal

LANGUAGE: Chinese

OTHER SOURCE(S): CASREACT 142:297958

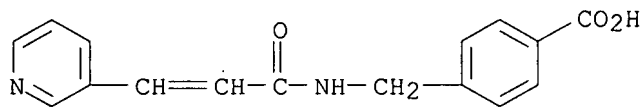
AB Objective: To synthesize chidamide {N-(2-amino-5-fluorophenyl)-4-[N-(pyridin-3-ylacryloyl)aminomethyl]benzamide}, a new histone deacetylase (HDAC) inhibitor. Methods: 3-Pyridineacrylic acid was prepared from 3-pyridine carboxaldehyde by Knoevenagel reaction, which was converted to the title compound by 2 steps of acetylation in the presence of N,N'-carbonyldiimidazole. Results: Chidamide was synthesized in a total yield of 29%. Conclusion: A gentle and easily controlled process for synthesis of chidamide is worked out.

IT 219964-34-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(synthesis of chidamide, a new histone deacetylase (HDAC) inhibitor)

RN 219964-34-8 HCAPLUS

CN Benzoic acid, 4-[[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]methyl]- (9CI)  
(CA INDEX NAME)

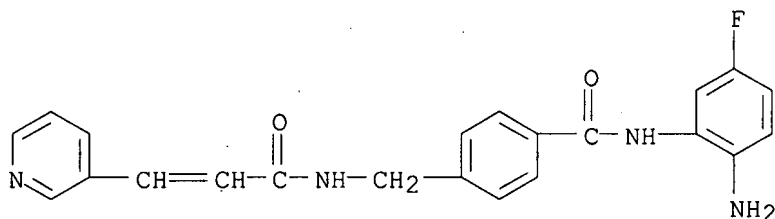


IT 743420-02-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(synthesis of chidamide, a new histone deacetylase (HDAC) inhibitor)

RN 743420-02-2 HCAPLUS

CN Benzamide, N-(2-amino-5-fluorophenyl)-4-[[[1-oxo-3-(3-pyridinyl)-2-propen-1-yl]amino]methyl]- (CA INDEX NAME)



L20 ANSWER 18 OF 40 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2004:515512 HCAPLUS  
 DOCUMENT NUMBER: 141:71572  
 TITLE: Preparation of heterocyclic compounds as antibacterial agents  
 INVENTOR(S): Berman, Judd; Sampson, Peter; Pauls, Heinz W.;  
 Ramnauth, Jailall; Manning, David Douglas; Surman,  
 Matthew David; Xie, Dejian; Decornez, Helene Yvonne  
 PATENT ASSIGNEE(S): Affinium Pharmaceuticals, Inc., Can.  
 SOURCE: PCT Int. Appl., 223 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004052890	A1	20040624	WO 2003-US38706	20031205
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2508792	A1	20040624	CA 2003-2508792	20031205
AU 2003298937	A1	20040630	AU 2003-298937	20031205
EP 1575951	A1	20050921	EP 2003-796699	20031205
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006513262	T	20060420	JP 2005-508475	20031205
US 2006183908	A1	20060817	US 2006-537747	20060327
PRIORITY APPLN. INFO.:			US 2002-431406P	P 20021206
			US 2003-465583P	P 20030425
			WO 2003-US38706	W 20031205

OTHER SOURCE(S): MARPAT 141:71572

AB Compds. of formula (Y1)a-A-CH(R1)-NR1CO-L-R2 [I; L = a bond, is alkyl, alkenyl, or cycloalkyl which may be substituted with one or more R1; A = a bicyclic heteroaryl ring of 8-12 atoms or a tricyclic ring of 12-16 atoms, wherein the heteroaryl rings contain 1-4 heteroatoms selected from N, S, and O, and wherein the heteroaryl rings are optionally substituted with one or more groups selected from Cl-4 alkyl, CH<sub>2</sub>OH, OR, SR, CN, N(R)<sub>2</sub>, CH<sub>2</sub>N(R)<sub>2</sub>, NO<sub>2</sub>, CF<sub>3</sub>, CO<sub>2</sub>R, CON(R)<sub>2</sub>, COR, NRC(O)R, F, Cl, Br, iodo, and S(O)rCF<sub>3</sub> (R = H, alkyl, alkaryl; r = 0-2); R1 = H, alkyl, cycloalkyl,

aryl, or alkaryl; R2 = heterocyclcyl] or pharmaceutically acceptable salts thereof are prepared These compds. inhibit an NADH (or NADPH)-dependent enoyl-acyl carrier protein (ACP) reductase (FabI, previously designated EnvM) which is believed to be a major biosynthetic enzyme and is a key regulatory point in the overall synthetic pathway of bacterial fatty acid biosynthesis and catalyzes the final step of fatty acid biosynthesis in some bacteria. The present invention also relates to inhibitors and compns. comprising inhibitors of enzymes similar to FabI either structurally or functionally, such as, for example, FabK which is also believed to play a role in bacterial fatty acid synthesis. In another aspect of the present invention, the antibacterial compds. of the present invention may be used to disinfect an inanimate surface by administering the antibacterial compound to the inanimate surface. Thus, (E)-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1H-pyrido[2,3-e][1,4]diazepin-7-yl)acrylic acid hydrochloride was condensed with N-methyl-N-(1-propylnaphthalen-2-yl)methylamine in DMF using diisopropylethylamine, 1-hydroxybenzotriazole hydrate, and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride at room temperature for 18 h to give, after silica gel chromatog., 41% (E)-N-Methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1H-pyrido[2,3-e][1,4]diazepin-7-yl)-N-[(1-propylnaphthalen-2-yl)methyl]acrylamide as a glassy orange solid and as a mixture of amide rotamers. The compds. I inhibit FabI with a Ki of about 5 pM or less.

IT 709651-92-3P 709652-09-5P 709652-12-0P  
709652-13-1P 709652-28-8P 709652-29-9P  
709652-67-5P 709652-68-6P 709652-69-7P

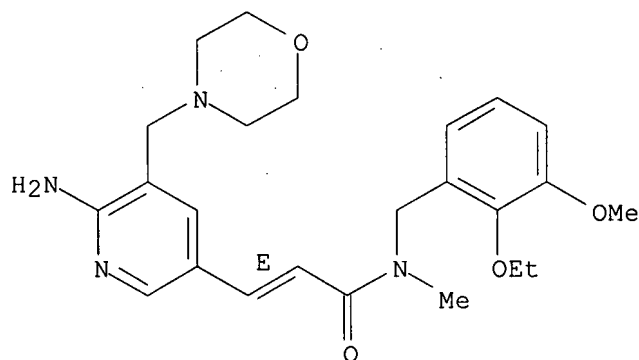
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic compds. as enoyl-acyl carrier protein reductase FabI inhibitors and antibacterial agents)

RN 709651-92-3 HCAPLUS

CN 2-Propenamide, 3-[6-amino-5-(4-morpholinylmethyl)-3-pyridinyl]-N-[(2-ethoxy-3-methoxyphenyl)methyl]-N-methyl-, monohydrochloride, (2E)- (9CI)  
(CA INDEX NAME)

Double bond geometry as shown.



● HCl

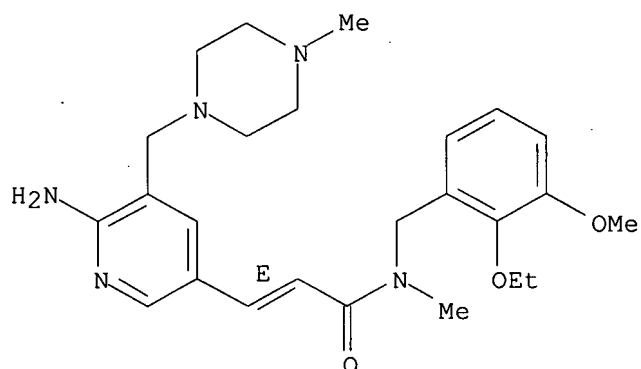
RN 709652-09-5 HCAPLUS

CN 2-Propenamide, 3-[6-amino-5-[(4-methyl-1-piperazinyl)methyl]-3-pyridinyl]-N-[(2-ethoxy-3-methoxyphenyl)methyl]-N-methyl-, monohydrochloride, (2E)-

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(9CI) (CA INDEX NAME)

Double bond geometry as shown.

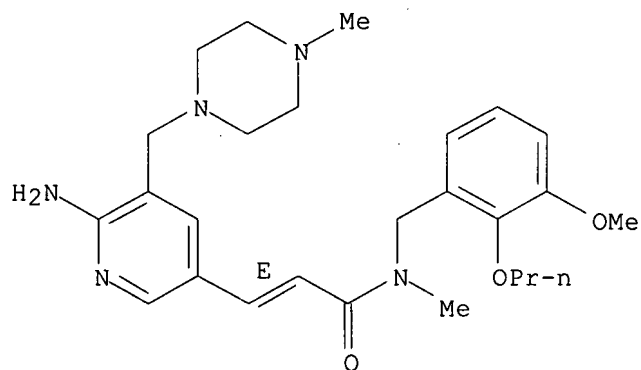


● HCl

RN 709652-12-0 HCAPLUS

CN 2-Propenamide, 3-[6-amino-5-[(4-methyl-1-piperazinyl)methyl]-3-pyridinyl]-  
N-[(3-methoxy-2-propoxyphenyl)methyl]-N-methyl-, monohydrochloride, (2E)-  
(9CI) (CA INDEX NAME)

Double bond geometry as shown.



● HCl

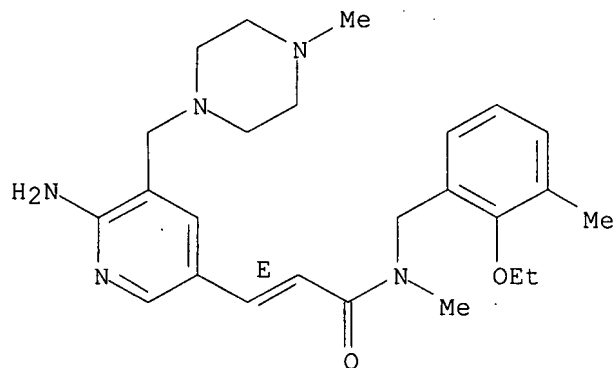
RN 709652-13-1 HCAPLUS

CN 2-Propenamide, 3-[6-amino-5-[(4-methyl-1-piperazinyl)methyl]-3-pyridinyl]-  
N-[(2-ethoxy-3-methylphenyl)methyl]-N-methyl-, monohydrochloride, (2E)-  
(9CI) (CA INDEX NAME)

Double bond geometry as shown.

Updated Search

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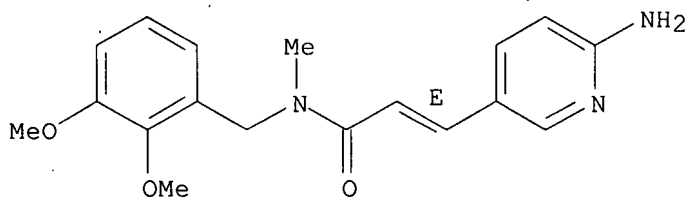


● HCl

RN 709652-28-8 HCAPLUS

CN 2-Propenamide, 3-(6-amino-3-pyridinyl)-N-[(2,3-dimethoxyphenyl)methyl]-N-methyl-, (2E)- (9CI) (CA INDEX NAME)

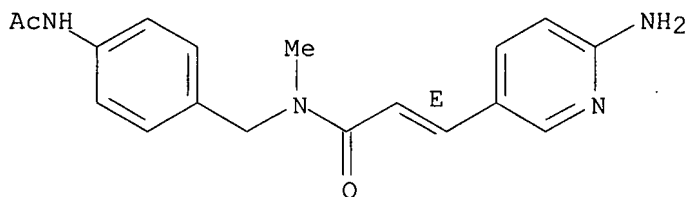
Double bond geometry as shown.



RN 709652-29-9 HCAPLUS

CN 2-Propenamide, N-[[4-(acetamino)phenyl]methyl]-3-(6-amino-3-pyridinyl)-N-methyl-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 709652-67-5 HCAPLUS

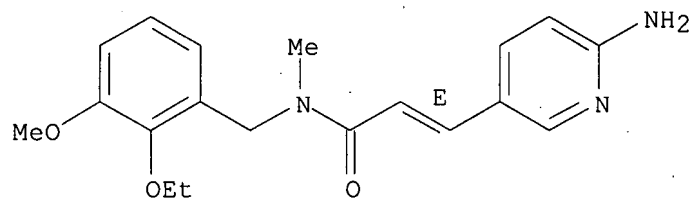
CN 2-Propenamide, 3-(6-amino-3-pyridinyl)-N-[(2-ethoxy-3-methoxyphenyl)methyl]-N-methyl-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Updated Search



10510053

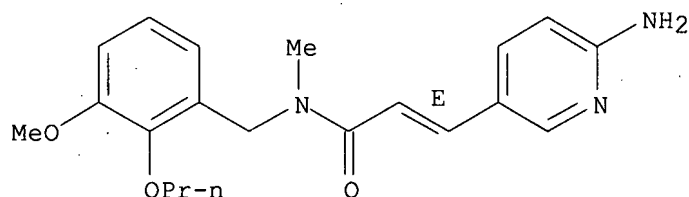


● HCl

RN 709652-68-6 HCAPLUS

CN 2-Propenamide, 3-(6-amino-3-pyridinyl)-N-[(3-methoxy-2-propoxyphenyl)methyl]-N-methyl-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

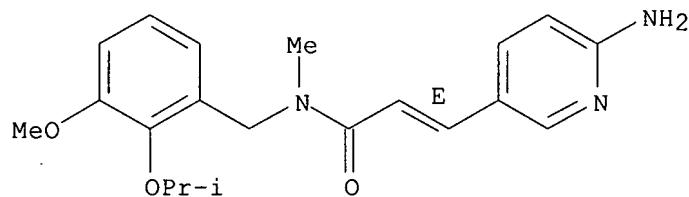


● HCl

RN 709652-69-7 HCAPLUS

CN 2-Propenamide, 3-(6-amino-3-pyridinyl)-N-[[3-methoxy-2-(1-methylethoxy)phenyl)methyl]-N-methyl-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● HCl

L20 ANSWER 19 OF 40 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:433768 HCAPLUS

DOCUMENT NUMBER: 141:7140

TITLE: Preparation of amides, which contain pyridinyl, pyrimidinyl and pyrazinyl moieties, as potassium

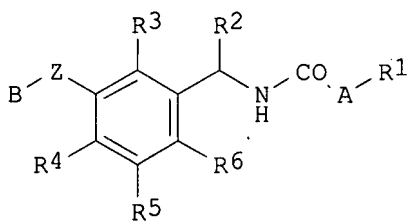
Updated Search

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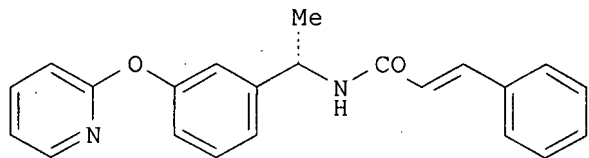
channel openers  
 INVENTOR(S): Wu, Yong-Jin; Sun, Li-Qiang; Chen, Jie; He, Huan  
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA  
 SOURCE: U.S. Pat. Appl. Publ., 32 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004102449	A1	20040527	US 2003-719538	20031121
US 6900210	B2	20050531		
WO 2004047739	A2	20040610	WO 2003-US37306	20031121
WO 2004047739	A3	20040916		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003295782	A1	20040618	AU 2003-295782	20031121
EP 1581498	A2	20051005	EP 2003-786987	20031121
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRIORITY APPLN. INFO.:			US 2002-428352P	P 20021122
			WO 2003-US37306	W 20031121

OTHER SOURCE(S): MARPAT 141:7140  
 GI



I



II

AB Amides, such as I [R1 = unsubstituted- or substituted-Ph, pyridinyl, 3-quinolinyl, cycloalkyl, thienyl, furanyl; R2 = CF3, CH2OH, alkyl; R3, R4, R5, R6 = H, F; A = -CH:CH-, -(CH2)n-; B = pyridinyl, pyrimidinyl, pyrazinyl, benzyl; Z = O, CH2, -(CH2)mN(R7)-; R7 = H, alkyl; n = 0, 1, 2,

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3; m = 0, 1], were prepared for therapeutic use as openers or activators of KCNQ potassium channels and were claimed for use in the treatment of migraine or a migraine attack, bipolar disorders, epilepsy, acute and chronic pain and anxiety. Thus, amide II was prepared via an amidation reaction of cinnamic acid with (S)-1-[3-(pyridin-2-yloxy)phenyl]ethylamine using EDAC.HCl and DMAP in CH<sub>2</sub>Cl<sub>2</sub>. The prepared amides were assayed for K<sup>+</sup> channel activity using a KCNO patch-clamp method.

IT 694511-07-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

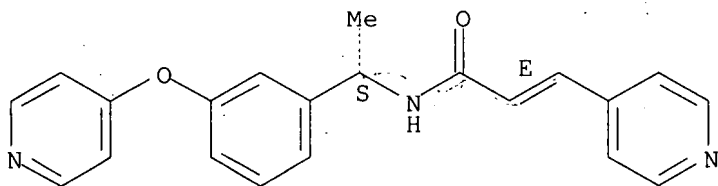
(preparation of pyridinyl, pyrimidinyl and pyrazinyl amides for use in pharmaceutical comps. as potassium channel openers)

RN 694511-07-4 HCAPLUS

CN 2-Propenamide, 3-(4-pyridinyl)-N-[(1S)-1-[3-(4-pyridinyloxy)phenyl]ethyl]-, (2E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 20 OF 40 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:875248 HCAPLUS

DOCUMENT NUMBER: 139:350943

TITLE: Synthesis of pyrrolidinedione-terminated  $\beta$ -amino acid containing oligopeptides for use as antibacterial agents in human or veterinary medicine

INVENTOR(S): Brunner, Nina; Freiberg, Christoph; Lampe, Thomas; Newton, Ben; Otteneder, Michael; Pernerstorfer, Josef; Pohlmann, Jens; Schiffer, Guido; Shimada, Mitsuyuki; Svenstrup, Niels; Endermann, Rainer; Nell, Peter

PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 136 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003091212	A1	20031106	WO 2003-EP3834	20030414
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				

Updated Search

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

DE 10218582	A1	20031106	DE 2002-10218582	20020426
CA 2483303	A1	20031106	CA 2003-2483303	20030414
AU 2003224069	A1	20031110	AU 2003-224069	20030414
EP 1501795	A1	20050202	EP 2003-720461	20030414
EP 1501795	B1	20070228		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

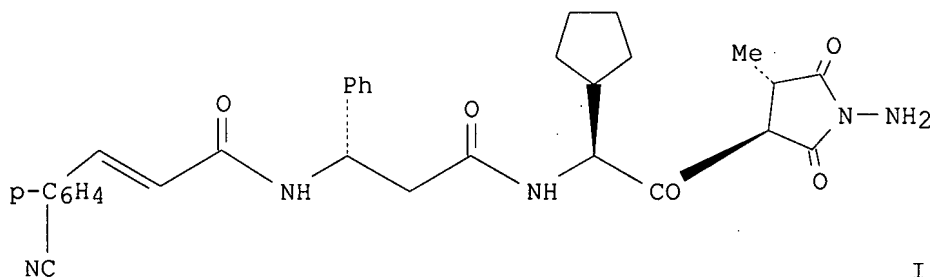
JP 2005528411	T	20050922	JP 2003-587777	20030414
US 2006178369	A1	20060810	US 2005-512724	20051031

PRIORITY APPLN. INFO.:

DE 2002-10218582	A	20020426
WO 2003-EP3834	W	20030414

OTHER SOURCE(S): MARPAT 139:350943

GI



I

AB The invention relates to compds., methods for the production thereof, pharmaceutical compns. containing said compds. and the use thereof in the treatment and/or prophylaxis of diseases, especially bacterial diseases, in human beings and animals. Thus, (3S)-3-methyl-dihydro-2,5-furandione was reacted with methylamine to give the N-methyl-pyrrolidinedione, which was then coupled with N-protected L- or DL-amino acids, and the product N-deprotected. To form the second intermediates, an L- or DL-β-amino acid ester was condensed with a substituted acid, and the product deesterified. These two intermediate classes were then coupled to give final product, e.g. (I). Title compds. had minimal inhibitory concns. (MIC) in vitro against Staphylococcus aureus 133 or Haemophilus influenzae Spain 7 ranging from <1-7.8 and 3.9-62.5 μM/l, resp; I had MIC <1 and 7.8 μM/l.

IT 618110-63-7P 618110-64-8P 618110-65-9P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrrolidinedione-terminated β-amino acid containing oligopeptides for use as antibacterial agents in human or veterinary medicine)

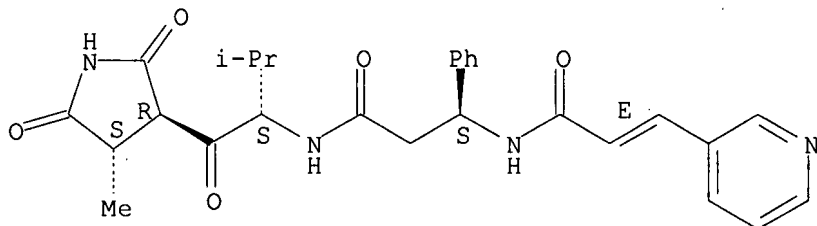
RN 618110-63-7 HCAPLUS

CN Benzenepropanamide, N-[(1S)-2-methyl-1-[[[(3R,4S)-4-methyl-2,5-dioxo-3-pyrrolidinyl]carbonyl]propyl]-β-[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]amino]-, (βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

Updated Search

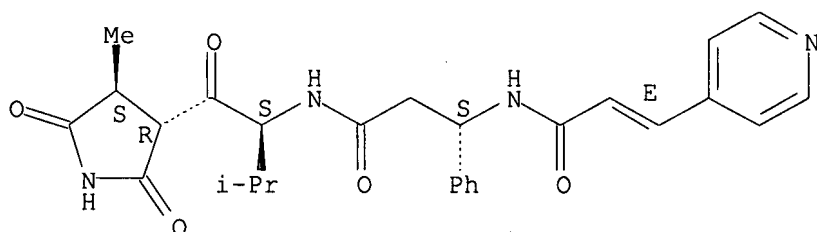
10510053



RN 618110-64-8 HCAPLUS

CN Benzenepropanamide, N-[(1S)-2-methyl-1-[[[(3R,4S)-4-methyl-2,5-dioxo-3-pyrrolidinyl]carbonyl]propyl]-β-[[[(2E)-1-oxo-3-(4-pyridinyl)-2-propenyl]amino]-, (βS)- (9CI) (CA INDEX NAME)

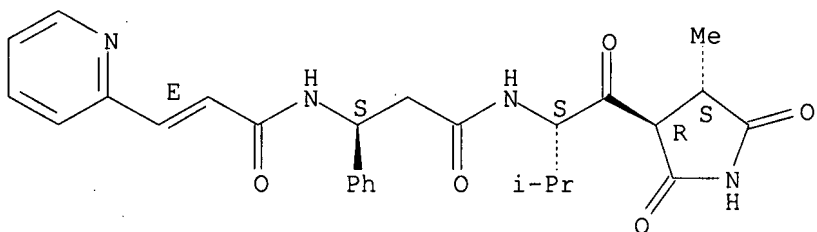
Absolute stereochemistry.  
Double bond geometry as shown.



RN 618110-65-9 HCAPLUS

CN Benzenepropanamide, N-[(1S)-2-methyl-1-[[[(3R,4S)-4-methyl-2,5-dioxo-3-pyrrolidinyl]carbonyl]propyl]-β-[[[(2E)-1-oxo-3-(2-pyridinyl)-2-propenyl]amino]-, (βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 21 OF 40 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:837075 HCAPLUS

DOCUMENT NUMBER: 139:337982

TITLE: Preparation of pyridone and pyrimidone compounds as inhibitors of the enzyme Lp-PLA2

INVENTOR(S): Leach, Colin Andrew; Smith, Stephen Allan

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 61 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

Updated Search

10510053

LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003087088	A2	20031023	WO 2003-GB1550	20030410
WO 2003087088	A3	20040108		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003219331	A1	20031027	AU 2003-219331	20030410
EP 1492787	A2	20050105	EP 2003-715141	20030410
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005153964	A1	20050714	US 2003-510544	20030410
JP 2005532292	T	20051027	JP 2003-584044	20030410
PRIORITY APPLN. INFO.:			GB 2002-8280	A 20020410
			WO 2003-GB1550	W 20030410
OTHER SOURCE(S):		MARPAT 139:337982		
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; R1 = (un)substituted aryl; R2 = halo, alkyl, alkoxy, etc.; R3 = H, halo, alkyl, hydroxyalkyl; R2 and R3 together with the pyridone or pyrimidine ring carbons to which they are attached form (un)substituted fused 5-6 membered carbocyclic ring, fused benzo or heteroaryl ring; R4 = (CH<sub>2</sub>)<sub>n</sub> substituted by benzimidazole or 5-6 membered heteroaryl; R5 = (un)substituted (hetero)aryl; R6 = (un)substituted (hetero)aryl; X = CH, N; Y = alkylene, CH:CH, (CH<sub>2</sub>)<sub>m</sub>S; n = 1-4; m = 1-2] that are inhibitors of the enzyme Lp-PLA2 and are of use in therapy, in particular for treating atherosclerosis, were prepared. Thus, amidation of 2-[2-(2,3-difluorobenzylthio)-4-oxo-4H-quinolin-1-yl]acetic acid with N-[2-(1-methylimidazol-4-yl)ethyl]-4'-trifluoromethylbiphen-4-ylmethylamine (preps. given) afforded the quinolinone II. The exemplified compds. I showed IC<sub>50</sub> values in the range <0.1 to 100 nM against Lp-PLA2.

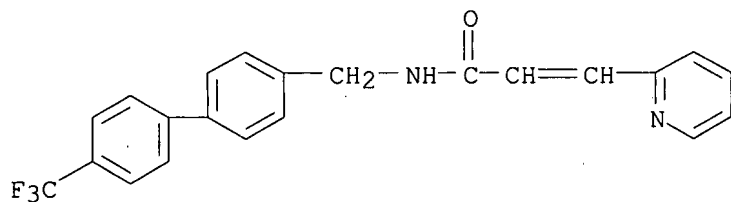
IT 615578-56-8P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of pyridone compds. as inhibitors of the enzyme Lp-PLA2)

RN 615578-56-8 HCAPLUS

CN 2-Propenamamide, 3-(2-pyridinyl)-N-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)

Updated Search

10510053



L20 ANSWER 22 OF 40 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:964190 HCAPLUS

DOCUMENT NUMBER: 138:39272

TITLE: Preparation of 3-(oxazolylalkoxyphenyl)propionic acids and analogs as modulators of peroxisome proliferator activated receptors for treatment of diabetes and related conditions

INVENTOR(S): Gossett, Lynn Stacy; Green, Jonathan Edward; Henry, James Robert; Jones, Winton Dennis, Jr.; Matthews, Donald Paul; Shen, Quan Rong; Smith, Daryl Lynn; Vance, Jennifer Ann; Warshawsky, Alan M.

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 438 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

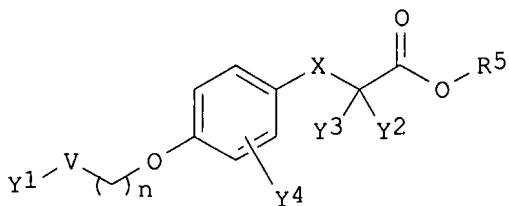
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100403	A1	20021219	WO 2002-US15143	20020524
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2448552	A1	20021219	CA 2002-2448552	20020524
AU 2002316105	A1	20021223	AU 2002-316105	20020524
NZ 529550	A	20031219	NZ 2002-529550	20020524
EP 1401434	A1	20040331	EP 2002-746380	20020524
EP 1401434	B1	20061115		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002010167	A	20040406	BR 2002-10167	20020524
HU 200400268	A2	20040728	HU 2004-268	20020524
JP 2005502600	T	20050127	JP 2003-503224	20020524
CN 1578659	A	20050209	CN 2002-815453	20020524
AT 345128	T	20061215	AT 2002-746380	20020524
ES 2275887	T3	20070616	ES 2002-2746380	20020524
US 2005075378	A1	20050407	US 2003-477405	20031112
ZA 2003009059	A	20050810	ZA 2003-9059	20031120
MX 2003PA10903	A	20040217	MX 2003-PA10903	20031127
IN 2003KN01573	A	20060317	IN 2003-KN1573	20031203
PRIORITY APPLN. INFO.:			US 2001-296701P	P 20010607

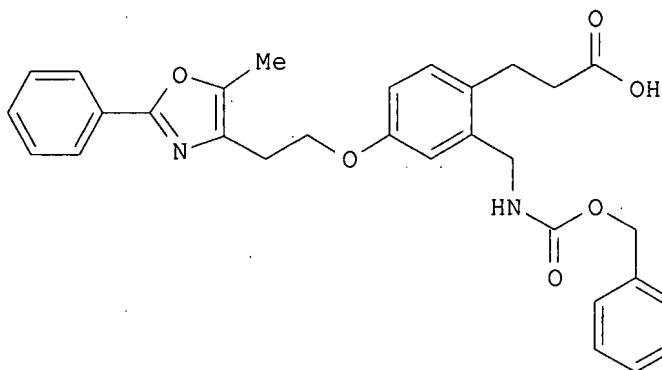
Updated Search

OTHER SOURCE(S):  
GI

MARPAT 138:39272



I



II

AB Title compds. I [wherein n = 2-5; V = a bond or O; X = CH<sub>2</sub> or O; p = 0 or 1; m = 1-4; Y<sub>1</sub> = (un)substituted (hetero)aryl; Y<sub>2</sub> and Y<sub>3</sub> = independently H, alkyl, or alkoxy; Y<sub>4</sub> = (un)substituted alk(en/yn)ylaminoalkyl, carboxyaminoalkyl, (thio)ureidoalkyl, carbamoylalkyl, aminoalkyl, alkoxyalkyl, alkylthioalkyl, or CN; R<sub>5</sub> = H or alkyl; and pharmaceutically acceptable salts, solvates, hydrates, or stereoisomers thereof] were prepared as peroxisome proliferator activated receptor (PPAR) modulators (no data). For example, 3-[2-(1,3-dioxo-1,3-dihydroisindolo-2-ylmethyl)-4-hydroxyphenyl]propionic acid tert-Bu ester was coupled with toluene-4-sulfonic acid 2-(5-methyl-2-phenyloxazol-4-yl)ethyl ester in the presence of Cs<sub>2</sub>CO<sub>3</sub> in DMF. Deprotection of the amine using NaBH<sub>4</sub> in isopropanol followed by conversion to the carbamate and deesterification gave II. I are useful for the treatment of Syndrome X, Type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, arteriosclerosis, and other disorders related to Syndrome X, as well as cardiovascular diseases (no data).

IT 478539-17-2P, 3-[2-[[[3-(3-Pyridyl)-2-propenoyl]amino]methyl]-4-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]phenyl]propionic acid  
 478539-18-3P, 3-[2-[[[3-(4-Pyridyl)-2-propenoyl]amino]methyl]-4-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]phenyl]propionic acid  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (PPAR modulator; preparation of (oxazolylalkoxyphenyl)propionic acid and analogs as PPAR modulators for treatment of diabetes and related conditions)

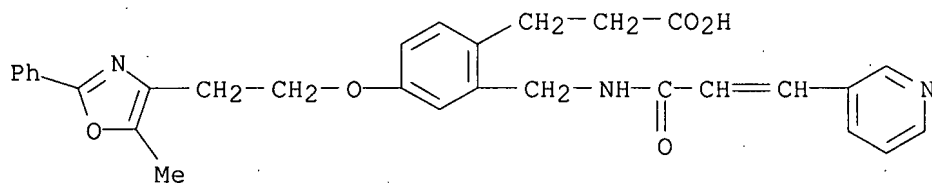
RN 478539-17-2 HCAPLUS

CN Benzenepropanoic acid, 4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-2-[[[1-



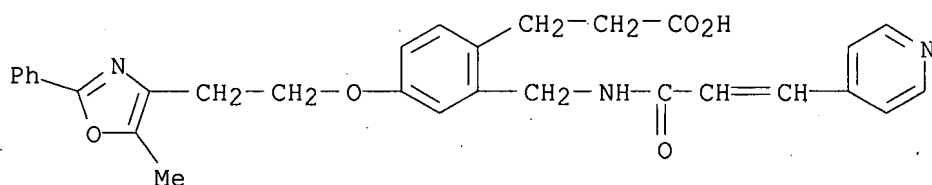
10510053

oxo-3-(3-pyridinyl)-2-propenyl]amino]methyl]- (9CI) (CA INDEX NAME)



RN 478539-18-3 HCAPLUS

CN Benzenepropanoic acid, 4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-2-[[[1-oxo-3-(4-pyridinyl)-2-propenyl]amino]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 23 OF 40 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:927389 HCAPLUS

DOCUMENT NUMBER: 138:4407

TITLE: Preparation of cinnamide derivatives as KCNQ potassium channel modulators

INVENTOR(S): Wu, Yong-Jin; Sun, Li-Qiang; Chen, Jie; He, Huan; L'Heureux, Alexandre; Dextraze, Pierre; Daris, Jean-Paul; Kinney, Gene G.; Dworetzky, Steven I.; Hewawasam, Piyasena

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 180 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

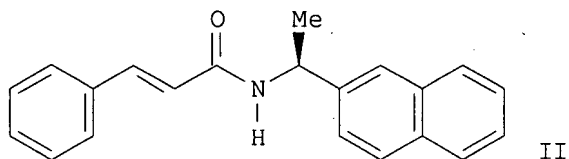
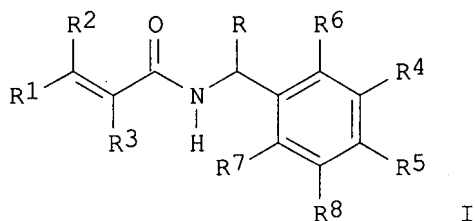
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096858	A1	20021205	WO 2002-US17049	20020531
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2448894	A1	20021205	CA 2002-2448894	20020531
AU 2002310216	A1	20021209	AU 2002-310216	20020531
US 2003166650	A1	20030904	US 2002-160582	20020531

Updated Search

10510053

US 6831080 B2 20041214  
EP 1392644 A1 20040303 EP 2002-737277 20020531  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
JP 2004535413 T 20041125 JP 2003-500038 20020531  
HU 200401750 A2 20041228 HU 2004-1750 20020531  
PRIORITY APPLN. INFO.: US 2001-294815P P 20010531  
WO 2002-US17049 W 20020531  
OTHER SOURCE(S): MARPAT 138:4407  
GI



AB Title compds. I [ wherein R = alkyl or CF<sub>3</sub>; R<sub>1</sub> = pyridinyl, quinolinyl, thienyl, furanyl, 1,4-benzodioxanyl, 1,3-benzodioxolyl, chromanyl, indanyl, biphenyl, and (un)substituted Ph; R<sub>2</sub> and R<sub>3</sub> independently equal H, alkyl, or halo; R<sub>4</sub> = dialkylamino, CF<sub>3</sub>O, (un)substituted-morpholin-4-yl, -pyridinyl, -pyrimidinyl, -piperazinyl, and -pyrazinyl; R<sub>5</sub> = H, Cl, or F; or R<sub>4</sub> and R<sub>5</sub> taken together form aryl, heterocyclic or carbocyclic ring; R<sub>6</sub>, R<sub>7</sub>, and R<sub>8</sub> = H, Cl, and F] are prepared and disclosed as openers of the KCNQ potassium channel. Thus, II was prepared via amidation of cinnamic acid with (S)-1-(2-naphthyl)ethylamine. Compds. of the invention were evaluated at a single concentration and at a single holding potential (-40μM); the effect of the selected compds. on KCNQ2 current were expressed as the percent of control current, e.g., II measured at 160 percent of control current at 5μM concentration I are useful in the treatment of disorders which are responsive to the opening of the KCNQ potassium channels, e.g., migraine, convulsions, anxiety, etc..

IT 477308-96-6P 477309-00-5P 477309-02-7P  
477310-23-9P 477310-26-2P 477310-28-4P  
477310-45-5P 477310-48-8P 477310-51-3P  
477311-82-3P 477311-84-5P 477311-88-9P  
477311-90-3P 477311-92-5P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

Updated Search

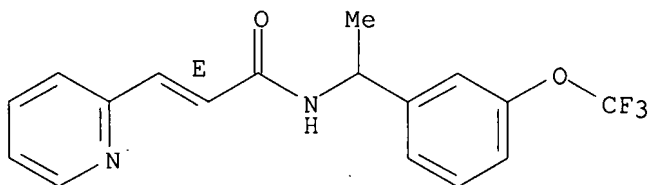
10510053

(drug candidate; preparation of cinnamide derivs. as KCNQ potassium channel modulators)

RN 477308-96-6 HCAPLUS

CN 2-Propenamide, 3-(2-pyridinyl)-N-[1-[3-(trifluoromethoxy)phenyl]ethyl]-, (2E)- (9CI) (CA INDEX NAME)

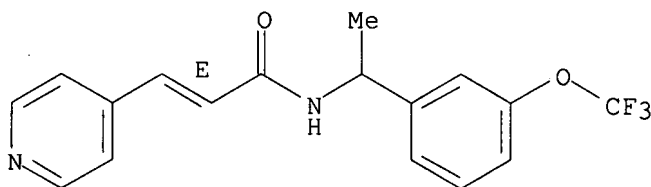
Double bond geometry as shown.



RN 477309-00-5 HCAPLUS

CN 2-Propenamide, 3-(4-pyridinyl)-N-[1-[3-(trifluoromethoxy)phenyl]ethyl]-, (2E)- (9CI) (CA INDEX NAME)

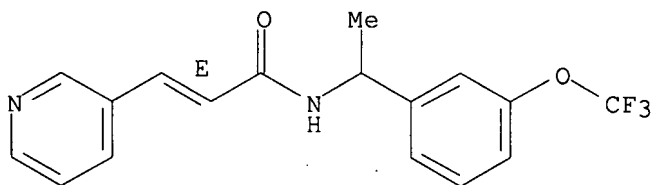
Double bond geometry as shown.



RN 477309-02-7 HCAPLUS

CN 2-Propenamide, 3-(3-pyridinyl)-N-[1-[3-(trifluoromethoxy)phenyl]ethyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 477310-23-9 HCAPLUS

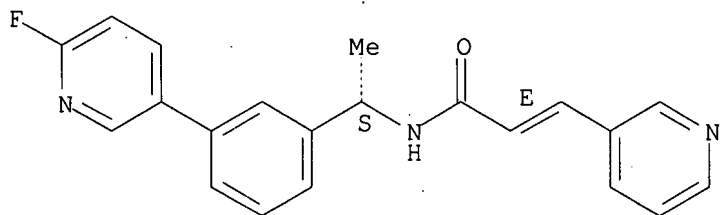
CN 2-Propenamide, N-[(1S)-1-[3-(6-fluoro-3-pyridinyl)phenyl]ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

Updated Search

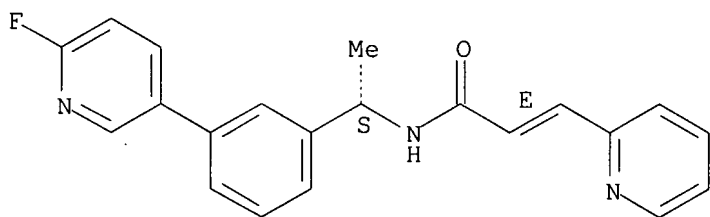
10510053



RN 477310-26-2 HCAPLUS

CN 2-Propenamide, N-[(1S)-1-[3-(6-fluoro-3-pyridinyl)phenyl]ethyl]-3-(2-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

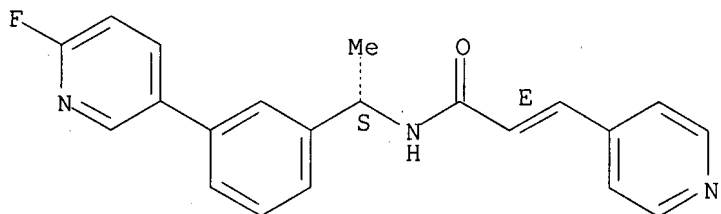
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477310-28-4 HCAPLUS

CN 2-Propenamide, N-[(1S)-1-[3-(6-fluoro-3-pyridinyl)phenyl]ethyl]-3-(4-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

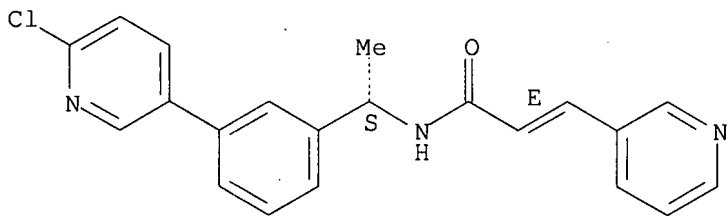
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477310-45-5 HCAPLUS

CN 2-Propenamide, N-[(1S)-1-[3-(6-chloro-3-pyridinyl)phenyl]ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



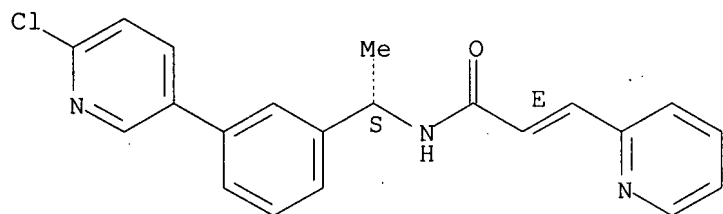
Updated Search

10510053

RN 477310-48-8 HCAPLUS

CN 2-Propenamide, N-[(1S)-1-[3-(6-chloro-3-pyridinyl)phenyl]ethyl]-3-(2-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

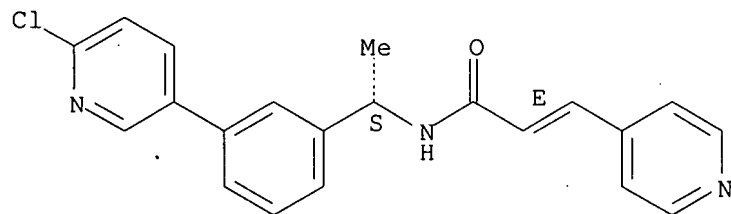
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477310-51-3 HCAPLUS

CN 2-Propenamide, N-[(1S)-1-[3-(6-chloro-3-pyridinyl)phenyl]ethyl]-3-(4-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

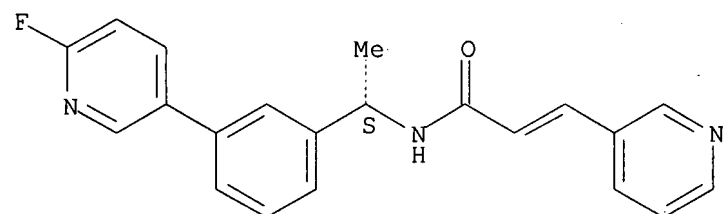
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477311-82-3 HCAPLUS

CN 2-Propenamide, N-[(1S)-1-[3-(6-fluoro-3-pyridinyl)phenyl]ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry unknown.



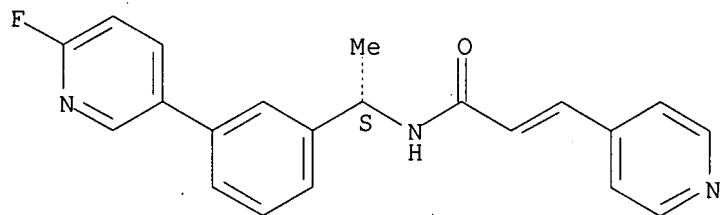
RN 477311-84-5 HCAPLUS

CN 2-Propenamide, N-[(1S)-1-[3-(6-fluoro-3-pyridinyl)phenyl]ethyl]-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry unknown.

Updated Search

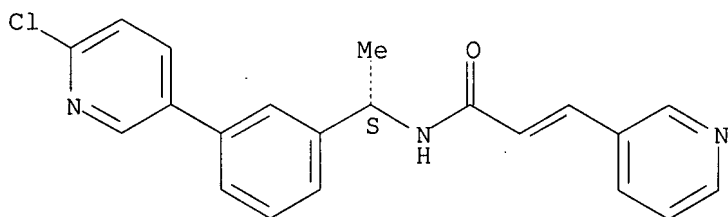
10510053



RN 477311-88-9 HCAPLUS

CN 2-Propenamide, N-[(1S)-1-[3-(6-chloro-3-pyridinyl)phenyl]ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

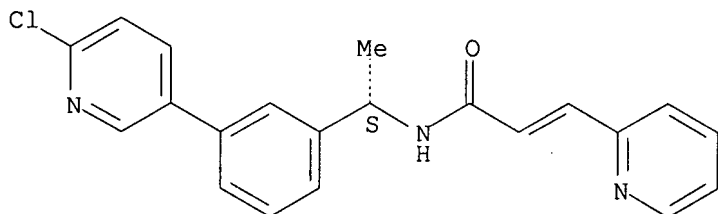
Absolute stereochemistry.  
Double bond geometry unknown.



RN 477311-90-3 HCAPLUS

CN 2-Propenamide, N-[(1S)-1-[3-(6-chloro-3-pyridinyl)phenyl]ethyl]-3-(2-pyridinyl)- (9CI) (CA INDEX NAME)

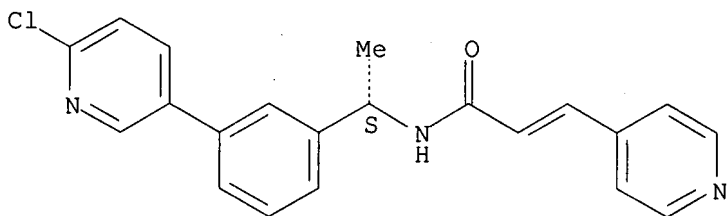
Absolute stereochemistry.  
Double bond geometry unknown.



RN 477311-92-5 HCAPLUS

CN 2-Propenamide, N-[(1S)-1-[3-(6-chloro-3-pyridinyl)phenyl]ethyl]-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry unknown.



Updated Search

10510053

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 24 OF 40 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:846121 HCAPLUS

DOCUMENT NUMBER: 138:287635

TITLE: Cascade synthesis with (triphenylphosphoranylidene)ethenone as a versatile reagent for fast synthesis of heterocycles and unsaturated amides under microwave dielectric heating

AUTHOR(S): Westman, J.; Orrling, K.

CORPORATE SOURCE: Personal Chemistry AB, Uppsala, SE-753 18, Swed.

SOURCE: Combinatorial Chemistry and High Throughput Screening (2002), 5(7), 571-574  
CODEN: CCHSFU; ISSN: 1386-2073

PUBLISHER: Bentham Science Publishers

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 138:287635

AB A general procedure for the synthesis of a large variety of compds. comprising an  $\alpha,\beta$ -unsatd. carbonyl functionality was developed. The use of one-pot cascade synthesis with (triphenylphosphoranylidene)ethenone as a versatile reagent for various formations including heterocycles of different ring sizes and unsatd. amides in combination with microwave dielec. heating is described. The method was used to synthesize a small library of unsatd. amides.

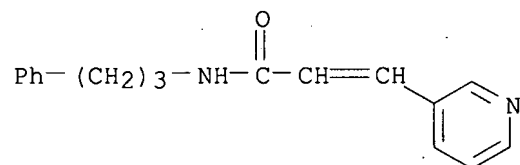
IT 507240-70-2P

RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP (Preparation)

(cascade synthesis using (triphenylphosphoranylidene)ethenone as versatile reagent for fast synthesis of heterocycles and unsatd. amides under microwave dielec. heating)

RN 507240-70-2 HCAPLUS

CN 2-Propenamide, N-(3-phenylpropyl)-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 25 OF 40 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:348363 HCAPLUS

DOCUMENT NUMBER: 137:78538

TITLE: Z-Selective Synthesis of  $\alpha,\beta$ -Unsaturated Amides with Triphenylsilylacetamides

AUTHOR(S): Kojima, Satoshi; Inai, Hiroki; Hidaka, Tsugihiko; Fukuzaki, Tomohide; Ohkata, Katsuo

CORPORATE SOURCE: Department of Chemistry, Graduate School of Science, Hiroshima University, Kagamiyama Higashi-Hiroshima, 739-8526, Japan

SOURCE: Journal of Organic Chemistry (2002), 67(12), 4093-4099  
CODEN: JOCEAH; ISSN: 0022-3263

Updated Search

10510053

PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 137:78538

AB With the purpose of developing a method of preparing Z- $\alpha,\beta$ -unsatd. amides, the Peterson reaction of the (triphenylsilyl)acetamide Ph<sub>3</sub>SiCH<sub>2</sub>COX (I; X = NBn<sub>2</sub>, NMe<sub>2</sub>) with various aldehydes was examined. The reaction of aromatic aldehydes gave selectivities up to >97:3. It was found that the selectivity was a function of the electronic nature of the aromatic ring and higher Z selectivity was attained with electron-rich aldehydes. With aliphatic aldehydes selectivities up to 92:8 were achieved, and unlike with analogous phosphorus reagents, less sterically hindered aldehydes gave higher Z selectivity. Also, I (X = NMe<sub>2</sub>), which has a smaller amide group than I (X = NBn<sub>2</sub>), tended to give rise to higher selectivity. A comparison with the reaction of trimethylsilyl analogs revealed the significance of the Ph substituents on the silyl group.

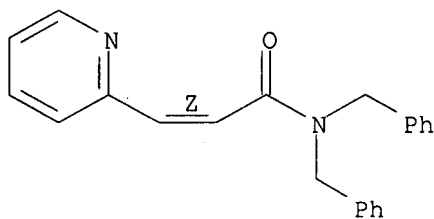
IT 309759-74-8P 440357-50-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(Z-selective preparation of  $\alpha,\beta$ -unsatd. amides via Peterson  
reaction of silyl acetamides with aldehydes)

RN 309759-74-8 HCAPLUS

CN 2-Propenamide, N,N-bis(phenylmethyl)-3-(2-pyridinyl)-, (2Z)- (9CI) (CA  
INDEX NAME)

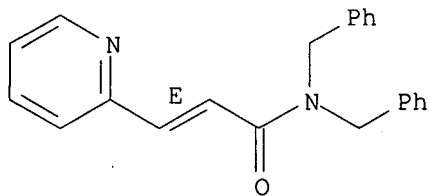
Double bond geometry as shown.



RN 440357-50-6 HCAPLUS

CN 2-Propenamide, N,N-bis(phenylmethyl)-3-(2-pyridinyl)-, (2E)- (9CI) (CA  
INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 26 OF 40 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:347230 HCAPLUS

DOCUMENT NUMBER: 136:355159

TITLE: Preparation of heteroarylacryloylaminoalkyl  
benzenesulfonamides as cardiovascular agents.

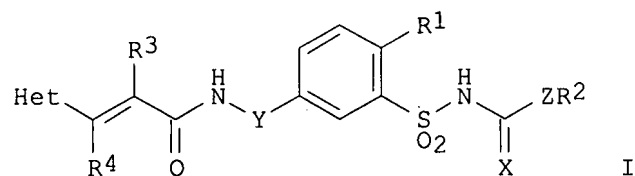
Updated Search



10510053

INVENTOR(S): Heitsch, Holger; Englert, Heinrich Christian  
 PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany  
 SOURCE: Ger. Offen., 34 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10054482	A1	20020508	DE 2000-10054482	20001103
CA 2427548	A1	20020510	CA 2001-2427548	20011020
WO 2002036565	A1	20020510	WO 2001-EP12142	20011020
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 200223631	A	20020515	AU 2002-23631	20011020
EP 1335902	A1	20030820	EP 2001-992700	20011020
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004513114	T	20040430	JP 2002-539325	20011020
US 2002123495	A1	20020905	US 2001-985359	20011102
US 6472413	B2	20021029		
MX 2003PA03778	A	20030728	MX 2003-PA3778	20030429
PRIORITY APPLN. INFO.:			DE 2000-10054482	A 20001103
			WO 2001-EP12142	W 20011020
OTHER SOURCE(S):		CASREACT 136:355159; MARPAT 136:355159		
GI				



AB Title compds. [I; R1 = halo, (substituted) alkyl, alkoxy, alkenyl, alkenyloxy, alkynyl, Ph, heteroaryl, etc.; R2-R5 = H, alkyl; Het = (substituted) 5-6 membered heteroaryl; X = O, S; Y = [C(R5)2]n; Z = NH, O; m = 0-2; n = 1-4], were prepared I have an inhibiting effect on ATP sensitive potassium channels in heart muscle and/or the vagal nerve and are suitable for the treatment of coronary heart disease, arrhythmia, heart failure, cardiomyopathy, or for the prevention of sudden heart death. Thus, 5-[2-[trans-3-(2-thienyl)acryloylamino]ethyl]-2-methoxybenzolsulfonamide (preparation given) was stirred with KOCMe3 in DMF; MeNCS in DMF was added and the mixture was stirred 1 h at 80° to give 1-[5-[2-[trans-3-(2-thienyl)acryloylamino]ethyl]-2-methoxyphenylsulfonyl]-

10510053

3-methylthiourea. The latter at 2  $\mu$ M increased hypoxia-shortened APD90 by 33% in guinea pig papillary muscle.

IT 420137-51-5P 420137-52-6P 420137-53-7P

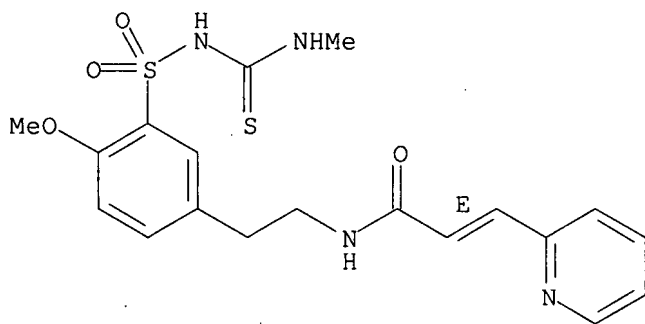
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heteroarylacryloylaminoalkyl benzenesulfonamides as cardiovascular agents)

RN 420137-51-5 HCAPLUS

CN 2-Propenamide, N-[2-[4-methoxy-3-[[[(methylamino)thioxomethyl]amino]sulfonyl]phenyl]ethyl]-3-(2-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

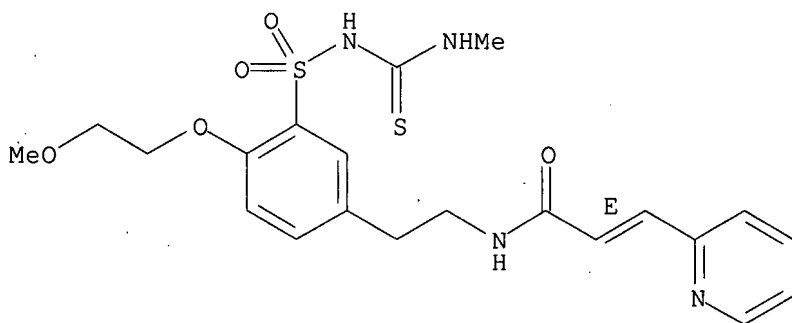
Double bond geometry as shown.



RN 420137-52-6 HCAPLUS

CN 2-Propenamide, N-[2-[4-(2-methoxyethoxy)-3-[[[(methylamino)thioxomethyl]amino]sulfonyl]phenyl]ethyl]-3-(2-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

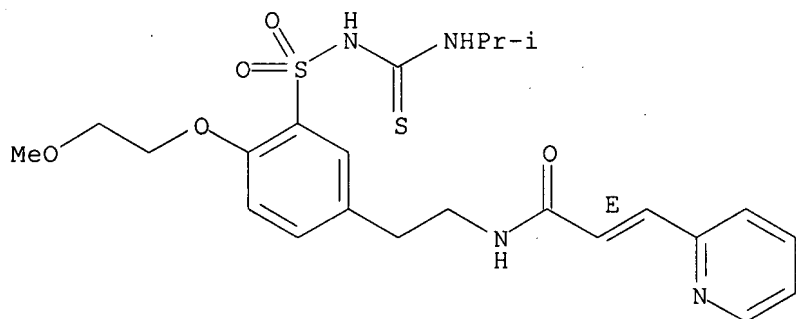


RN 420137-53-7 HCAPLUS

CN 2-Propenamide, N-[2-[4-(2-methoxyethoxy)-3-[[[(1-methylethyl)amino]thioxomethyl]amino]sulfonyl]phenyl]ethyl]-3-(2-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

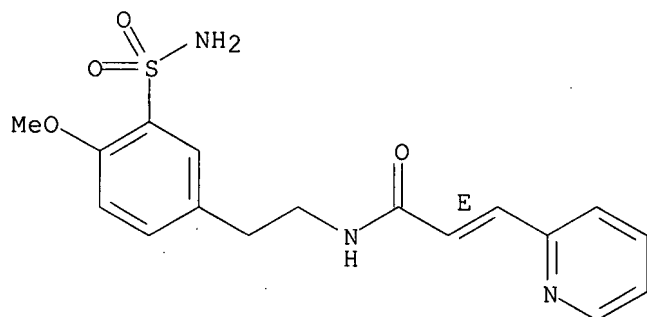
Double bond geometry as shown.

10510053



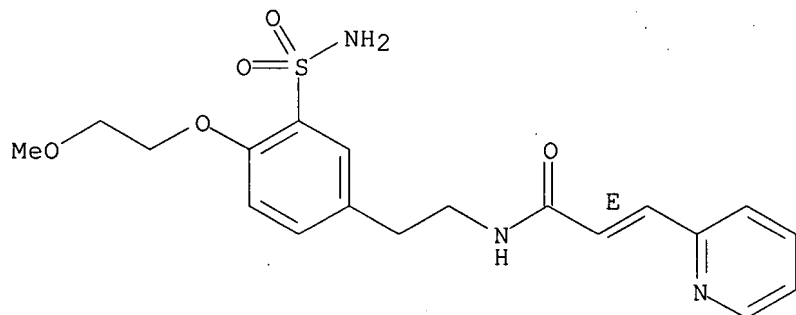
IT 420137-82-2P 420137-83-3P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation of heteroarylacryloylaminoalkyl benzenesulfonamides as  
cardiovascular agents)  
RN 420137-82-2 HCAPLUS  
CN 2-Propenamide, N-[2-[3-(aminosulfonyl)-4-methoxyphenyl]ethyl]-3-(2-  
pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 420137-83-3 HCAPLUS  
CN 2-Propenamide, N-[2-[3-(aminosulfonyl)-4-(2-methoxyethoxy)phenyl]ethyl]-3-  
(2-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



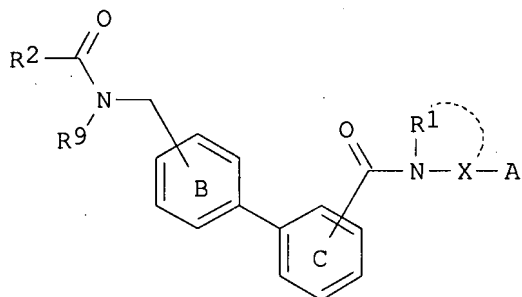
L20 ANSWER 27 OF 40 HCAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 2002:10426 HCAPLUS

Updated Search

10510053

DOCUMENT NUMBER: 136:85822  
TITLE: Preparation of biphenylcarboxamide compounds as GPR14 antagonists or somatostatin receptor regulators  
INVENTOR(S): Tarui, Naoki; Santo, Takashi; Watanabe, Hiroyuki; Aso, Kazuyoshi; Miwa, Tetsuo; Takekawa, Shiro  
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
SOURCE: PCT Int. Appl., 274 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000606	A1	20020103	WO 2001-JP5541	20010628
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001066346	A5	20020108	AU 2001-66346	20010628
JP 2002080439	A	20020319	JP 2001-196645	20010628
EP 1295867	A1	20030326	EP 2001-943851	20010628
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004106792	A1	20040603	US 2002-312015	20021220
US 7091247	B2	20060815		
PRIORITY APPLN. INFO.:			JP 2000-200118	A 20000628
			WO 2001-JP5541	W 20010628
OTHER SOURCE(S):			MARPAT 136:85822	
GI				



I

AB The title compds. (I) or salts thereof [wherein R1 represents hydrogen or (un)substituted hydrocarbyl; X represents a spacer having a 1 to 12 atom linear chain moiety; A represents (un)substituted amino or N-heterocyclyl; R2 represents (un)substituted hydrocarbyl or amino; and R3 represents (un)substituted hydrocarbyl; ring B and C represent an optionally further

Updated Search

substituted benzene ring], which have an antagonism against urotensin II receptor GPR14 (orphan receptor), are prepared. These compds. are also somatostatin, in particular somatostatin 5 receptor-function regulators such as somatostatin receptor agonists and antagonists and are useful for the prevention and treatment of hypertension, arteriosclerosis, cardiac hypertrophy, myocardial infarction, diabetes, obesity, diabetes complications, central diseases, digestive tract diseases, glaucoma, acromegaly, or tumor. Thus, 3'-[[2-[4-(aminosulfonyl)phenyl]ethyl]aminomethyl]-N-[2-(1-pyrrolidinyl)ethyl]-1,1'-biphenyl-3-carboxamide was condensed with trans-cinnamic acid using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and 1-hydroxybenzotriazole in CH<sub>2</sub>Cl<sub>2</sub> and DMF at room temperature for 18 h to give 3'-[[N-[2-[4-(aminosulfonyl)phenyl]ethyl]-N-[(E)-3-phenyl-2-propenoyl]amino]methyl]-N-[2-(1-pyrrolidinyl)ethyl]-1,1'-biphenyl-3-carboxamide (II).

N-(2-aminoethyl)-3'-[[N-[4-(aminosulfonyl)benzoyl]-N-(1-naphthylmethyl)amino]methyl]-1,1'-biphenyl-2-carboxamide trifluoroacetate and N-(2-aminoethyl)-3'-[[N-[4-[[[amino(imino)methyl]amino]methyl]benzoyl]-N-(1-naphthylmethyl)amino]methyl]-1,1'-biphenyl-2-carboxamide trifluoroacetate showed IC<sub>50</sub> of 3 and 6 nM for inhibiting the binding of [125I]-somatostatin to CHO cell line expressing human somatostatin 5 receptor. A capsule and a tablet formulation containing II were prepared

IT 386291-24-3P 387872-05-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of biphenylcarboxamide compds. as GPR14 antagonists or somatostatin receptor regulators for therapeutic agents)

RN 386291-24-3 HCAPLUS

CN 2-Propenamide, N-[2-(4-hydroxyphenyl)ethyl]-N-[[3'-[[4-[3-(4-piperidinyl)propyl]-1-piperidinyl]carbonyl][1,1'-biphenyl]-3-yl]methyl]-3-(3-pyridinyl)-, (2E)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

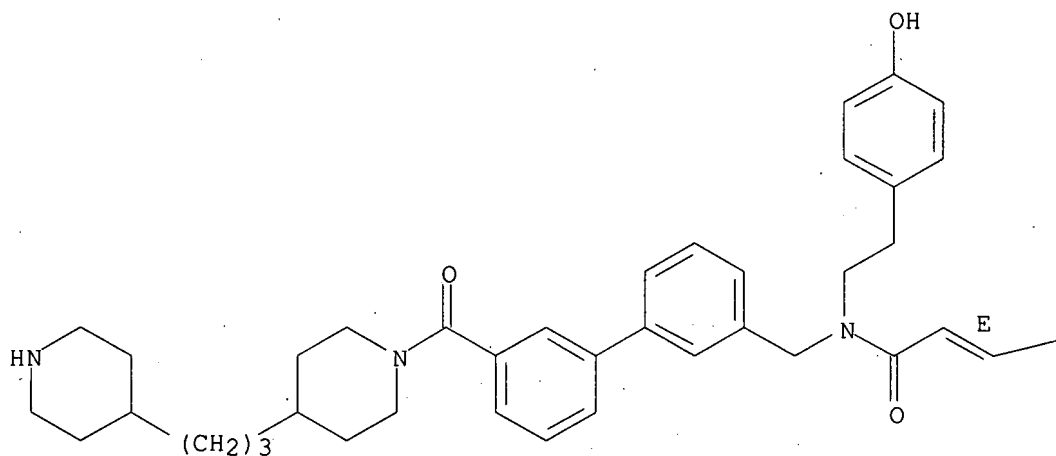
CM 1

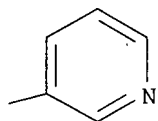
CRN 386291-23-2

CMF C43 H50 N4 O3

Double bond geometry as shown.

PAGE 1-A

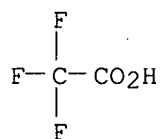




CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 387872-05-1 HCAPLUS

CN [1,1'-Biphenyl]-3-carboxamide, N-[[4-(aminomethyl)cyclohexyl]methyl]-3'-  
[[[2-(4-hydroxyphenyl)ethyl][(2E)-1-oxo-3-(3-pyridinyl)-2-  
propenyl]amino]methyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX  
NAME)

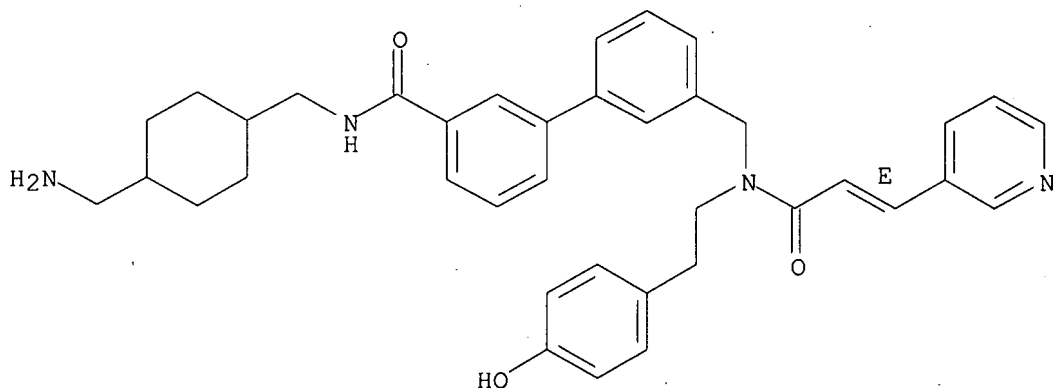
CM 1

CRN 387872-04-0

CMF C38 H42 N4 O3

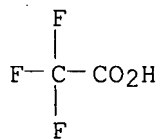
Double bond geometry as shown.

10510053



CM 2

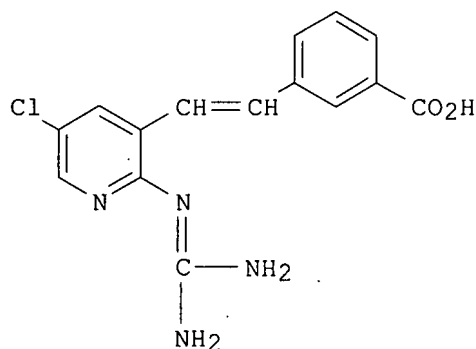
CRN 76-05-1  
CMF C2 H F3 O2



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 28 OF 40 HCAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 2002:1474 HCAPLUS  
DOCUMENT NUMBER: 136:379461  
TITLE: Selective urokinase-type plasminogen activator (uPA) inhibitors. Part 2: (3-Substituted-5-halo-2-pyridinyl)guanidines  
AUTHOR(S): Barber, Christopher G.; Dickinson, Roger P.  
CORPORATE SOURCE: Department of Discovery Chemistry, Pfizer Global Research and Development, Sandwich, Kent, CT13 9NJ, UK  
SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(2), 185-187  
CODEN: BMCLE8; ISSN: 0960-894X  
PUBLISHER: Elsevier Science Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 136:379461  
GI

10510053



I

AB Based on previous modeling predictions, a series of (3-substituted-5-chloro-2-pyridinyl)guanidines have been designed with good potency and selectivity for urokinase-type plasminogen activator (uPA). I has a  $K_i$  of 0.17  $\mu\text{M}$  and greater than 300-fold selectivity with respect to tPA and plasmin.

IT 301541-82-2P 301541-86-6P

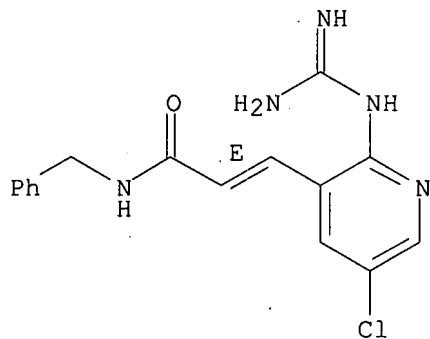
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

((3-substituted halopyridinyl)guanidines as selective urokinase-type plasminogen activator (uPA) inhibitors)

RN 301541-82-2 HCAPLUS

CN 2-Propenamide, 3-[2-[(aminoiminomethyl)amino]-5-chloro-3-pyridinyl]-N-(phenylmethyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



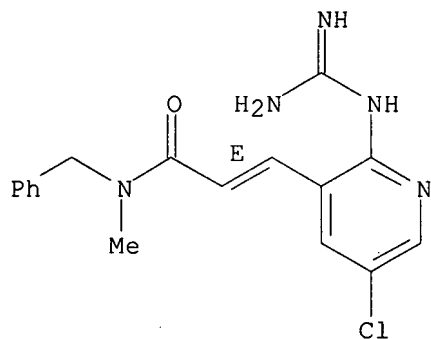
RN 301541-86-6 HCAPLUS

CN 2-Propenamide, 3-[2-[(aminoiminomethyl)amino]-5-chloro-3-pyridinyl]-N-methyl-N-(phenylmethyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



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IT 301542-45-0P 301542-47-2P

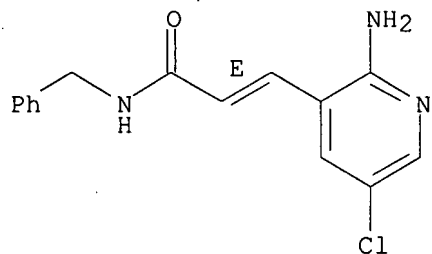
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

((3-substituted halopyridinyl)guanidines as selective urokinase-type plasminogen activator (uPA) inhibitors)

RN 301542-45-0 HCAPLUS

CN 2-Propenamide, 3-(2-amino-5-chloro-3-pyridinyl)-N-(phenylmethyl)-, (2E)-(9CI) (CA INDEX NAME)

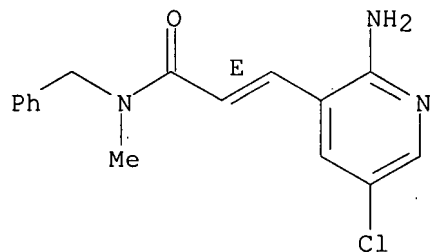
Double bond geometry as shown.



RN 301542-47-2 HCAPLUS

CN 2-Propenamide, 3-(2-amino-5-chloro-3-pyridinyl)-N-methyl-N-(phenylmethyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 9

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 29 OF 40 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:741025 HCAPLUS

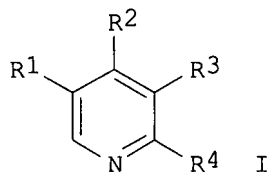
DOCUMENT NUMBER: 133:296381

Updated Search

10510053

TITLE: Preparation of 2-pyridinylguanidines as urokinase inhibitors.  
 INVENTOR(S): Barber, Christopher Gordon; Dickinson, Roger Peter  
 PATENT ASSIGNEE(S): Pfizer Inc., USA; Pfizer Ltd.  
 SOURCE: Eur. Pat. Appl., 28 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1044967	A2	20001018	EP 2000-302778	20000331
EP 1044967	A3	20010207		
EP 1044967	B1	20040811		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AT 273280	T	20040815	AT 2000-302778	20000331
PT 1044967	T	20041029	PT 2000-302778	20000331
ES 2221829	T3	20050116	ES 2000-302778	20000331
JP 2000297074	A	20001024	JP 2000-104725	20000406
JP 3521347	B2	20040419		
BR 2000001569	A	20010821	BR 2000-1569	20000407
US 6583162	B1	20030624	US 2000-546410	20000410
CA 2305047	A1	20001013	CA 2000-2305047	20000412
MX 200003589	A	20020201	MX 2000-3589	20000412
US 2003203914	A1	20031030	US 2003-386888	20030312
US 6673789	B2	20040106		
PRIORITY APPLN. INFO.:			GB 1999-8410	A 19990413
			US 2000-546410	A3 20000410
OTHER SOURCE(S):			MARPAT 133:296381	
GI				



AB Title compds. [I; R1 = H, halo, cyano, alkyl, haloalkyl, alkoxy, haloalkoxy; R2, R3 = H, halo, (substituted) alkyl, aryl, carboxyalkyl, CH:CHCO2H, etc.; R4 = N:C(NH2)2, NHC(:NH)NH2], were prepared as urokinase inhibitors (no data). Thus, 2-amino-5-picoline and Et3N in CH2Cl2 at 0° were treated with 1,3-bis(tert-butoxycarbonyl)-2-methyl-2-thiopseudourea and HgCl2 followed by stirring at room temperature for 64 h to give tert-Bu N-[(tert-butoxycarbonyl)amino][(5-methyl-2-pyridinyl)imino]methylcarbamate. This was stirred with CF3CO2H to give N'-(5-methyl-2-pyridinyl)guanidine.

IT 301541-83-3P 301541-87-7P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

Updated Search

10510053

(preparation of 2-pyridinylguanidines as urokinase inhibitors)

RN 301541-83-3 HCAPLUS

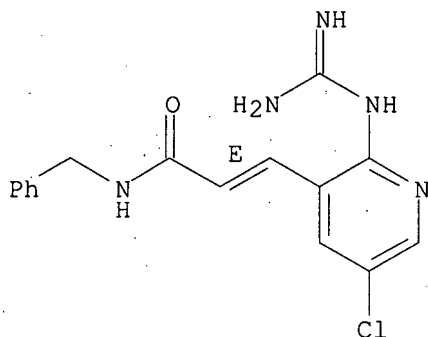
CN 2-Propenamide, 3-[2-[(aminoiminomethyl)amino]-5-chloro-3-pyridinyl]-N-(phenylmethyl)-, (2E)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 301541-82-2

CMF C16 H16 Cl N5 O

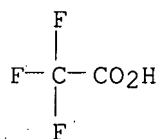
Double bond geometry as shown.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 301541-87-7 HCAPLUS

CN 2-Propenamide, 3-[2-[(aminoiminomethyl)amino]-5-chloro-3-pyridinyl]-N-methyl-N-(phenylmethyl)-, (2E)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

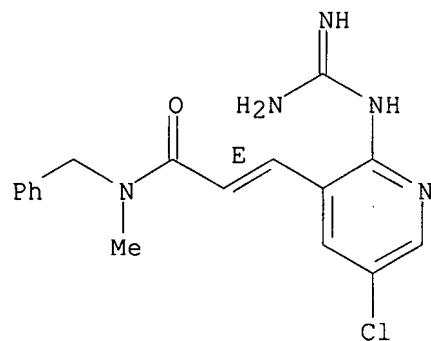
CRN 301541-86-6

CMF C17 H18 Cl N5 O

Double bond geometry as shown.

Updated Search

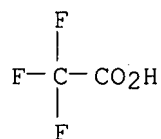
10510053



CM 2

CRN 76-05-1

CMF C2 H F3 O2



IT 301542-45-0P 301542-47-2P 301542-71-2P

301542-73-4P

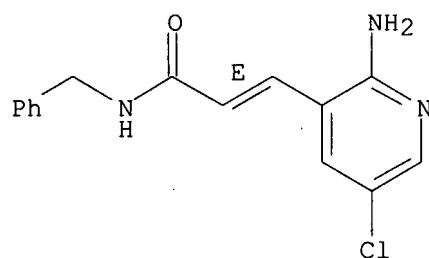
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 2-pyridinylguanidines as urokinase inhibitors)

RN 301542-45-0 HCAPLUS

CN 2-Propenamide, 3-(2-amino-5-chloro-3-pyridinyl)-N-(phenylmethyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



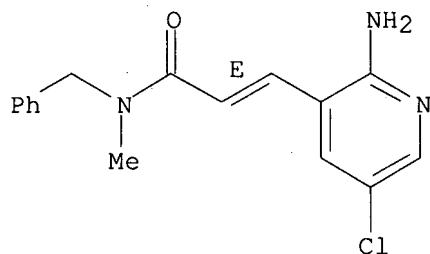
RN 301542-47-2 HCAPLUS

CN 2-Propenamide, 3-(2-amino-5-chloro-3-pyridinyl)-N-methyl-N-(phenylmethyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Updated Search

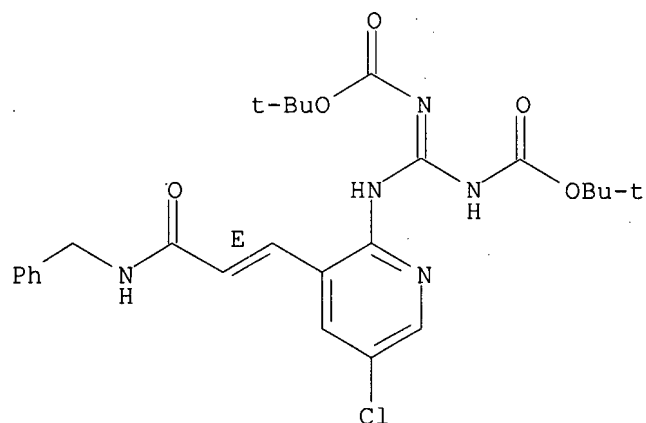
10510053



RN 301542-71-2 HCAPLUS

CN Carbamic acid, [[5-chloro-3-[(1E)-3-oxo-3-[(phenylmethyl)amino]-1-propenyl]-2-pyridinyl]carbonimidoyl]bis-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

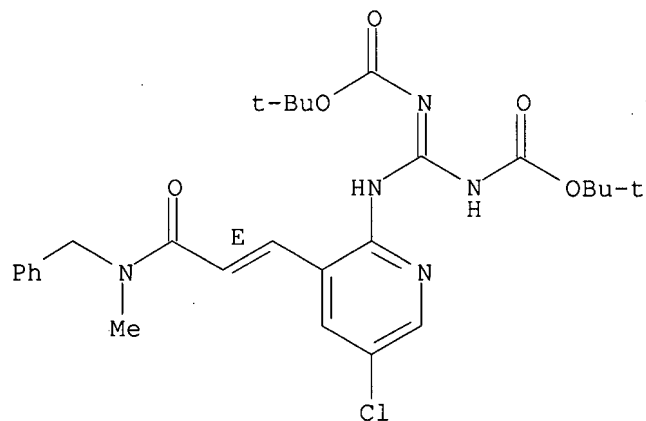
Double bond geometry as shown.



RN 301542-73-4 HCAPLUS

CN Carbamic acid, [[5-chloro-3-[(1E)-3-[methyl(phenylmethyl)amino]-3-oxo-1-propenyl]-2-pyridinyl]carbonimidoyl]bis-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

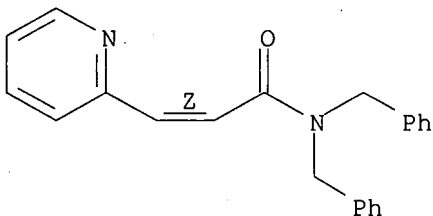


Updated Search

**P**

L20 ANSWER 30 OF 40 HCAPLUS COPYRIGHT 2007 ACS on STN

Double bond geometry as shown.



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 31 OF 40 HCAPLUS COPYRIGHT 2007 ACS on STN

Updated Search

10510053

LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9953920	A1	19991028	WO 1999-EP2686	19990421
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19818044	A1	19991028	DE 1998-19818044	19980422
EP 1031564	A1	20000830	EP 1999-103814	19990226
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AU 9939282	A	19991108	AU 1999-39282	19990421
EP 1079832	A1	20010307	EP 1999-922119	19990421
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2002512190	T	20020423	JP 2000-544324	19990421
AT 311186	T	20051215	AT 1999-922119	19990421
ES 2253890	T3	20060601	ES 1999-922119	19990421
WO 2000050399	A1	20000831	WO 2000-EP1628	20000228
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1154998	A1	20011121	EP 2000-907642	20000228
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002537380	T	20021105	JP 2000-600982	20000228
EP 1816124	A2	20070808	EP 2007-10337	20000228
R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 2002160968	A1	20021031	US 2001-935772	20010823
US 6506572	B2	20030114		

PRIORITY APPLN. INFO.:  
 DE 1998-19818044 A 19980422  
 EP 1999-103814 A 19990226  
 WO 1999-EP2686 W 19990421  
 EP 2000-907642 A3 20000228  
 WO 2000-EP1628 W 20000228

OTHER SOURCE(S): MARPAT 131:307106

AB The invention relates to the use of vitamin PP compds. and/or compds. with anti-pellagra activity such as for example nicotinic acid (niacin), and nicotinamide (niacin-amide, vitamin PP, vitamin B3) for the reduction, elimination or prevention of side-effects of different degrees as well as for neutralization of acute side-effects in immunosuppressive or cancerostatic chemotherapy or diagnosis, especially with substituted pyridine carboxamides, as well as combination medicaments with an amount of compds. with vitamin B3 and/or anti-pellagra activity and chemotherapeutic agents are especially considered in the mentioned chemotherapies and indications. Nicotinamide at 500 mg/kg twice daily protected mice treated i.p. with

Updated Search

10510053

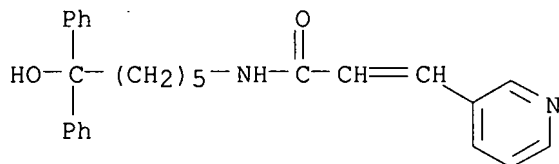
antitumor N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)propionamide. There were no deaths in the nicotinamide-treated mice and the strong reduction of leukocytes was completely prevented.

IT 228114-97-4 228115-03-5

RL: ADV (Adverse effect, including toxicity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(vitamin PP compds. as cytoprotective agents in chemotherapy)

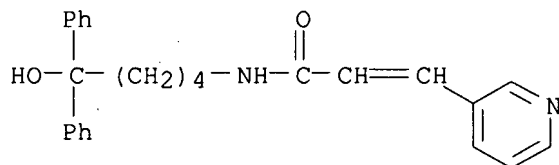
RN 228114-97-4 HCAPLUS

CN 2-Propenamide, N-(6-hydroxy-6,6-diphenylhexyl)-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 228115-03-5 HCAPLUS

CN 2-Propenamide, N-(5-hydroxy-5,5-diphenylpentyl)-3-(3-pyridinyl)- (9CI)  
(CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 32 OF 40 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1999:582644 HCAPLUS

DOCUMENT NUMBER: 131:214554

TITLE: Preparation of basic  $\alpha$ -aminoalkylphosphonate derivatives as serine protease inhibitors

INVENTOR(S): Powers, James C.; Jackson, Delwin S.; Ni, Liming

PATENT ASSIGNEE(S): Georgia Tech Research Corp., USA

SOURCE: U.S., 18 pp., Cont.-in-part of U.S. 5,686,419.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

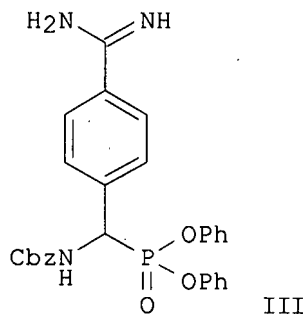
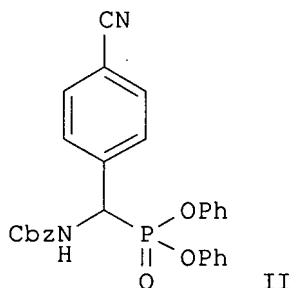
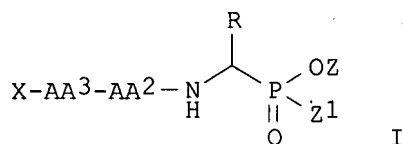
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5952307	A	19990914	US 1997-907840	19970814
US 5686419	A	19971111	US 1994-184286	19940121
PRIORITY APPLN. INFO.:			US 1994-184286	A2 19940121
OTHER SOURCE(S):	MARPAT	131:214554		
GI				

Updated Search





AB Peptidyl  $\alpha$ -aminoalkylphosphonic acid diesters with basic substituents I [R = Ph, CH<sub>2</sub>Ph, C1-6 alkyl substituted with amidino, guanidino, isothioureido, or amino; Z = C1-6 perfluoroalkyl, Ph, Ph substituted with J; Z1 = C1-6 perfluoroalkyloxy, phenoxy, phenoxy substituted with J, C1-6 alkoxy, halo; J = halo, C1-6 alkyl, C1-6 perfluoroalkyl, C1-6 alkoxy, NO<sub>2</sub>, CN, OH, CO<sub>2</sub>H, amino, C1-6 alkylamino, C2-12 dialkylamino, C1-6 acyl, C1-6 alkoxy, carbonyl, C1-6 alkylthio; AA2, AA3 = independently bond, blocked or unblocked D-, L-, or achiral amino acid residue; X = Y-CO, Y-SO<sub>2</sub>; Y = Ph-CH:CH, (2-furyl)CH:CH, (2-thienyl)CH:CH, (2-Pyridyl)CH:CH, 2-phenoxyphenyl, 3-phenoxyphenyl, substituted Ph, C1-6 alkenyl substituted with a heterocyclic group, (un)substituted Ph, or (un)substituted naphthyl] and pharmaceutically acceptable salts thereof were prepared as compds. for use in inhibiting serine proteases with trypsin-like specificity and as anti-inflammatory agents, anticoagulants, and anti-tumor agents. Thus, condensation of 9.75 g 4-cyanobenzaldehyde with 7.65 g benzyl carbamate and 13.5 mL tri-Ph phosphite in 20 mL glacial acetic acid gave 70% cyanophenylphosphonate II. Amidation of II with ammonia and ammonium chloride in MeOH gave amidinophenyl derivative III as its HCl salt. III and related compds. were tested for inhibition of a variety of serine proteases.

IT 209675-97-8P 242817-42-1P

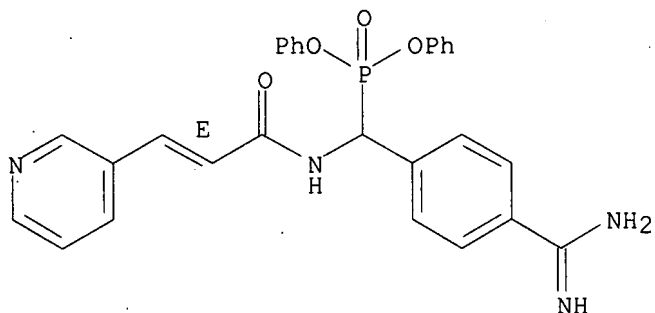
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of basic  $\alpha$ -aminoalkylphosphonate derivs. as serine protease inhibitors)

RN 209675-97-8 HCAPLUS

CN Phosphonic acid, [[4-(aminoiminomethyl)phenyl][[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]amino]methyl]-, diphenyl ester, monohydrochloride (9CI) (CA INDEX NAME)

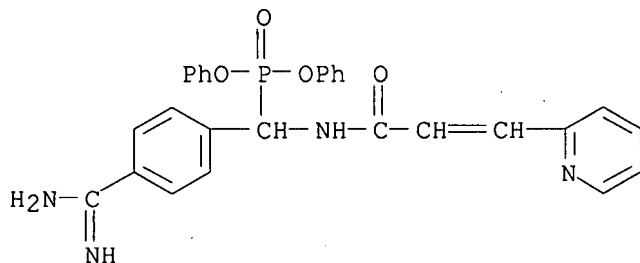
Double bond geometry as shown.

10510053



● HCl

RN 242817-42-1 HCAPLUS  
CN Phosphonic acid, [[4-(aminoiminomethyl)phenyl][[1-oxo-3-(2-pyridinyl)-2-propenyl]amino]methyl]-, diphenyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 33 OF 40 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1999:404933 HCAPLUS

DOCUMENT NUMBER: 131:58757

TITLE: Aryl-substituted pyridyl alkane, alkene, and alkyne carboxamides useful as cytostatic and immunosuppressive agents

INVENTOR(S): Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Seibel, Klaus; Vogt, Klaus; Wosikowski, Katja

PATENT ASSIGNEE(S): Klinge Pharma G.m.b.H., Germany

SOURCE: PCT Int. Appl., 208 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9931064	A1	19990624	WO 1998-EP8272	19981216
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW,				

Updated Search

10510053

MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR,  
TT, UA, UG, US, UZ, VN, YU, ZW  
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,  
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,  
CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

DE 19756261	A1	19990701	DE 1997-19756261	19971217
ZA 9811240	A	19990608	ZA 1998-11240	19981208
AU 9922740	A	19990705	AU 1999-22740	19981216
EP 1042291	A1	20001011	EP 1998-966352	19981216
EP 1042291	B1	20050713		

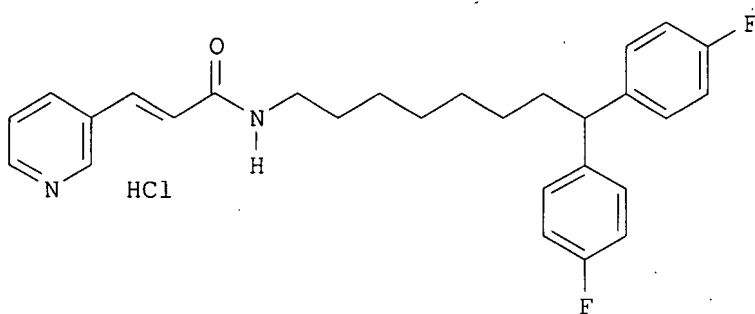
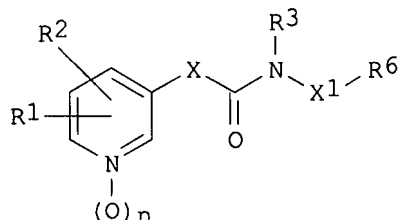
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, FI

JP 2002508357	T	20020319	JP 2000-538991	19981216
AT 299495	T	20050715	AT 1998-966352	19981216
PT 1042291	T	20051130	PT 1998-966352	19981216
ES 2246073	T3	20060201	ES 1998-966352	19981216

PRIORITY APPLN. INFO.:

DE 1997-19756261	A	19971217
WO 1998-EP8272	W	19981216

OTHER SOURCE(S): MARPAT 131:58757  
GI



AB The pyridine-containing carboxamides I [n = 0, 1; R1 = H, halo, cyano, alkyl, alkenyl, alkynyl, alkoxy, HO, H2NCO, alkylthio, PhO, pyridyloxy, R4R5N (R4, R5 = H, alkyl, alkenyl, alkynyl, aralkyl, aryl), etc.; R2 = H, halo, cyano, alkyl, fluoroalkyl, HO, alkoxy, PhCH2O, etc.; R3 = H, alkyl, alkenyl, alkynyl, HO, alkoxy, aralkyloxy, etc.; X = alkylene substituted by alkyl, HO, alkoxy, F, aryl; alkylene with methylene unit isosterically replaced by O, S, NH, substituted NH, CO, SO, SO2; 1,2-cyclopropylene, alkenylene, alkadienylene, hexatrienylene, ethynylene; X1 = substituted alkylene, alkenylene, alkynylene, and alkylene, alkenylene, or alkynylene with methylene units replaced by O, S, NH, substituted NH, CO, SO, or SO2; R6 = R7(CR8R9)m; m = 0, 1; R7 = aralkyl, heterocyclyl, carbocyclyl, R8, R9 = H, HO, alkyl alkenyl, alkynyl, cycloalkyl, aralkyl, etc.; R6 = R8R9C.; R8, R9 = as above or R8R9C: = carbocyclic or heterocyclic ring

Updated Search

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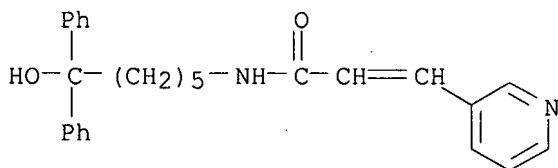
system bound over the C atom; R6 = R7(CR8R9)m-(CH2)p-X2; R7, R8, R9, m as above; p = 1-2; X2 = substituted NH, O, S; R6 = NR8R9, R8, R9 as above or NR8R9 = N-heterocyclyl; R6 = R7(CR8R9)m-X3-CONH-; R7, R8, R9, m as above, X3 = bond, methylene, ethylene, cycloalkylene, etc.; R6 = substituted sulfonylamino; R6 = Ar(Ar1)P(O)-; Ar, Ar1 = aryl, heteroaryl] were prepared for use as cytostatic and immunosuppressive agents. Thus, 3-(3-pyridinyl)acrylic acid was chlorinated with oxalyl chloride and then amidated with (4-FC6H4)2CH(CH2)7NH2 to give the N-octylacrylamide II which inhibited HepG2 cells from a human liver carcinoma with IC50 = 0.05 µM.

IT 228114-97-4P 228115-03-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of aryl-substituted pyridyl alkane, alkene, and alkyne carboxamides as cytostatic and immunosuppressive agents)

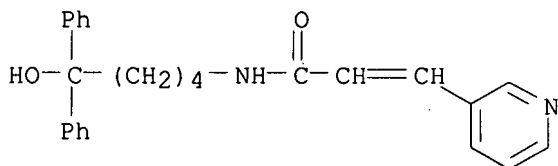
RN 228114-97-4 HCAPLUS

CN 2-Propenamide, N-(6-hydroxy-6,6-diphenylhexyl)-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 228115-03-5 HCAPLUS

CN 2-Propenamide, N-(5-hydroxy-5,5-diphenylpentyl)-3-(3-pyridinyl)- (9CI)  
(CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 34 OF 40 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1999:46691 HCAPLUS

DOCUMENT NUMBER: 130:222798

TITLE: Polymer Bound 3-Hydroxy-2-methylenepropionic Acids. A Template for Multiple Core Structure Libraries  
AUTHOR(S): Richter, Hartmut; Walk, Tilmann; Hoeltzel, Alexandra; Jung, Guenther

CORPORATE SOURCE: Institut fuer Organische Chemie, Eberhard-Karls-Universitaet Tuebingen, Tuebingen, D-72076, Germany

SOURCE: Journal of Organic Chemistry (1999), 64(4), 1362-1365  
CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 130:222798

Updated Search

10510053

AB Polymer-bound 3-hydroxy-2-methylenepropanoic acid derivs. were prepared from polymer-bound acrylic acid and aldehyde via a Baylis-Hillman reaction and further elaborated into a large number of different core compds.

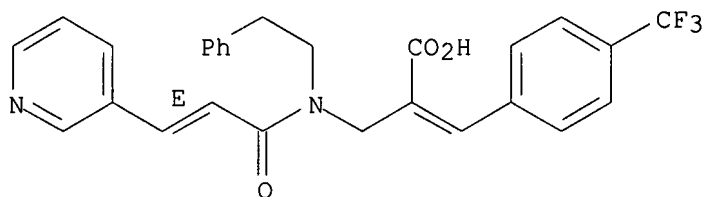
IT 221088-41-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of polymer-bound (hydroxy) (methylene)propanoates as template for multiple core structure libraries)

RN 221088-41-1 HCAPLUS

CN 2-Propenoic acid, 2-[[[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl](2-phenylethyl)amino]methyl]-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as described by E or Z.



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 35 OF 40 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998:338712 HCAPLUS

DOCUMENT NUMBER: 129:95705

TITLE: Synthesis and Evaluation of Diphenyl Phosphonate Esters as Inhibitors of the Trypsin-like Granzymes A and K and Mast Cell Tryptase

AUTHOR(S): Jackson, Delwin S.; Fraser, Stephanie A.; Ni, Li-Ming; Kam, Chih-Min; Winkler, Ulrike; Johnson, David A.; Froelich, Christopher J.; Hudig, Dorothy; Powers, James C.

CORPORATE SOURCE: School of Chemistry and Biochemistry, Georgia Institute of Technology, Atlanta, GA, 30332-0400, USA  
SOURCE: Journal of Medicinal Chemistry (1998), 41(13), 2289-2301

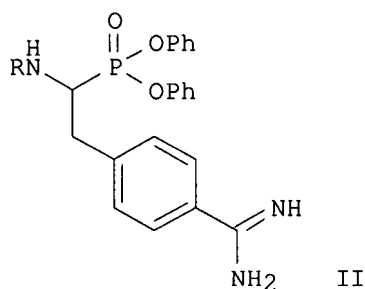
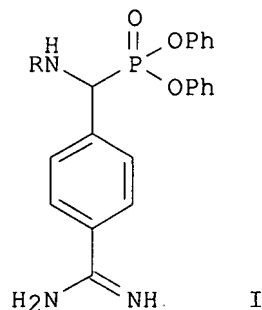
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



Updated Search

AB Thirty-six new amino acid and peptidyl phosphonate esters, e.g. I [R = PhCH<sub>2</sub>SO<sub>2</sub>C (Cbz), HO<sub>2</sub>CCH<sub>2</sub>CH<sub>2</sub>CO (Suc), R<sub>1</sub>CH:CHCO, 3-PhOC<sub>6</sub>H<sub>4</sub>CO, 2-PhOC<sub>6</sub>H<sub>4</sub>CO, 1-ClO<sub>4</sub>H<sub>7</sub>SO<sub>2</sub>, 1-ClO<sub>4</sub>H<sub>7</sub>CH<sub>2</sub>SO<sub>2</sub>C, Cbz-X, R<sub>2</sub>-Pro, Suc-Ala-Ala, Boc-D-Phe-Pro, PhCH<sub>2</sub>SO<sub>2</sub>-Gly-Pro; R<sub>1</sub> = Ph, 2-furyl, 2-thienyl, 3-pyridyl; X = Ala, Val, Leu, Pro, Thr, Lys, Phe, Ala-Ala, Pro-Ala, Asp-Ala, Asp(OCMe<sub>3</sub>)-Ala, Lys-Ala, Lys(Boc)-Ala, Phe-Ala, Ala-Ala-Ala; R<sub>2</sub> = 2-PhOC<sub>6</sub>H<sub>4</sub>CO, 3-PhOC<sub>6</sub>H<sub>4</sub>CO, Ph<sub>2</sub>CHCH<sub>2</sub>CO, PhCH<sub>2</sub>CH<sub>2</sub>CO; Boc = Me<sub>3</sub>CO<sub>2</sub>C] were synthesized and evaluated to identify potent and selective inhibitors for four trypsin-like proteases: lymphocyte granzymes A and K, human mast cell tryptase, and pancreatic trypsin. Among five Lys and Arg homologs, II (R = Cbz) is the most potent inhibitor for granzyme A, and CbzNHCH(PO<sub>3</sub>Ph<sub>2</sub>)(CH<sub>2</sub>)<sub>4</sub>NH<sub>2</sub>.HCl (III) is the best inhibitor for granzyme K, mast tryptase, and trypsin. Generally, phosphonates I inhibit granzyme A and trypsin more potently than granzyme K and tryptase. Dipeptide phosphonates I (R = Cbz-Ala, Cbz-Thr) are the most potent inhibitors for granzyme A, and I (R = Cbz-Thr) (k<sub>obs</sub>/[I] = 2220 M<sup>-1</sup> s<sup>-1</sup>) was quite specific with much lower inhibition rates for granzyme K and trypsin (k<sub>obs</sub>/[I] = 3 and 97 M<sup>-1</sup> s<sup>-1</sup>, resp.) and no inhibition with tryptase. The most effective inhibitor of granzyme A was I (R = PhCH<sub>2</sub>SO<sub>2</sub>-Gly-Pro) with a second-order rate constant of 3650 M<sup>-1</sup> s<sup>-1</sup>. The most potent inhibitor for granzyme K was I (R = Ph<sub>2</sub>CHCH<sub>2</sub>CO-Pro) with a k<sub>obs</sub>/[I] = 1830 M<sup>-1</sup> s<sup>-1</sup>; all other phosphonates inhibited granzyme K weakly (k<sub>obs</sub>/[I] < 60 M<sup>-1</sup> s<sup>-1</sup>). Human mast cell tryptase was inhibited slowly by these phosphonates with III as the best inhibitor (k<sub>obs</sub>/[I] = 89 M<sup>-1</sup> s<sup>-1</sup>). The overall results suggest that scaffolds of II (R = Phe-Thr) and Phe-Pro-Lys will be useful to create selective phosphonate inhibitors for granzymes A and K, resp., and that P<sub>4</sub> substituents offer opportunities to further enhance selectivity and reactivity.

IT 209675-97-8P

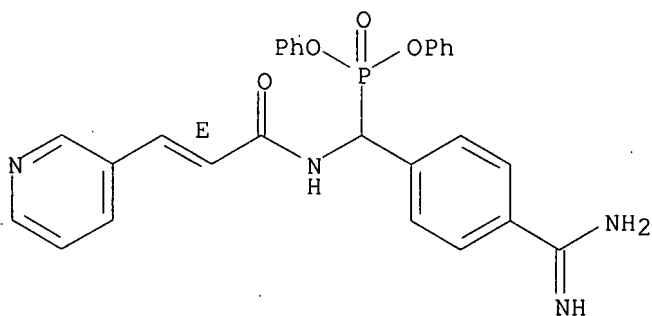
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and structure-activity of phosphonate ester inhibitors of the trypsin-like granzymes A and K and mast cell tryptase)

RN 209675-97-8 HCAPLUS

CN Phosphonic acid, [[4-(aminoiminomethyl)phenyl][[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]amino]methyl]-, diphenyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● HCl

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REFERENCE COUNT: 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 36 OF 40 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:2422 HCAPLUS

DOCUMENT NUMBER: 126:47223

TITLE: Preparation of imidazopyridine and benzimidazole derivatives as dual histamine H1 and platelet activating factor antagonists.

INVENTOR(S): Martin, Fionna Mitchell; Floyd, Christopher David; Spavold, Zoe Marie; Ayscough, Andrew Paul; Whittaker, Mark

PATENT ASSIGNEE(S): British Biotech Pharmaceuticals Limited, UK; Martin, Fionna Mitchell; Floyd, Christopher David; Spavold, Zoe Marie; Ayscough, Andrew Paul; Whittaker, Mark

SOURCE: PCT Int. Appl., 55 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9633997	A1	19961031	WO 1996-GB680	19960322
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PRIORITY APPLN. INFO.:			GB 1995-8748	A 19950428
OTHER SOURCE(S):		MARPAT 126:47223		
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. [I; A = N, CR1; R1 = H, alkyl, alkenyl, halo, CN, CO2H, CONH2, CHO, CF3, alkoxy, NH2, NO2, etc.; R = H, alkyl, alkenyl, halo, alkoxy; R2 = H, alkyl, alkenyl, alkoxy, alkylthio, cyclopropyl, hydroxyalkyl, dialkylamino, CF3; R3 = H, alkyl, alkenyl, alkynyl, alkoxy, alkoxy carbonyl, PhS, etc.; R4, R5 = H, alkyl; R4R5C = 3-8 membered carbocyclyl, heterocyclyl; W = N, CH; X = O, S, imino, etc.; Y = CO, SO2; Z = bond, bivalent alkyl, alkenyl, alkynyl; B = H, OH, D = H; or BD = C:C; R6 = H, halo, OH, cyano, alkyl, CF3, alkoxy; R7, R8 = H, alkyl; R7R8N = 4-7 membered heterocyclyl; n, m = 0, 1], were prepared. Thus, 4-[1H-2-methylimidazo[4,5-c]pyridin-1-ylmethyl]benzyl alc. (preparation given) was stirred with 3-[6-[3-pyrrolidin-1-yl-1-(4-tolyl)prop-(E)-enyl]pyridin-2-yl]acrylic acid and N-(3-dimethylaminopropyl)-N'-ethylcarbodiimide hydrochloride and 4-dimethylaminopyridine in CH2Cl2 for 72 h to give 67% title compound (II). II inhibited histamine-induced bronchoconstriction in guinea pigs with ED50 = 0.5 mg/kg orally.

IT 184473-97-0P 184474-02-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazopyridine and benzimidazole derivs. as dual histamine H1 and platelet activating factor antagonists)

RN 184473-97-0 HCAPLUS

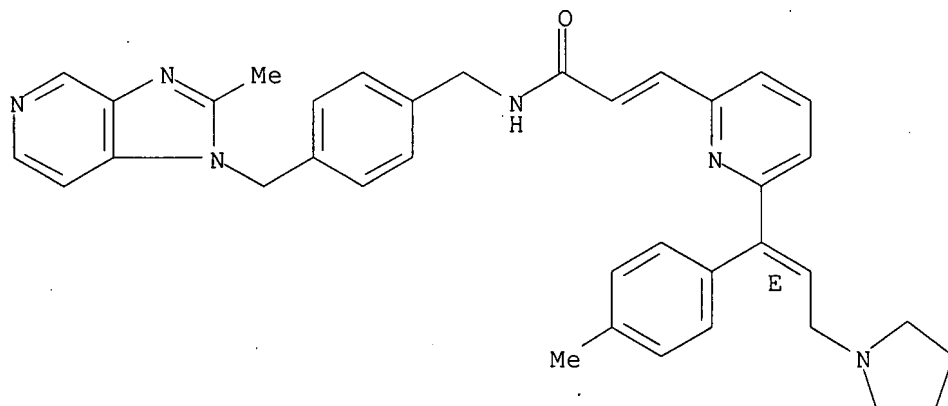
CN 2-Propenamide, N-[[4-[(2-methyl-1H-imidazo[4,5-c]pyridin-1-

Updated Search

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yl)methyl]phenyl)methyl]-3-[6-[1-(4-methylphenyl)-3-(1-pyrrolidinyl)-1-propenyl]-2-pyridinyl]-, (? ,E)- (9CI) (CA INDEX NAME)

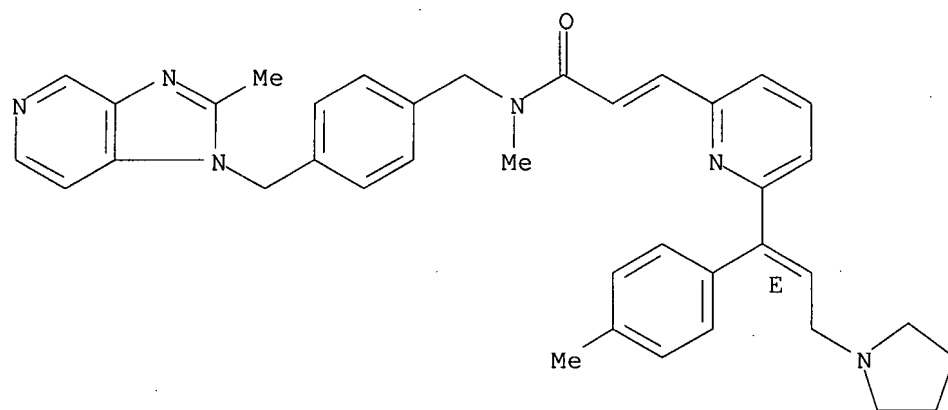
Double bond geometry as described by E or Z.



RN 184474-02-0 HCAPLUS

CN 2-Propenamide, N-methyl-N-[[4-[(2-methyl-1H-imidazo[4,5-c]pyridin-1-yl)methyl]phenyl)methyl]-3-[6-[1-(4-methylphenyl)-3-(1-pyrrolidinyl)-1-propenyl]-2-pyridinyl]-, (? ,E)- (9CI) (CA INDEX NAME)

Double bond geometry as described by E or Z.



L20 ANSWER 37 OF 40 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1993:427842 HCAPLUS

DOCUMENT NUMBER: 119:27842

TITLE: 3,5-Di-tert-butyl-4-hydroxyphenyl derivatives, useful as antioxidants and antiatherosclerotics, and process for their preparation

INVENTOR(S): Dreckmann-Behrendt, Bruno; Heck, Reinhard; Dresel, Alois; Michel, Helmut

PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., Germany

SOURCE: Eur. Pat. Appl., 29 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

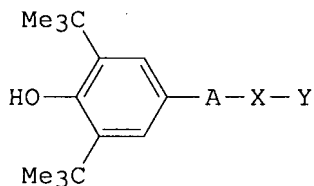
Updated Search



10510053

LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 527458	A1	19930217	EP 1992-113574	19920810
R: PT				
DE 4126662	A1	19930218	DE 1991-4126662	19910813
WO 9304035	A1	19930304	WO 1992-EP1821	19920810
W: AU, BG, BR, CA, CS, FI, HU, JP, KR, NO, PL, RO, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE				
AU 9224186	A	19930316	AU 1992-24186	19920810
EP 600949	A1	19940615	EP 1992-917133	19920810
EP 600949	B1	19960110		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, SE				
JP 06510030	T	19941110	JP 1992-504071	19920810
AT 132849	T	19960115	AT 1992-917133	19920810
ZA 9206049	A	19940214	ZA 1992-6049	19920812
PRIORITY APPLN. INFO.:				
			DE 1991-4126662	A 19910813
			WO 1992-EP1821	A 19920810
OTHER SOURCE(S):		MARPAT 119:27842		
GI				



AB Over 160 title compds. I [A = bond, C1-5 alkylene; X = NRCO, NRCONR; R = H, C1-4 alkyl; Y = (un)branched (un)saturated C1-6 hydrocarbon chain [optionally substituted by (un)substituted (hetero)aryl, aryloxy, or arylthio], C3-6 cycloalkyl, (un)substituted aryl; Y can only = unsubstituted Ph when A ≠ CH<sub>2</sub> or CH<sub>2</sub>CH<sub>2</sub>] were prepared as pharmacol. antioxidants, ACAT-inhibiting hypolipemics, antiinflammatories, cytoprotectives, and antiasthmatics (no data). For example, reaction of 3,5-di-tert-butyl-4-hydroxybenzylamine-HCl with 4-ClC<sub>6</sub>H<sub>4</sub>CH:CHCOCl in PhMe containing Et<sub>3</sub>N gave title compound I (A = CH<sub>2</sub>, X = NHCO, Y = CH:CHC<sub>6</sub>H<sub>4</sub>Cl-4).

IT 148015-39-8P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as antioxidant and hypolipidemic)

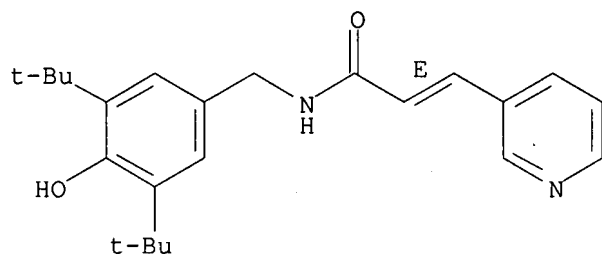
RN 148015-39-8 HCAPLUS

CN 2-Propenamide, N-[[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-3-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

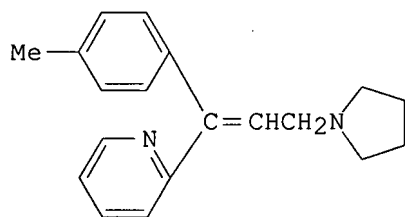
Double bond geometry as shown.

Updated Search

10510053



L20 ANSWER 38 OF 40 HCAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 1985:72255 HCAPLUS  
DOCUMENT NUMBER: 102:72255  
TITLE: Triprolidine radioimmunoassay: disposition in animals and humans  
AUTHOR(S): Findlay, John W. A.; Butz, Robert F.; Coker, Geoffrey G.; DeAngelis, Richard L.; Welch, Richard M.  
CORPORATE SOURCE: Dep. Med. Biochem., Wellcome Res. Lab., Research Triangle Park, NC, 27709, USA  
SOURCE: Journal of Pharmaceutical Sciences (1984), 73(10), 1339-44  
CODEN: JPMSAE; ISSN: 0022-3549  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI



I

AB A hapten derivative of triprolidine (I) [486-12-4] bearing an acrylic acid side chain ortho to the pyridine ring N atom, was synthesized and coupled to bovine serum albumin. Immunization of New Zealand White rabbits with the resulting drug-protein conjugate resulted in the production of antisera capable of binding of radioiodinated tyramine conjugate of the triprolidine hapten derivative at high antiserum dilns. (1:70,000-1:150,000). These antisera were used to develop a radioimmunoassay (RIA) for triprolidine in human plasma with a sensitivity limit of 0.1 ng/mL (0.01 ng of actual mass). The known hydroxymethyl and carboxyl metabolites of triprolidine cross-reacted weakly (<2 and <0.05%, resp.) with this antiserum. The RIA could be used for the direct anal. of triprolidine in human and rabbit plasma but not for rat or dog plasma, presumably due to the presence of other interfering substances (possibly metabolites). The validity of the RIA procedure in human plasma was demonstrated by comparative anal. of a number of samples by quant. TLC ( $r = 0.985$ , slope = 1.076). The assay was employed to describe the pharmacokinetics of triprolidine in the rabbit ( $t_{1/2, \beta} = 1.7$  h). The assay had adequate sensitivity to detect low circulating drug concns. in humans after therapeutic oral doses and also substantiated previous disposition expts.

Updated Search

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with triprolidine in humans ( $t_{1/2,\beta} = 2.27$  h). TLC anal. demonstrated that the absolute oral bioavailability of triprolidine (1 mg/kg dose) in the dog was low (4%). A comparison of triprolidine pharmacokinetic parameters in dogs, rabbits, rats, and humans revealed considerable similarity in elimination characteristics in these species.

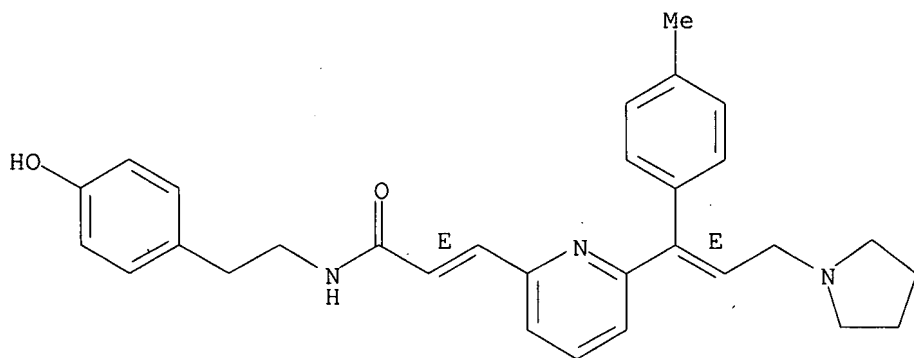
IT 93752-49-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and radioiodination of)

RN 93752-49-9 HCAPLUS

CN 2-Propenamide, N-[2-(4-hydroxyphenyl)ethyl]-3-[6-[1-(4-methylphenyl)-3-(1-pyrrolidinyl)-1-propenyl]-2-pyridinyl]-, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



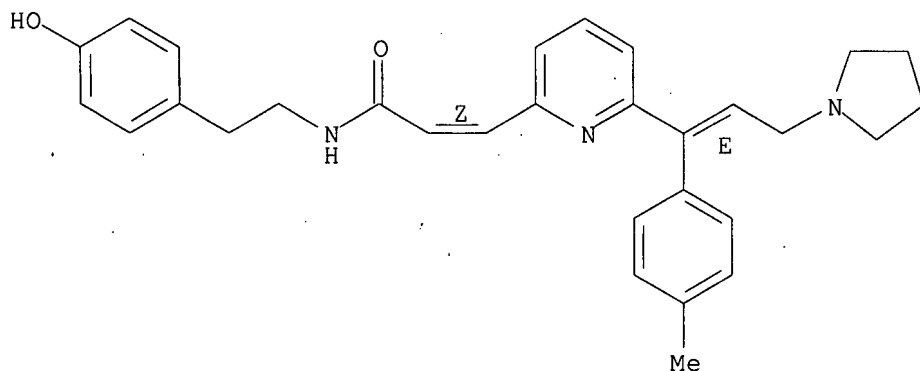
IT 93752-50-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 93752-50-2 HCAPLUS

CN 2-Propenamide, N-[2-(4-hydroxyphenyl)ethyl]-3-[6-[1-(4-methylphenyl)-3-(1-pyrrolidinyl)-1-propenyl]-2-pyridinyl]-, (Z,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT 93775-28-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, for triprolidine radioimmunoassay in blood of humans and laboratory animals)

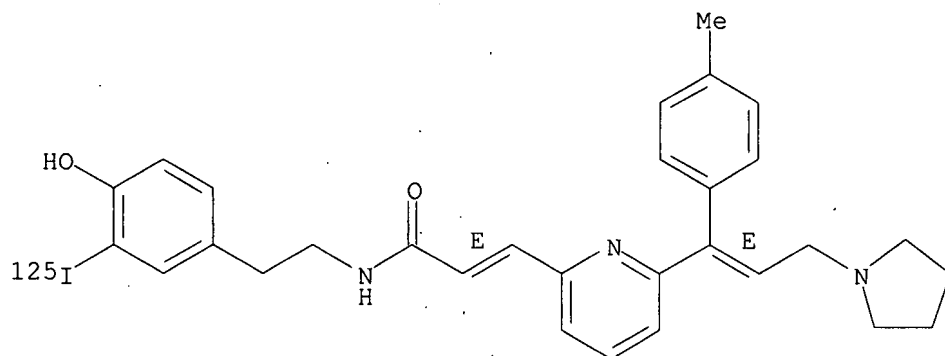
RN 93775-28-1 HCAPLUS

Updated Search

10510053

CN 2-Propenamide, N-[2-[4-hydroxy-3-(iodo-125I)phenyl]ethyl]-3-[6-[1-(4-methylphenyl)-3-(1-pyrrolidinyl)-1-propenyl]-2-pyridinyl]-, (E,E)- (9CI)  
(CA INDEX NAME)

Double bond geometry as shown.



L20 ANSWER 39 OF 40 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1966:429396 HCAPLUS  
DOCUMENT NUMBER: 65:29396  
ORIGINAL REFERENCE NO.: 65:5442b-h, 5443a-h, 5444a  
TITLE: Substituted benzenesulfonylurea derivatives  
PATENT ASSIGNEE(S): Farbwerke Hoechst AG  
SOURCE: 23 pp.  
DOCUMENT TYPE: Patent  
LANGUAGE: Unavailable  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 6509697		19660202	NL 1965-9697	19650727
			DE	19640801

PRIORITY APPLN. INFO.:

AB The title compds., useful as long-acting blood sugar level lowering agents are prepared by known methods. Thus, to a solution of 15.5 g. 4-[ $\beta$ -(thiophene-2-carboxamido)ethyl]benzenesulfonamide, m. 238°, (prepared from 4-( $\beta$ -aminoethyl)benzenesulfonamide and thiophene-2-carboxylic acid chloride) in 2 g. NaOH, H<sub>2</sub>O, and 200 ml. acetone is added dropwise with stirring at room temperature 6.5 g. cyclohexyl isocyanate, and the mixture stirred 2 hrs. to yield N-[4- $\beta$ -thiophene-2-carboxamidoethyl]benzenesulfonyl - N'-cyclohexylurea (I), m. 194-6° (EtOH-H<sub>2</sub>O). Similarly are prepared the following N-[4-( $\beta$ -thiophene-2-carboxamidoethyl)benzenesulfonyl]-N'-substituted ureas (substituent and m.p. given): Bu, 213-15°; 4-ethylcyclohexyl, 192-4°; 4-methylcyclohexyl, 181-3°; 3-cyclohexenyl, 181-3°; and starting with 4-(thiophene-2-carboxamidomethyl)benzenesulfonamide, m. 219-21°, the following N-[4-(thiophene-2-carboxamidomethyl)benzenesulfonyl]-N'-substituted ureas (substituent and m.p. given): Bu, 155-7°; cyclohexyl, 187-8°; 4-ethylcyclohexyl, 196-8°; and from 4( $\beta$ -5-chlorothiophene-2-carboxamidoethyl)benzenesulfonamide, m. 280°, are prepared the corresponding N-[4-( $\beta$ -5-chlorothiophene-2-carboxamidoethyl)benzenesulfonyl] - N'-cyclohexylurea, m. 189-91° (EtOH-H<sub>2</sub>O); -N'-butylurea, m. 188-90°; -N'-(4-

Updated Search

methylcyclohexyl)urea, m. 190-2°; -N'-(4-ethylcyclohexyl)-urea, m. 191-3°; and -N'-(3-cyclohexenyl)urea, m. 186-8°. Starting with 4-( $\beta$ -3-methoxythiophene-2-carboxamidoethyl)benzenesulfonamide, m. 201-3°, [dimethylformamide (DMF)-H<sub>2</sub>O] were obtained: N-[4-( $\beta$ -3-methoxythiophene-2-carboxamidoethyl)benzenesulfonyl]-N'-cyclohexylurea, m. 193-4° (DMF-H<sub>2</sub>O); and the corresponding N'-butylurea, m. 173-5° (MeOH); and -N'-(4-methylcyclohexyl)urea, m. 190-2° (DMF-H<sub>2</sub>O); starting with 4-( $\beta$ -3-ethoxythiophene-2-carboxamidoethyl)benzenesulfonamide, m. 177° were prepared N-[4-( $\beta$ -3-ethoxythiophene-2-carboxamidoethyl)benzenesulfonyl]-N'-cyclooctylurea, m. 158-60° (DMF-MeOH); and the corresponding N'-cyclohexylurea, m. 174-5° (DMF-MeOH); -N'-butylurea, m. 146-7° (DMF-H<sub>2</sub>O); and -N'-(4-methylcyclohexyl)urea, m. 174-6° (DMF-H<sub>2</sub>O); starting with 4-( $\beta$ -3,5-dimethylthiophene-2-carboxamidoethyl)benzenesulfonamide, m. 176-7°, were prepared N-[4-( $\beta$ -3,5-dimethylthiophene-2-carboxamidoethyl)benzenesulfonyl]-N'-cyclohexylurea, m. 189-90° (DMF-H<sub>2</sub>O); and the corresponding N'-(4-methylcyclohexyl)urea, m. 173-5° (DMF-H<sub>2</sub>O); starting with 4-( $\beta$ -3-methylthiophene-2-carboxamidoethyl)benzenesulfonamide, m. 198-200°, were obtained: N-[4-( $\beta$ -3-methylthiophene-2-carboxamidoethyl)benzenesulfonyl]-N'-cyclooctylurea, m. 203-5° (DMF-H<sub>2</sub>O), and the corresponding -N'-cyclohexylurea, m. 183-4° (DMF-H<sub>2</sub>O); -N'-butylurea, m. 175-7° (DMF-H<sub>2</sub>O); and -N'-(4-methylcyclohexyl)urea, m. 201-3° (DMF-H<sub>2</sub>O) (trans form); and starting with 4-( $\beta$ -3-chlorothiophene-2-carboxamidoethyl)benzenesulfonamide, m. 211-13°, were prepared: N-[4-( $\beta$ -3-chlorothiophene-2-carboxamidoethyl)benzenesulfonyl]-N'-cyclohexylurea, m. 183-4° (DMF-H<sub>2</sub>O); and the corresponding N'-butylurea, m. 189-90° (MeOH); N'-(4-methylcyclohexyl)urea, m. 207-9° (DMF-H<sub>2</sub>O) (trans form); and N'-(4-ethylcyclohexyl)urea, m. 177-8° (MeOH) (trans form). A mixture of 11.5 g. N-[4-( $\beta$ -3-benzyloxythiophene-2-carboxamidoethyl)benzenesulfonyl]urea, m. 109-10° (DMF-H<sub>2</sub>O), 300 ml. PhMe, 30 ml. glycol monomethyl ether, 1.65 g. AcOH, and 2.8 g. cyclohexylamine is refluxed 5 hrs. with stirring to yield the corresponding N'-cyclohexylurea, m. 167-8° (DMF-H<sub>2</sub>O). To a suspension of 23.8 g. N-[4-( $\beta$ -3-benzyloxythiophene-2-carboxamidoethyl)benzenesulfonyl]methylmethane, m. 163-4° (EtOH), in 50 ml. xylene is added dropwise with stirring at 70° 5.8 g. 4-methylcyclohexylamine, and the mixture is heated 30 min. at 130° to yield N-[4-( $\beta$ -3-benzyloxythiophene-2-carboxamidoethyl)benzenesulfonyl]-N'-(4-methylcyclohexyl)urea (II), m. 153-5° (MeOH). A solution of 1.35 g. N-[4-( $\beta$ -thiophene-2-carboxamidoethyl)benzenesulfonyl]-N'-cyclohexylthiourea, m. 181-3°, in 3 ml. N NaOH and 30 ml. H<sub>2</sub>O is added to a mixture of 0.81 g. HgCl<sub>2</sub>, 15 ml. H<sub>2</sub>O, and 3 ml. 2N NaOH. The mixture is stirred 5 min. at 40° and worked up to yield N-[4-( $\beta$ -thiophene-2-carboxamidoethyl)benzenesulfonyl]-N'-cyclohexylurea, m. 191-3° (MeOH). Oily N-[4-( $\beta$ -thiophene-2-carboxamidoethyl)benzenesulfonyl]-N'-cyclohexylisourea Me ether (1.2 g.) (prepared from the corresponding N'-cyclohexylthiourea with HgO in MeOH) is heated 10 min. on a steam bath with 15 ml. concentrated HCl to yield N-[4-( $\beta$ -thiophene-2-carboxamidoethyl)benzenesulfonyl]-N'-cyclohexylurea, m. 191-3° (MeOH). Starting with the required substituted benzenesulfonamide, m. 228-30°, are prepared (cf. I) N-[4-( $\beta$ -furoylaminoethyl)benzenesulfonyl]-N'-cyclohexylurea, m. 176-8° (EtOH-H<sub>2</sub>O); and the corresponding N'-(4-ethylcyclohexyl)urea, m. 196-8°; N'-butylurea, m. 201-3°; N'-(4-methylcyclohexyl)urea, m. 184-6°; N'-(4-isopropylcyclohexyl)urea, m. 209-11°; and N'-(3-cyclohexenyl)urea, m. 166-8°; from the

appropriate substituted benzenesulfonamide, m. 192-4°, were prepared N-[4-( $\beta$ -furfuroyl-aminopropyl)benzenesulfonyl]-N'-cyclohexylurea, m. 196-8°, and the corresponding N'-(4-ethylcyclohexyl)urea, m. 179-81°; and from 4-furoylaminomethylbenzenesulfonamide, m. 218-19° were prepared N-(4-furoylaminomethylbenzenesulfonyl)-N'-cyclohexylurea, m. 173-5° (EtOH), and the corresponding N'-butylurea, m. 171-3°, and N'-(4-isopropylcyclohexyl)urea, m. 190-2°. Starting with 4-( $\beta$ -furyl-2-propionamidoethyl)-benzenesulfonamide, m. 195-7°, (preparation given) are obtained: N-[4-( $\beta$ -furyl-2-propionamidoethyl)benzenesulfonyl]-N'-cyclohexylurea, m. 170-2° (EtOH-H<sub>2</sub>O); and the corresponding N'-(4-ethylcyclohexyl)urea, m. 141-3°, and N'-butylurea, m. 132-4°; from 4-( $\beta$ -furfurylideneacetamidoethyl)benzenesulfonamide, m. 240°, are prepared N-[4-( $\beta$ -furfurylideneacetamidoethyl)benzenesulfonyl]-N'-cyclohexylurea, m. 200°, and the corresponding N'-butylurea, m. 199°. Also is prepared N-[4-(5-chlorofuroylaminomethyl)benzenesulfonyl]-N'-butylurea, m. 161-2° (EtOH-H<sub>2</sub>O). Prepared as described for II, and starting with N-[4-( $\beta$ -furoylaminoethyl)benzenesulfonyl]carbamic acid Me ester, m. 182-4°, (prepared from 4-( $\beta$ -furoylaminoethyl)benzenesulfonamide, chloroformic acid Me ester, and K<sub>2</sub>CO<sub>3</sub>) is: N-[4-( $\beta$ -furoylaminoethyl)benzenesulfonyl]-N'-cyclohexylurea, m. 176-8° (EtOH-H<sub>2</sub>O). Also are prepared from [4-( $\beta$ -furoylaminoethyl)benzenesulfonyl]urea, m. 185-7°, N-[4-( $\beta$ -furoylaminoethyl)benzenesulfonyl]-N'-cyclohexylurea; from the appropriate N'-isobutylthiourea, m. 131-3°, N-[4-( $\beta$ -furoylaminoethyl)benzenesulfonyl]-N'-isobutylurea, m. 192-4° (Me-OH) (which is also prepared from the corresponding oily N'-isobutylisourea Me ether). Prepared according to the method used for I, and starting with 4-(pyridine-3-carboxamidomethyl)-benzenesulfonamide, m. 175°, is: N-[4-(pyridine-3-carboxamidomethyl)benzenesulfonyl]-N'-cyclohexylurea, m. 200-2° (EtOH-H<sub>2</sub>O). Similarly are prepared, starting with 4-( $\beta$ -pyridine-4-carboxamidoethyl)benzenesulfonamide, m. 239-41°: N-[4-( $\beta$ -pyridine-4-carboxamidoethyl)benzenesulfonyl]-N'-(4-methylcyclohexyl)urea, m. 187-8° (DMF-H<sub>2</sub>O), and the corresponding N'-(4-ethylcyclohexyl)urea, m. 207-8° (trans form); and starting with 4-( $\beta$ -pyridine-3-carboxamidoethyl)benzenesulfonamide, m. 205-6°: N-[4-( $\beta$ -pyridine-3-carboxamidoethyl)benzenesulfonyl]-N'-cyclohexylurea, m. 184-5° (DMF-H<sub>2</sub>O); and the corresponding N'-(4-methylcyclohexyl)urea, m. 123-4° (DMF-H<sub>2</sub>O), and N'-(4-ethylcyclohexyl)urea, m. 126-8° (decomposition) (MeOH) (trans form); and from 4-( $\beta$ -pyridine-3-acrylamidoethyl)benzenesulfonamide, m. 226-8°: trans-N-[4-( $\beta$ -pyridine-3-acrylamidoethyl)benzenesulfonyl]-N'-(4-methylcyclohexyl)urea, m. 194-6° (MeOH). Starting with the required substituted benzenesulfonamide, m. 176-8°, are prepared: N-[4-( $\beta$ -(thiophene-2-acetamido)ethyl)benzenesulfonyl]-N'-cyclohexylurea, m. 186° (DMF-H<sub>2</sub>O), and the corresponding trans-N'-(4-methylcyclohexyl)urea, m. 173-4° (DMF-MeOH). Starting with the appropriate substituted benzenesulfonamide, m. 183-5°, are prepared: N-[4-( $\beta$ -(3-phenyl-4-methylthiophene-2-carboxamido)ethyl)benzenesulfonyl]-N'-cyclohexylurea, m. 150-2° (MeOH) and the corresponding trans-N'-(4-methylcyclohexyl)urea, m. 136-8° (MeOH). Starting with 4-(3-methylthiophene-2-carboxamidomethyl)benzenesulfonamide, m. 153°, are prepared: N-[4-(3-methylthiophene-2-carboxamidomethyl)benzenesulfonyl]-N'-cyclohexylurea, m. 163-5° (MeOH), and the corresponding trans-N'-cyclohexylurea, m. 163-5° (MeOH), and the corresponding trans-N'-(4-methylcyclohexyl)-urea, m. 190-1° (MeOH). From the required substituted benzenesulfonamide,

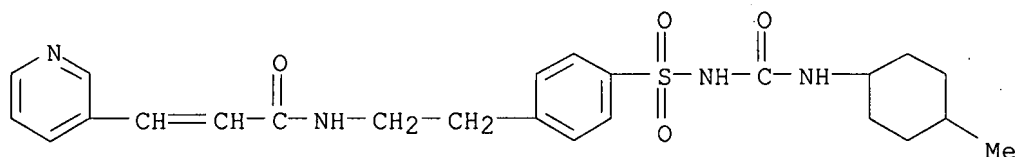
m. 132-4°, are prepared: N-[4-[β-(N-methyl-3-methoxythiophene - 2 - carboxamido)ethyl] benzenesulfonyl] - N'-cyclohexylurea, m. 143-5° (MeOH), and the corresponding trans-N'-(4-methylcyclohexyl)urea, m. 159-61° (MeOH). Further are prepared, again using the appropriate substituted benzenesulfonamide, m. 173-4°: N-[4-[β-(3,4-tetramethylenethiophene-2-carboxamido)ethyl]benzenesulfonyl]-N'-cyclohexylurea, m. 192-4° (DMF-H<sub>2</sub>O), and the corresponding trans-N'-(4-methylcyclohexyl)urea, m. 127-9° (MeOH), and N-[4-(3,4-tetra-methylenethiophene - 2 - carboxamidomethyl)benzenesulfonyl]-N'-(4-methylcyclohexyl)urea, m. 192-3° (MeOH) (trans form) (starting benzenesulfonamide m. 174-5°); from 4-[β-(thiophene-3-hydroxyacetamido)ethyl]benzene sulfonamide, m. 203°: N-[4-[β-(thiophene-3-hydroxyacetamido)ethyl]benzenesulfonyl]-N'-cyclohexylurea, m. 148-9° (MeOH), and the corresponding trans-N'-(4-methylcyclohexyl)urea, m. 182-3° (MeOH), and N-[4-[β-(3-methoxyethoxythiophene - 2 - carboxamido)ethyl]benzenesulfonyl]-N'-(4-methylcyclohexyl)urea, m. 163-5° (MeOH) (trans form) (starting benzenesulfoxamide m. 160-2°); from the required substituted benzenesulfoxamide, m. 132-4°: N-[4-[β-(3-β-methoxyethoxythiophene-2-carboxamido)ethyl]benzenesulfonyl]-N'-cyclohexylurea, m. 135-7° (MeOH), and the corresponding trans-N'-(4-methylcyclohexyl)urea, m. 103-5° (MeOH), and N-[4-[β-(3-allyloxythiophene-2-carboxamido)ethyl]benzenesulfonyl]-N'-(4-methylcyclohexyl)urea, m. 135-6° (MeOH) (trans form) (starting benzenesulfoxamide m. 145-7°).

IT 95699-31-3

(Derived from data in the 7th Collective Formula Index (1962-1966))

RN 95699-31-3 HCAPLUS

CN Urea, 1-(4-methylcyclohexyl)-3-[[p-[2-[3-(3-pyridyl)acrylamido]ethyl]phenyl]sulfonyl]- (7CI) (CA INDEX NAME)



IT 6721-83-1P, Urea, 1-(4-methylcyclohexyl)-3-[[p-[2-[3-(3-pyridyl)acrylamido]ethyl]phenyl]sulfonyl]-, trans-

RL: PREP (Preparation)

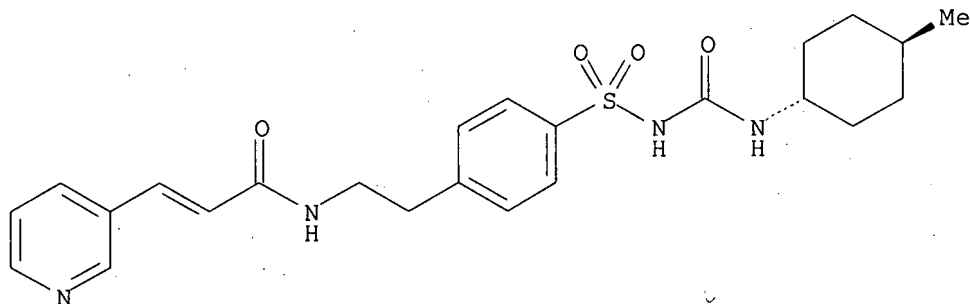
(preparation of)

RN 6721-83-1 HCAPLUS

CN Urea, 1-(4-methylcyclohexyl)-3-[[p-[2-[3-(3-pyridyl)acrylamido]ethyl]phenyl]sulfonyl]-, trans- (8CI) (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry unknown.



L20 ANSWER 40 OF 40 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1966:429395 HCAPLUS

DOCUMENT NUMBER: 65:29395

ORIGINAL REFERENCE NO.: 65:5441h, 5442a-b

TITLE: N-(2-Furylmethyl)-4-halo-5-alkoxysulfamoylanthranilic acids

PATENT ASSIGNEE(S): Farbwerke Hoechst A.-G.

SOURCE: 12 pp.

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 666766		19660112	BE	
DE 1220435			DE	
NL 6508664			NL	
			DE	19640711

PRIORITY APPLN. INFO.:

GI For diagram(s), see printed CA Issue.

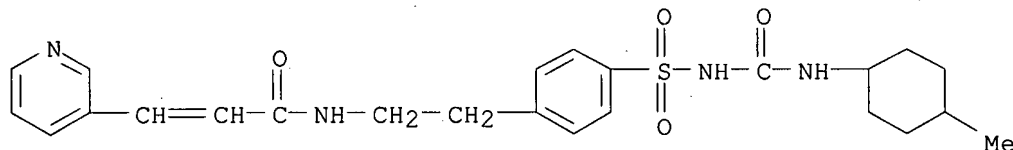
AB I are prepared Thus, a mixture of 28.2 g. 2,4,5-FCI [H(MeO)N-O2S]C6H2CO2H and 58 g. furfurylamine is heated 2 hrs. at 100°, cooled to 6°, and added to 1 l.10% HOAc to give 87% 4-chloro-N-(2-furylmethyl)-5-methoxysulfamoylanthranilic acid, m. 188° (decomposition) (EtOH-water). Similarly prepared are the following I (X, R, m.p., and % yield given): Br, Me, 196-8° (decomposition) (EtOH-water), 83; Cl, Et, 185-6° (decomposition), 48; Cl, Pr 182° (decomposition), 44; Cl, iso-Pr, 198° (decomposition) (EtOH-ether), 84; Cl, Bu, 176-7° (decomposition) (EtOH-water), 89.

IT 95699-31-3

(Derived from data in the 7th Collective Formula Index (1962-1966))

RN 95699-31-3 HCAPLUS

CN Urea, 1-(4-methylcyclohexyl)-3-[[p-[2-[3-(3-pyridyl)acrylamido]ethyl]phenyl]sulfonyl]- (7CI) (CA INDEX NAME)





10510053

=> FILE CAOLD

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

229.14

686.01

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-32.76

-46.80

FILE 'CAOLD' ENTERED AT 19:35:33 ON 11 SEP 2007

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FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

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(FILE 'HOME' ENTERED AT 19:12:07 ON 11 SEP 2007)

FILE 'REGISTRY' ENTERED AT 19:12:38 ON 11 SEP 2007

L1 STRUCTURE UPLOADED

L2 15 S L1

L3 299 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 19:18:04 ON 11 SEP 2007

L4 17 S L3

L5 2 S L4 AND HATTORI, T?/AU

L6 15 S L4 NOT L5

L7 0 S L6 AND SASAKI, T?/AU

L8 0 S L6 AND HASEGAWA, Y?/AU

L9 0 S L6 AND OBATA, T?/AU

L10 1 S HATTORI, T?/AU AND SASAKI, T?/AU AND HASEGAWA, Y?/AU AND OBAT

FILE 'CAOLD' ENTERED AT 19:19:51 ON 11 SEP 2007

L11 1 S L3

FILE 'REGISTRY' ENTERED AT 19:20:06 ON 11 SEP 2007

L12 1 S 6721-83-1/RN

SET NOTICE 1 DISPLAY

SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 19:20:20 ON 11 SEP 2007

L13 1 S 95699-31-3/RN

Updated Search

10510053

SET NOTICE 1 DISPLAY  
SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 19:32:25 ON 11 SEP 2007

L14 STRUCTURE UPLOADED  
L15 STRUCTURE UPLOADED  
L16 22 S L15  
L17 484 S L15 FULL

FILE 'HCAPLUS' ENTERED AT 19:33:52 ON 11 SEP 2007

L18 42 S L17  
L19 2 S L18 AND HATTORI, T?/AU  
L20 40 S L18 NOT L19  
L21 0 S L20 AND SASAKI, T?/AU  
L22 0 S L20 AND HASEGAWA, Y?/AU  
L23 0 S L20 AND OBATA, T?/AU

FILE 'CAOLD' ENTERED AT 19:35:33 ON 11 SEP 2007

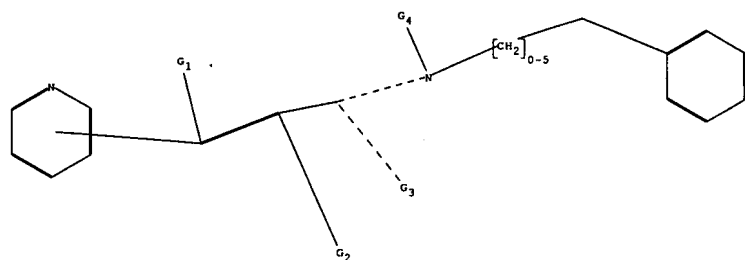
=> S L17  
L24 1 L17

=> D L24, ALL, 1

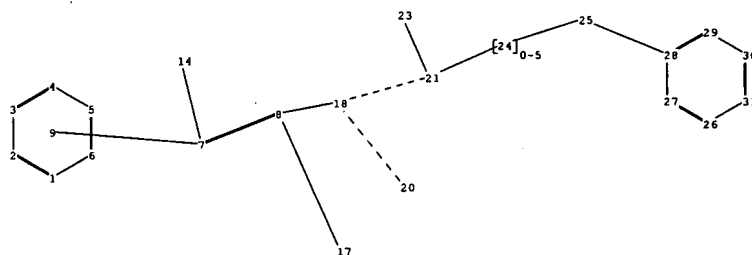
L24 ANSWER 1 OF 1 CAOLD COPYRIGHT 2007 ACS on STN  
AN CA65:5442b CAOLD  
TI benzenesulfonylureas (substituted)  
PA Farbwerke Hoechst A.-G.  
DT Patent

	PATENT NO.	KIND	DATE			
PI	NL 6509697					
IT	6576-10-9	6576-11-0	6576-12-1	6576-13-2	6576-14-3	
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	91762-49-1	93427-50-0	95138-74-2	95138-80-0	95699-31-3	
	96967-35-0	100154-77-6	100628-75-9	100658-79-5	101520-32-5	
	101609-18-1	103192-79-6	103192-80-9	106599-39-7	106599-42-2	
	106629-24-7	106654-20-0	107013-58-1			

Updated Search

Ak<sup>1</sup>

Ak

10<sup>1</sup>

15

chain nodes :

7 8 10 14 15 17 18 20 21 23 24 25

ring nodes :

1 2 3 4 5 6 26 27 28 29 30 31

chain bonds :

7-8 7-14 8-17 8-18 18-20 18-21 21-23 21-24 24-25 25-28

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 26-27 26-31 27-28 28-29 29-30 30-31

exact/norm bonds :

7-14 8-17 18-20 18-21 21-23

exact bonds :

7-8 8-18 21-24 24-25 25-28

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 26-27 26-31 27-28 28-29 29-30 30-31

isolated ring systems :

containing 1 : 26 :

G1:H,Cb, [\*1]

G2:H,CN, [\*1]

G3:O,S

G4:H,Ak

Connectivity :

10:1 E exact RC ring/chain 15:1 E exact RC ring/chain

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:Atom  
 10:CLASS 14:CLASS 15:CLASS 17:CLASS 18:CLASS 20:CLASS 21:CLASS  
 23:CLASS 24:CLASS 25:CLASS 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom  
 31:Atom



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ENTRY

SESSION

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NEWS 5 MAY 21 BIOSIS reloaded and enhanced with archival data  
NEWS 6 MAY 21 TOXCENTER enhanced with BIOSIS reload  
NEWS 7 MAY 21 CA/CAPLUS enhanced with additional kind codes for German patents  
NEWS 8 MAY 22 CA/CAPLUS enhanced with IPC reclassification in Japanese patents  
NEWS 9 JUN 27 CA/CAPLUS enhanced with pre-1967 CAS Registry Numbers  
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NEWS 12 JUL 02 LEMBASE coverage updated  
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NEWS 14 JUL 02 SCISEARCH enhanced with complete author names  
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NEWS 17 JUL 16 CAPLUS enhanced with French and German abstracts  
NEWS 18 JUL 18 CA/CAPLUS patent coverage enhanced  
NEWS 19 JUL 26 USPATFULL/USPAT2 enhanced with IPC reclassification  
NEWS 20 JUL 30 USGENE now available on STN  
NEWS 21 AUG 06 CAS REGISTRY enhanced with new experimental property tags  
NEWS 22 AUG 06 BEILSTEIN updated with new compounds  
NEWS 23 AUG 06 FSTA enhanced with new thesaurus edition  
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NEWS 27 AUG 27 USPATOLD now available on STN  
NEWS 28 AUG 28 CAS REGISTRY enhanced with additional experimental spectral property data  
  
NEWS 29 SEP 07 STN AnaVist, Version 2.0, now available with Derwent World Patents Index  
  
NEWS EXPRESS 05 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2,  
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
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<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

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L1          STRUCTURE UPLOADED

=> s l1

SAMPLE SEARCH INITIATED 19:17:56 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED -      1068 TO ITERATE

100.0% PROCESSED      1068 ITERATIONS

15 ANSWERS

SEARCH TIME: 00.00.01

Updated Search



10510053

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 19400 TO 23320  
PROJECTED ANSWERS: 68 TO 532

L2 15 SEA SSS SAM L1

=> s l1 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 171.65 U.S. DOLLARS  
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y  
FULL SEARCH INITIATED 19:18:01 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 20960 TO ITERATE

100.0% PROCESSED 20960 ITERATIONS 299 ANSWERS  
SEARCH TIME: 00.00.01

L3 299 SEA SSS FUL L1

=> file hcaplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	175.70	175.91

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=> s l3

L4 17 L3

=> s l4 and hattori, t?/au

4613 HATTORI, T?/AU

L5 2 L4 AND HATTORI, T?/AU

=> d l5, ibib abs hitstr, 1-2

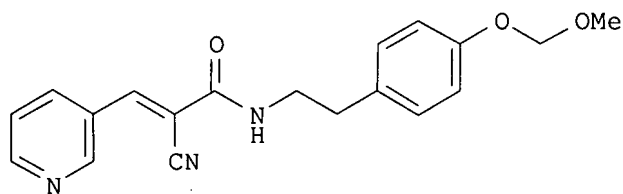
L5 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 2003:836850 HCAPLUS  
DOCUMENT NUMBER: 140:59516

Updated Search

10510053

TITLE: Preparation of pyridylacrylamides as phosphodiesterase  
IV inhibitors  
INVENTOR(S): Hattori, Tomohisa; Sasaki, Toshinobu;  
Hasegawa, Yoshihiro; Obata, Tatsuhiro  
PATENT ASSIGNEE(S): Tsumura & Co., Japan  
SOURCE: PCT Int. Appl., 63 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003086396	A1	20031023	WO 2003-JP4227	20030402
WO 2003086396	A9	20031224		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2481178	A1	20031023	CA 2003-2481178	20030402
AU 2003236340	A1	20031027	AU 2003-236340	20030402
BR 2003008935	A	20050104	BR 2003-8935	20030402
EP 1495757	A1	20050112	EP 2003-746165	20030402
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1655783	A	20050817	CN 2003-812237	20030402
MX 2004PA09580	A	20050527	MX 2004-PA9580	20041001
IN 2004CN02447	A	20070831	IN 2004-CN2447	20041028
US 2005187264	A1	20050825	US 2005-510053	20050412
PRIORITY APPLN. INFO.:			JP 2002-99491	A 20020402
			WO 2003-JP4227	W 20030402
OTHER SOURCE(S):			MARPAT 140:59516	
GI				



AB The title compds. with general formula of Ar1-C(R1)=C(R2)-C(=X)-N(R3)-(CH2)n-1-C(A)(B)-Ar2 [wherein Ar1 = (un)substituted Py; Ar2 = substituted Ph; R1 = H, alkyl, or aryl; R2 = H, alkyl, CN, or alkoxy carbonyl; R3 = H or (un)substituted alkyl; X = O or S; A and B = independently H, OH, alkoxy, or alkylthio; or A and B together form oxo, thioxo, or (un)substituted imino, etc.; n = 1-3] or pharmaceutically acceptable salts thereof are prepared as phosphodiesterase IV inhibitors. For example,

10510053

4-(methoxymethoxy)phenethylamine was reacted with cyanoacetic acid in DMF in the presence of diethylphosphoryl cyanide and Et<sub>3</sub>N to give 2-cyano-N-(4-methoxymethoxyphenethyl)acetamide (45%). The acetamide obtained was treated with 3-pyridinecarboxaldehyde in ethanol in the presence of a little amount of piperidine to afford I (64%). The title compds. showed inhibitory activity of 43 to 86  $\mu$ M against human phosphodiesterase IV.

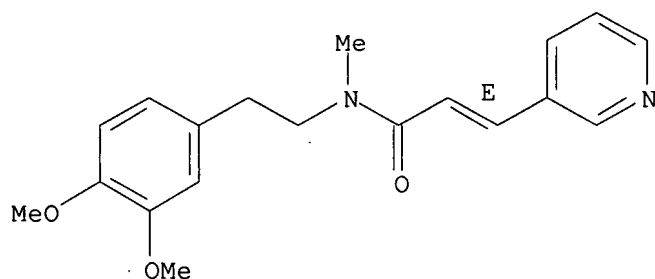
IT 219964-53-1P 219965-69-2P 637773-57-0P  
637773-88-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(drug candidate; preparation of pyridylacrylamides as phosphodiesterase IV inhibitors)

RN 219964-53-1 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

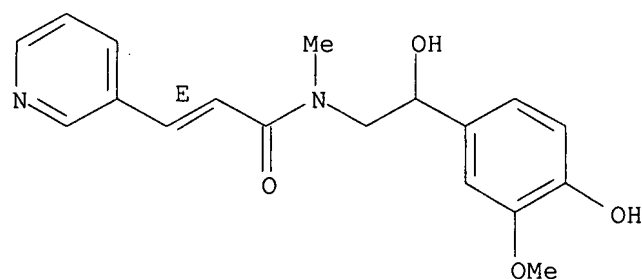
Double bond geometry as shown.



RN 219965-69-2 HCAPLUS

CN 2-Propenamide, N-[2-hydroxy-2-(4-hydroxy-3-methoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



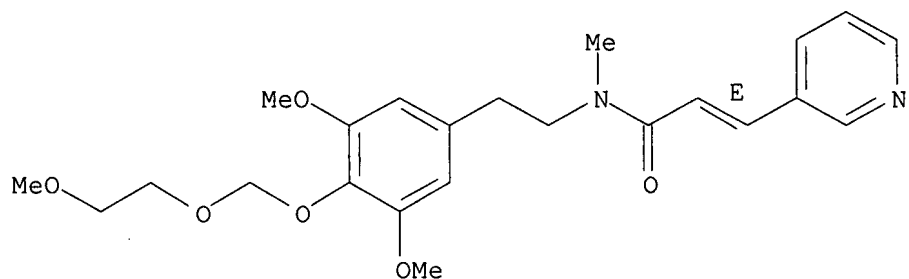
RN 637773-57-0 HCAPLUS

CN 2-Propenamide, N-[2-[3,5-dimethoxy-4-[(2-methoxyethoxy)methoxy]phenyl]ethyl]-N-methyl-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Updated Search

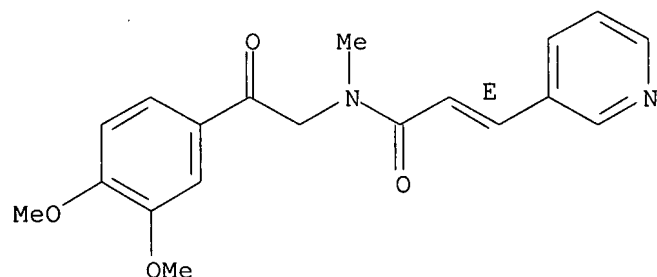
10510053



RN 637773-88-7 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)-2-oxoethyl]-N-methyl-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT 219963-67-4P 219963-74-3P 219964-38-2P  
219964-68-8P 219965-44-3P 219965-51-2P  
219965-52-3P 219965-53-4P 219965-54-5P  
219965-55-6P 219965-56-7P 219965-57-8P  
219965-58-9P 219965-73-8P 219965-76-1P  
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637773-45-6P 637773-46-7P 637773-47-8P  
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637773-51-4P 637773-52-5P 637773-53-6P  
637773-54-7P 637773-55-8P 637773-56-9P  
637773-59-2P 637773-60-5P 637773-61-6P  
637773-62-7P 637773-63-8P 637773-64-9P  
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637773-84-3P 637773-86-5P 637773-89-8P  
637773-94-5P 637773-97-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

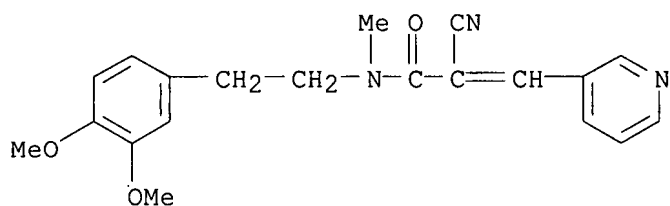
(drug candidate; preparation of pyridylacrylamides as phosphodiesterase IV inhibitors)

RN 219963-67-4 HCAPLUS

CN 2-Propenamide, 2-cyano-N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Updated Search

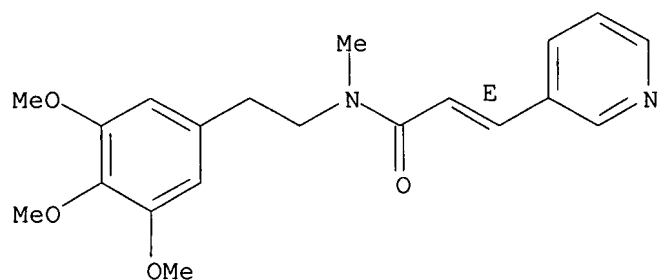
10510053



● HCl

RN 219963-74-3 HCAPLUS  
CN 2-Propenamide, N-methyl-3-(3-pyridinyl)-N-[2-(3,4,5-trimethoxyphenyl)ethyl]-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

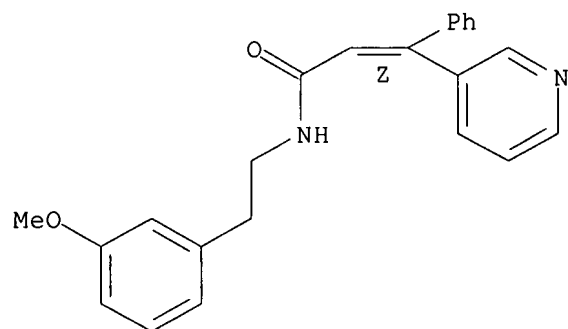
Double bond geometry as shown.



● HCl

RN 219964-38-2 HCAPLUS  
CN 2-Propenamide, N-[2-(3-methoxyphenyl)ethyl]-3-phenyl-3-(3-pyridinyl)-, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



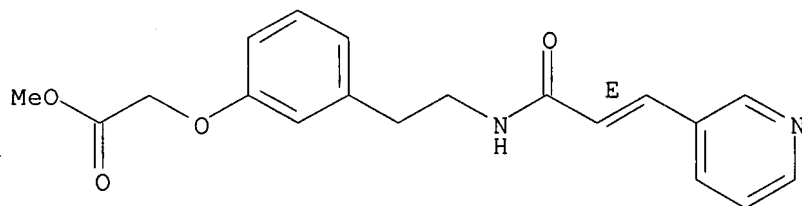
RN 219964-68-8 HCAPLUS  
CN Acetic acid, [3-[2-[(2E)-1-oxo-3-(3-pyridinyl)-2-phenylprop-2-en-1-yl]ethyl]phenyl]acetic acid, (2E)- (9CI)

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propenyl]amino]ethyl]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)

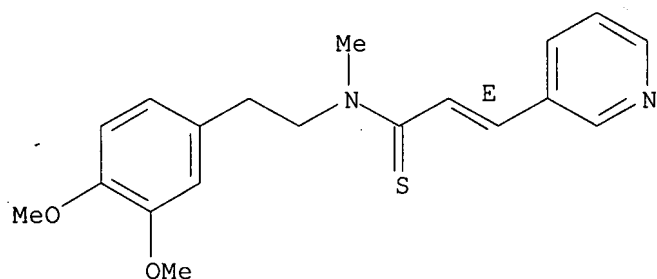
Double bond geometry as shown.



RN 219965-44-3 HCAPLUS

CN 2-Propenethioamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

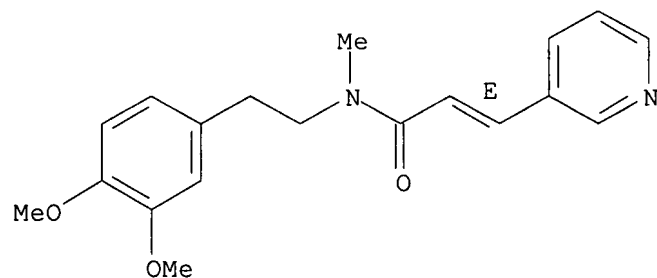


● HCl

RN 219965-51-2 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● HCl

RN 219965-52-3 HCAPLUS

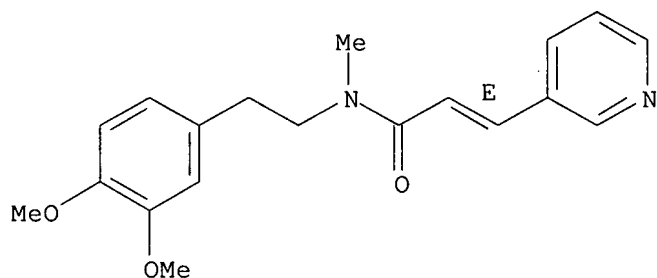
CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-,

Updated Search

10510053

monohydrobromide, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● HBr

RN 219965-53-4 HCAPLUS

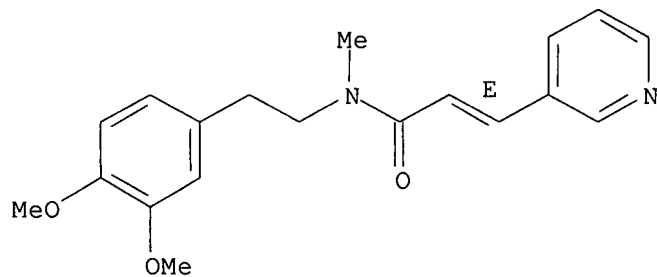
CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, (2E)-, sulfate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 219964-53-1

CMF C19 H22 N2 O3

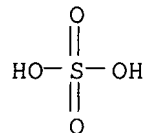
Double bond geometry as shown.



CM 2

CRN 7664-93-9

CMF H2 O4 S



RN 219965-54-5 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-,

Updated Search

10510053

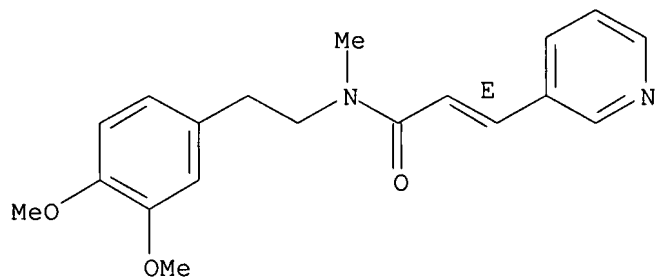
(2E)-, phosphate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 219964-53-1

CMF C19 H22 N2 O3

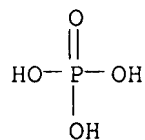
Double bond geometry as shown.



CM 2

CRN 7664-38-2

CMF H3 O4 P



RN 219965-55-6 HCAPLUS

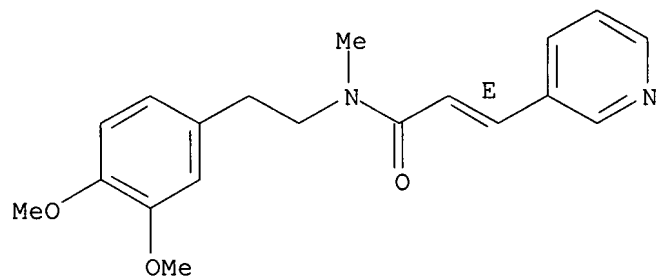
CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, (2E)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 219964-53-1

CMF C19 H22 N2 O3

Double bond geometry as shown.



Updated Search

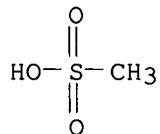


10510053

CM 2

CRN 75-75-2

CMF C H4 O3 S



RN 219965-56-7 HCAPLUS

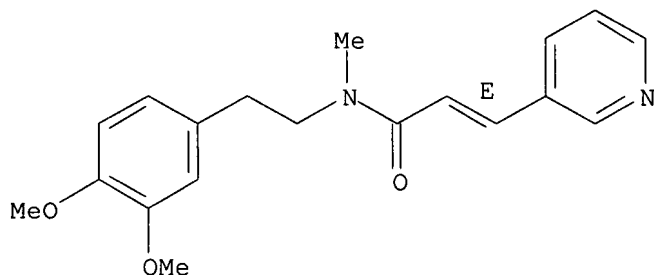
CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, (2E)-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 219964-53-1

CMF C19 H22 N2 O3

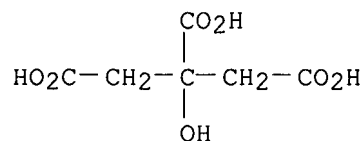
Double bond geometry as shown.



CM 2

CRN 77-92-9

CMF C6 H8 O7



RN 219965-57-8 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, (2E)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

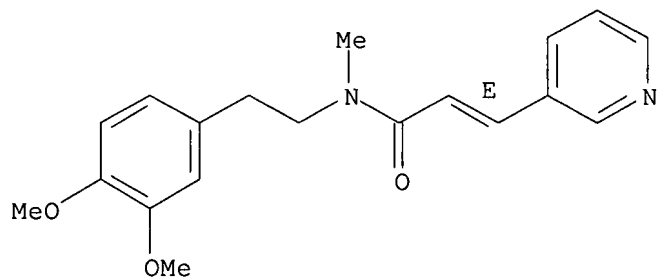
CRN 219964-53-1

CMF C19 H22 N2 O3

Updated Search

10510053

Double bond geometry as shown.

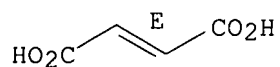


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



RN 219965-58-9 HCAPLUS

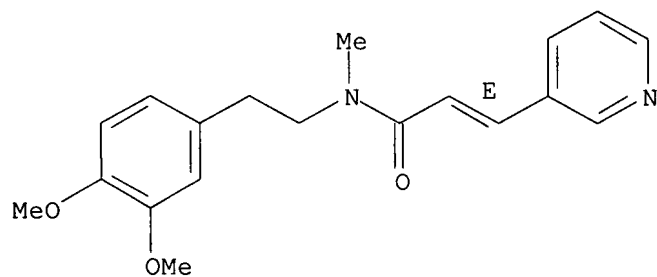
CN Butanedioic acid, compd. with (2E)-N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-2-propenamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 219964-53-1

CMF C19 H22 N2 O3

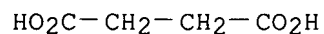
Double bond geometry as shown.



CM 2

CRN 110-15-6

CMF C4 H6 O4



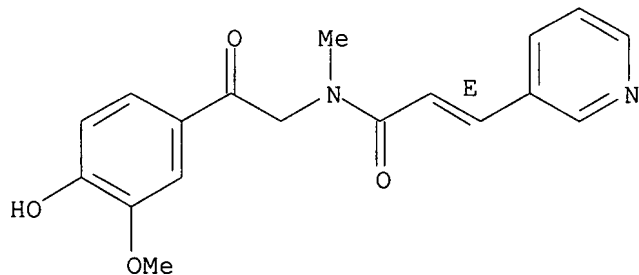
Updated Search

10510053

RN 219965-73-8 HCAPLUS

CN 2-Propenamide, N-[2-(4-hydroxy-3-methoxyphenyl)-2-oxoethyl]-N-methyl-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

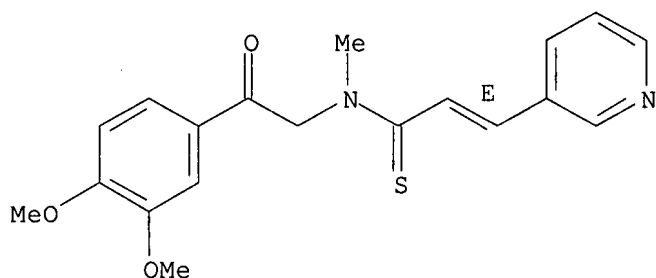
Double bond geometry as shown.



RN 219965-76-1 HCAPLUS

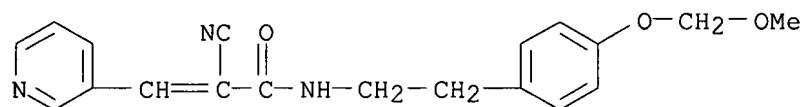
CN 2-Propenethioamide, N-[2-(3,4-dimethoxyphenyl)-2-oxoethyl]-N-methyl-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 637773-36-5 HCAPLUS

CN 2-Propenamide, 2-cyano-N-[2-[4-(methoxymethoxy)phenyl]ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



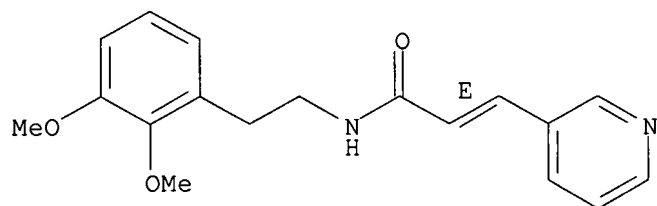
RN 637773-37-6 HCAPLUS

CN 2-Propenamide, N-[2-(2,3-dimethoxyphenyl)ethyl]-3-(3-pyridinyl)-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Updated Search

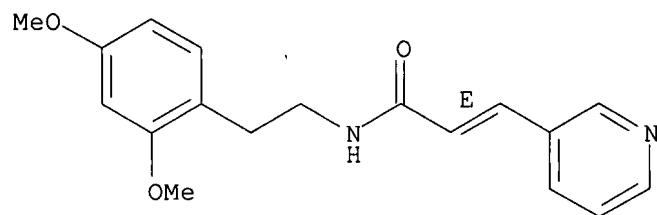
10510053



● HCl

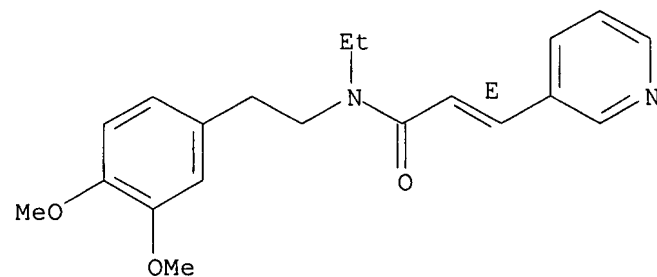
RN 637773-38-7 HCAPLUS  
CN 2-Propenamide, N-[2-(2,4-dimethoxyphenyl)ethyl]-3-(3-pyridinyl)-, (2E)-  
(9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 637773-39-8 HCAPLUS  
CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-ethyl-3-(3-pyridinyl)-,  
(2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

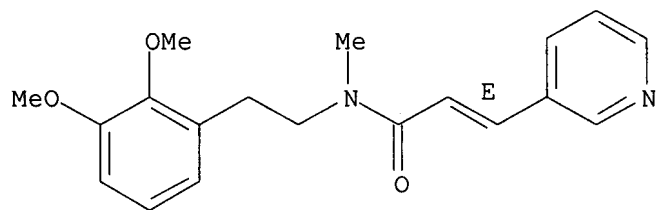


RN 637773-40-1 HCAPLUS  
CN 2-Propenamide, N-[2-(2,3-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-,  
monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Updated Search

10510053

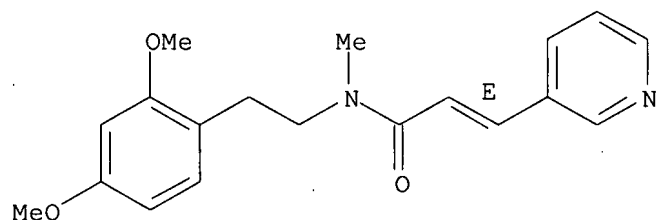


● HCl

RN 637773-41-2 HCAPLUS

CN 2-Propenamide, N-[2-(2,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-,  
(2E)- (9CI) (CA INDEX NAME)

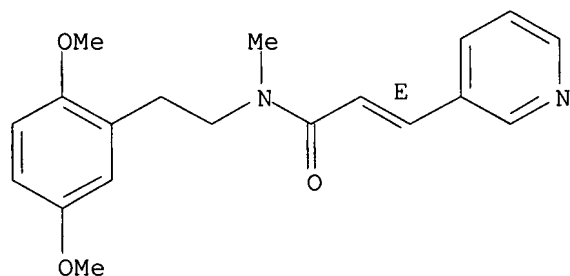
Double bond geometry as shown.



RN 637773-42-3 HCAPLUS

CN 2-Propenamide, N-[2-(2,5-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-,  
monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● HCl

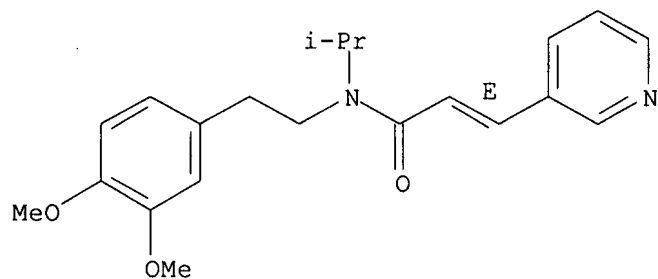
RN 637773-43-4 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-(1-methylethyl)-3-(3-  
pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Updated Search

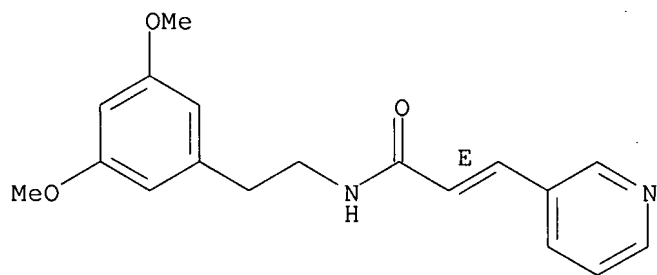
10510053



RN 637773-44-5 HCAPLUS

CN 2-Propenamide, N-[2-(3,5-dimethoxyphenyl)ethyl]-3-(3-pyridinyl)-, (2E)-  
(9CI) (CA INDEX NAME)

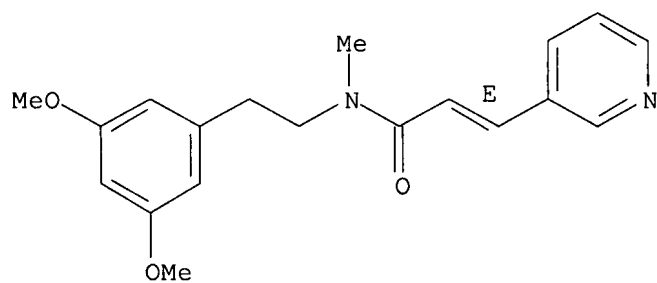
Double bond geometry as shown.



RN 637773-45-6 HCAPLUS

CN 2-Propenamide, N-[2-(3,5-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-,  
(2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



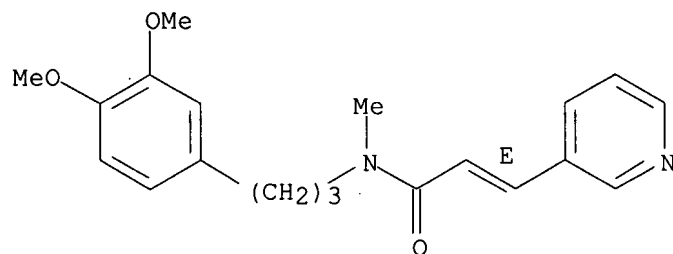
RN 637773-46-7 HCAPLUS

CN 2-Propenamide, N-[3-(3,4-dimethoxyphenyl)propyl]-N-methyl-3-(3-pyridinyl)-,  
(2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Updated Search

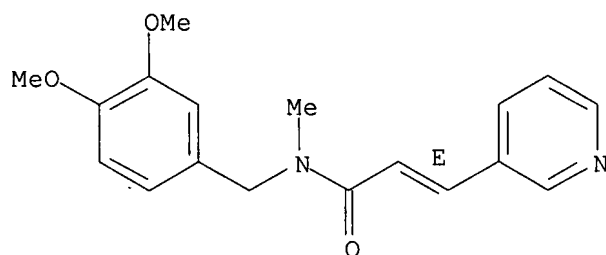
10510053



RN 637773-47-8 HCAPLUS

CN 2-Propenamide, N-[(3,4-dimethoxyphenyl)methyl]-N-methyl-3-(3-pyridinyl)-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

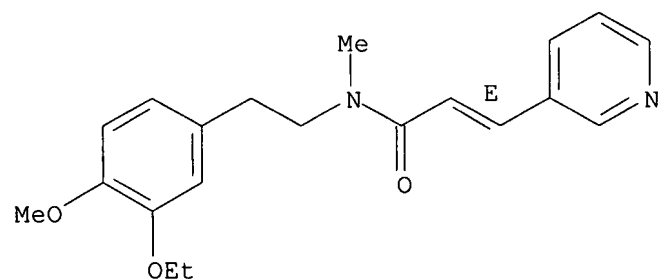


● HCl

RN 637773-48-9 HCAPLUS

CN 2-Propenamide, N-[2-(3-ethoxy-4-methoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● HCl

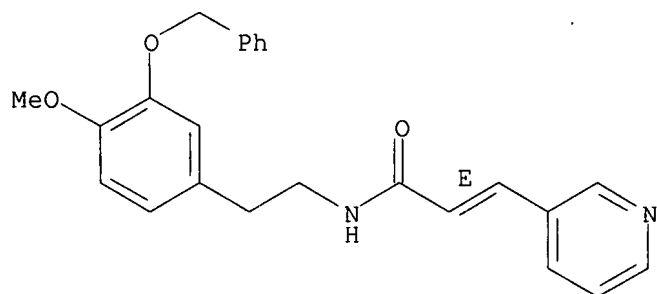
RN 637773-49-0 HCAPLUS

CN 2-Propenamide, N-[2-[4-methoxy-3-(phenylmethoxy)phenyl]ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Updated Search

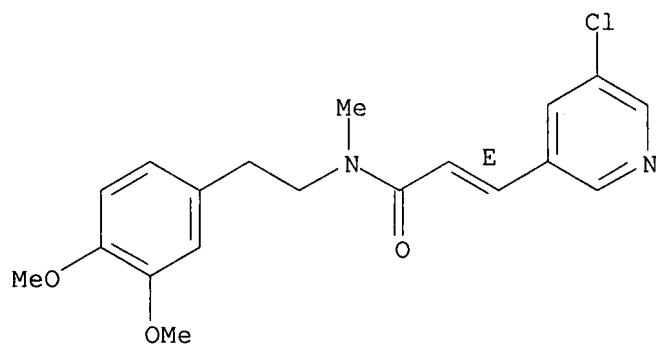
10510053



RN 637773-50-3 HCAPLUS

CN 2-Propenamide, 3-(5-chloro-3-pyridinyl)-N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-, (2E)- (9CI) (CA INDEX NAME)

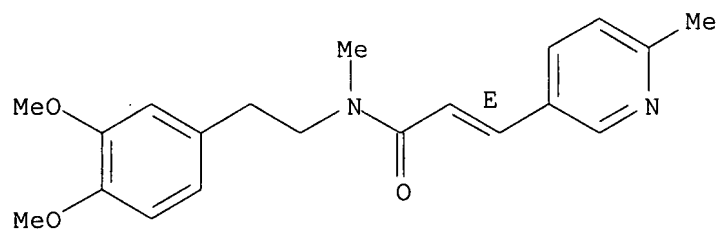
Double bond geometry as shown.



RN 637773-51-4 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(6-methyl-3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 637773-52-5 HCAPLUS

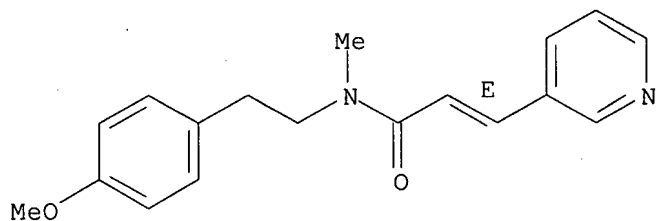
CN 2-Propenamide, N-[2-(4-methoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Updated Search

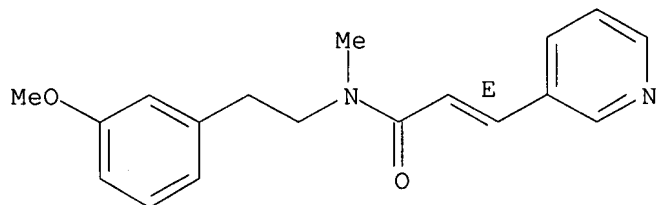


10510053



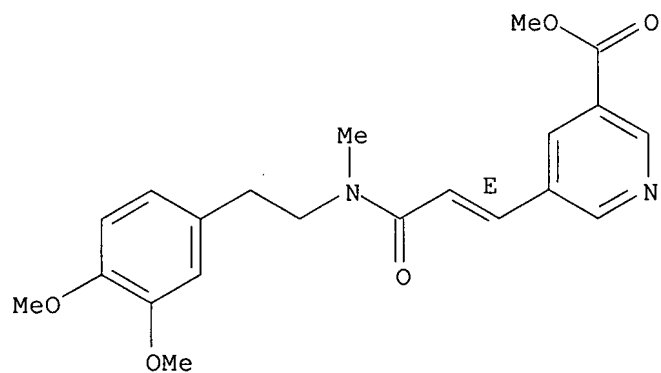
RN 637773-53-6 HCAPLUS  
CN 2-Propenamide, N-[2-(3-methoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-,  
(2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 637773-54-7 HCAPLUS  
CN 3-Pyridinecarboxylic acid, 5-[(1E)-3-[[2-(3,4-dimethoxyphenyl)ethyl]methylamino]-3-oxo-1-propenyl]-, methyl ester (9CI)  
(CA INDEX NAME)

Double bond geometry as shown.

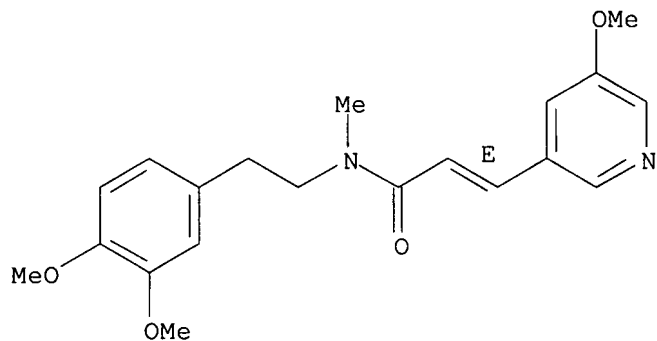


RN 637773-55-8 HCAPLUS  
CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-3-(5-methoxy-3-pyridinyl)-  
N-methyl-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Updated Search

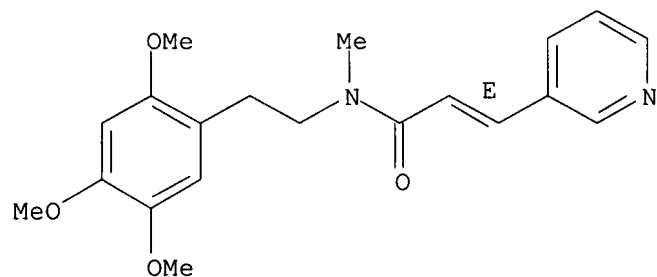
10510053



● HCl

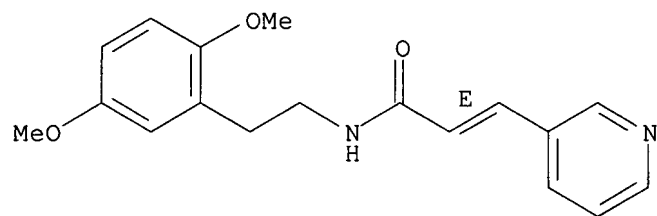
RN 637773-56-9 HCAPLUS  
CN 2-Propenamide, N-methyl-3-(3-pyridinyl)-N-[2-(2,4,5-trimethoxyphenyl)ethyl]-, (2E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 637773-59-2 HCAPLUS  
CN 2-Propenamide, N-[2-(2,5-dimethoxyphenyl)ethyl]-3-(3-pyridinyl)-, (2E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

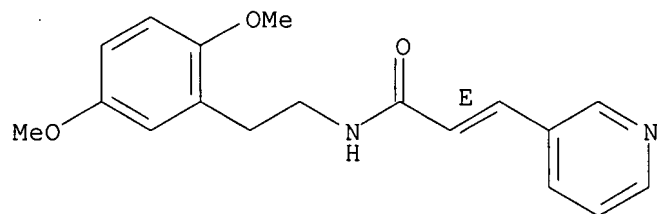


RN 637773-60-5 HCAPLUS  
CN 2-Propenamide, N-[2-(2,5-dimethoxyphenyl)ethyl]-3-(3-pyridinyl)-, monohydrochloride, (2E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

Updated Search

10510053

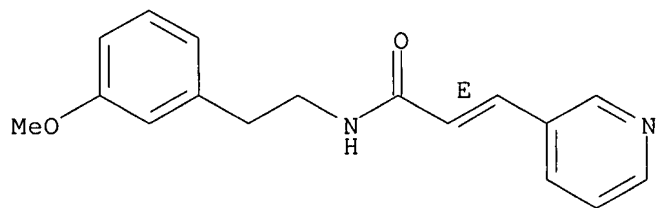


● HCl

RN 637773-61-6 HCAPLUS

CN 2-Propenamide, N-[2-(3-methoxyphenyl)ethyl]-3-(3-pyridinyl)-, (2E)- (9CI)  
(CA INDEX NAME)

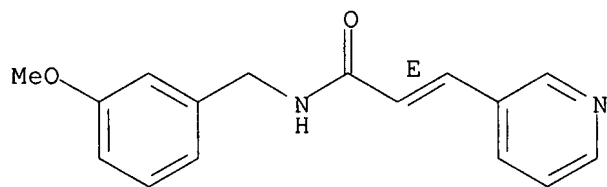
Double bond geometry as shown.



RN 637773-62-7 HCAPLUS

CN 2-Propenamide, N-[(3-methoxyphenyl)methyl]-3-(3-pyridinyl)-, (2E)- (9CI)  
(CA INDEX NAME)

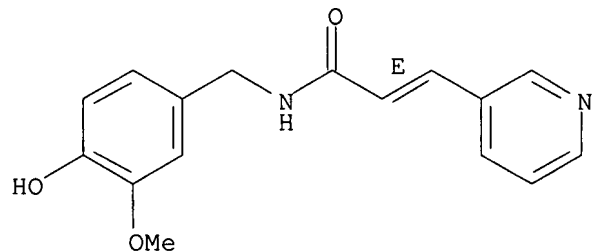
Double bond geometry as shown.



RN 637773-63-8 HCAPLUS

CN 2-Propenamide, N-[(4-hydroxy-3-methoxyphenyl)methyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



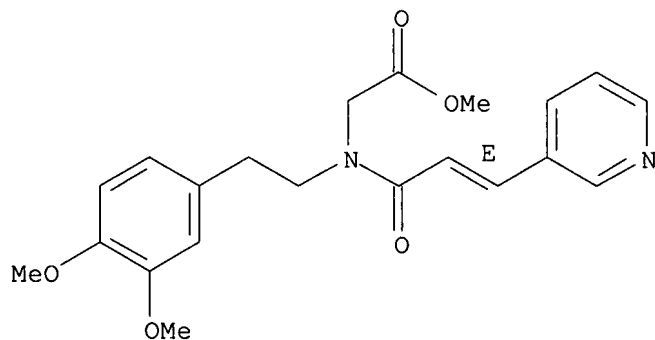
Updated Search

10510053

RN 637773-64-9 HCAPLUS

CN Glycine, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

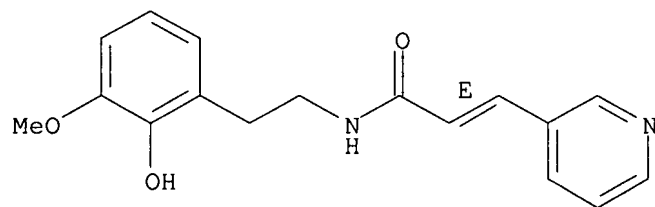


● HCl

RN 637773-65-0 HCAPLUS

CN 2-Propenamide, N-[2-(2-hydroxy-3-methoxyphenyl)ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

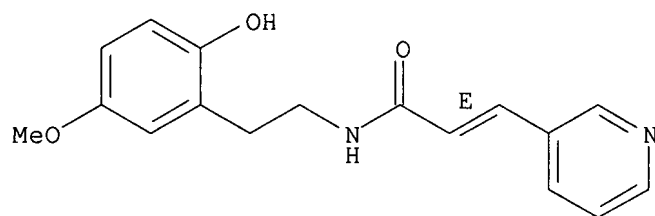
Double bond geometry as shown.



RN 637773-66-1 HCAPLUS

CN 2-Propenamide, N-[2-(2-hydroxy-5-methoxyphenyl)ethyl]-3-(3-pyridinyl)-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● HCl

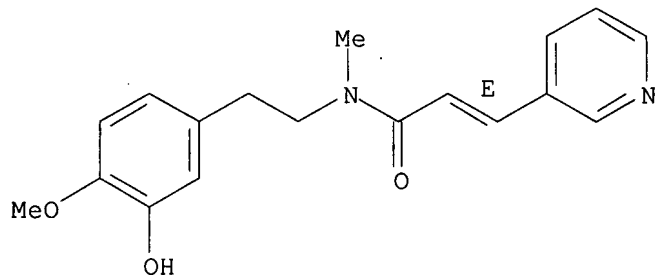
Updated Search

10510053

RN 637773-67-2 HCAPLUS

CN 2-Propenamide, N-[2-(3-hydroxy-4-methoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

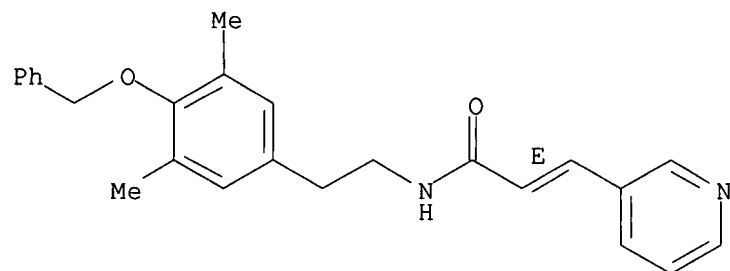


● HCl

RN 637773-68-3 HCAPLUS

CN 2-Propenamide, N-[2-[3,5-dimethyl-4-(phenylmethoxy)phenyl]ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

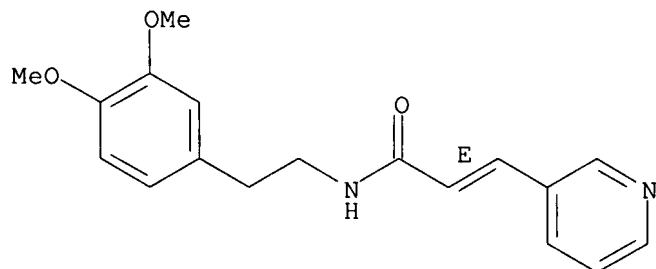
Double bond geometry as shown.



RN 637773-69-4 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



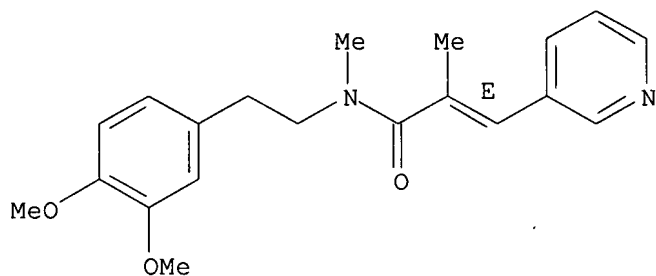
RN 637773-71-8 HCAPLUS

Updated Search

10510053

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N,2-dimethyl-3-(3-pyridinyl)-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

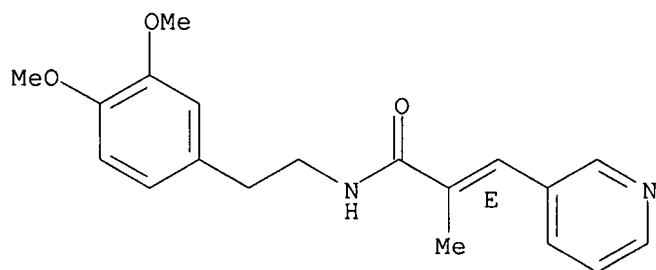


● HCl

RN 637773-72-9 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-2-methyl-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

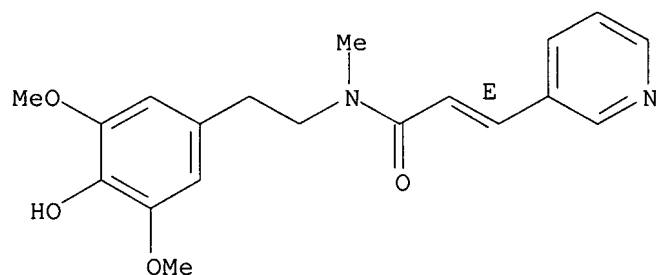
Double bond geometry as shown.



RN 637773-73-0 HCAPLUS

CN 2-Propenamide, N-[2-(4-hydroxy-3,5-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● HCl

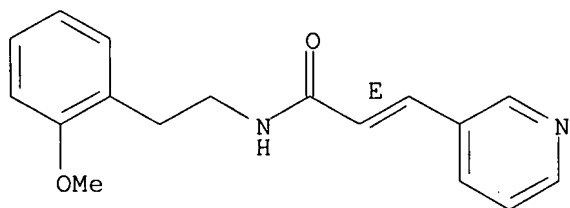
Updated Search

10510053

RN 637773-74-1 HCAPLUS

CN 2-Propenamide, N-[2-(2-methoxyphenyl)ethyl]-3-(3-pyridinyl)-, (2E)- (9CI)  
(CA INDEX NAME)

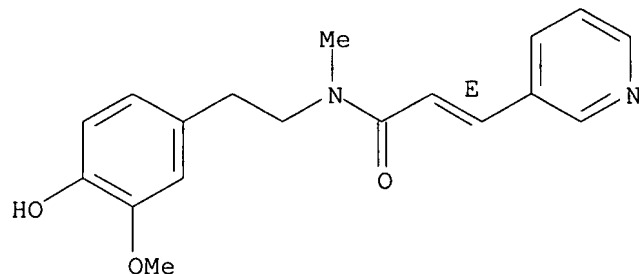
Double bond geometry as shown.



RN 637773-75-2 HCAPLUS

CN 2-Propenamide, N-[2-(4-hydroxy-3-methoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

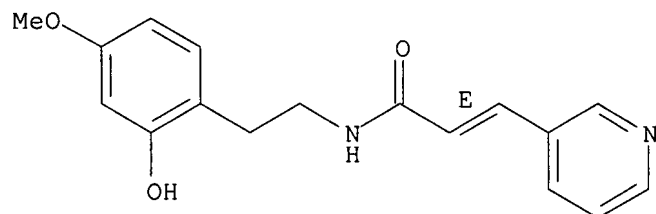


● HCl

RN 637773-76-3 HCAPLUS

CN 2-Propenamide, N-[2-(2-hydroxy-4-methoxyphenyl)ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



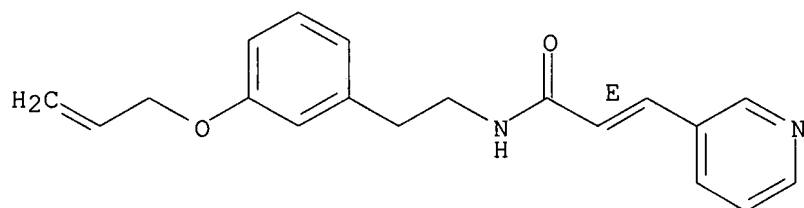
RN 637773-77-4 HCAPLUS

CN 2-Propenamide, N-[2-[3-(2-propenyloxy)phenyl]ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Updated Search

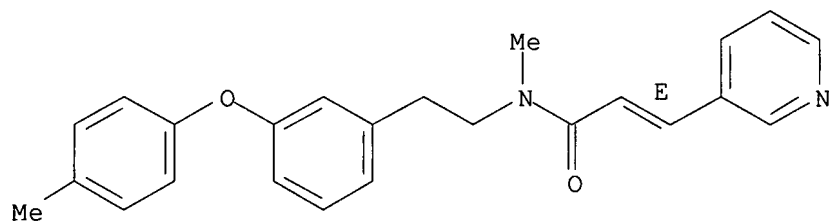
10510053



RN 637773-78-5 HCAPLUS

CN 2-Propenamide, N-methyl-N-[2-[3-(4-methylphenoxy)phenyl]ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

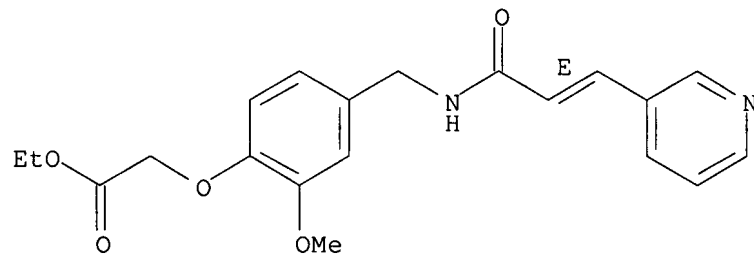
Double bond geometry as shown.



RN 637773-80-9 HCAPLUS

CN Acetic acid, [2-methoxy-4-[[[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]amino]methyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



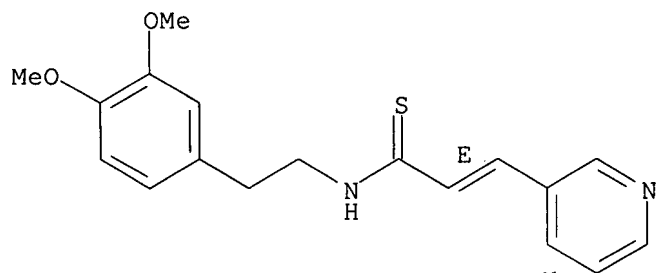
RN 637773-81-0 HCAPLUS

CN 2-Propenethioamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-3-(3-pyridinyl)-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



10510053

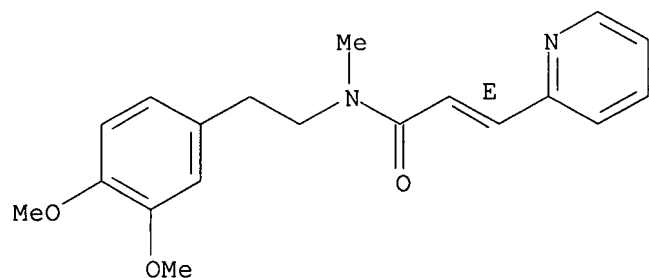


● HCl

RN 637773-84-3 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(2-pyridinyl)-, monohydrochloride, (2E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

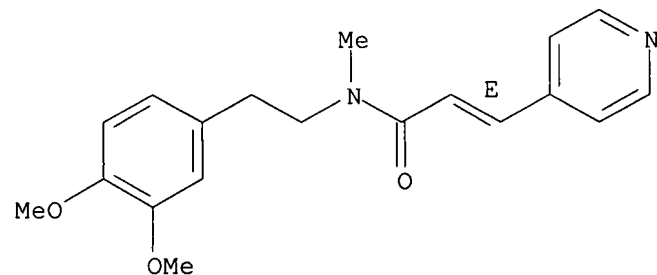


● HCl

RN 637773-86-5 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(4-pyridinyl)-, monohydrochloride, (2E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.



● HCl

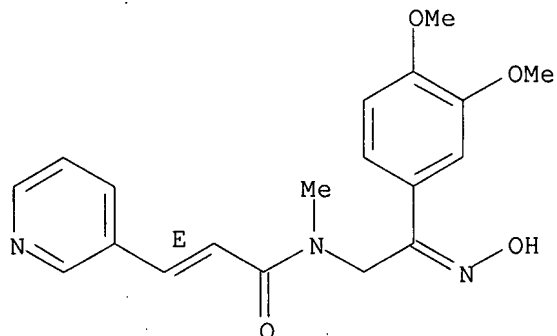
Updated Search

10510053

RN 637773-89-8 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)-2-(hydroxyimino)ethyl]-N-methyl-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

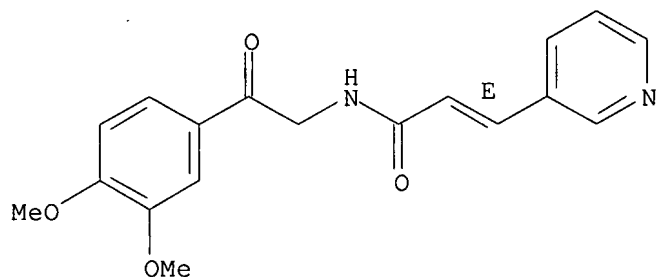
Double bond geometry as described by E or Z.



RN 637773-94-5 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)-2-oxoethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

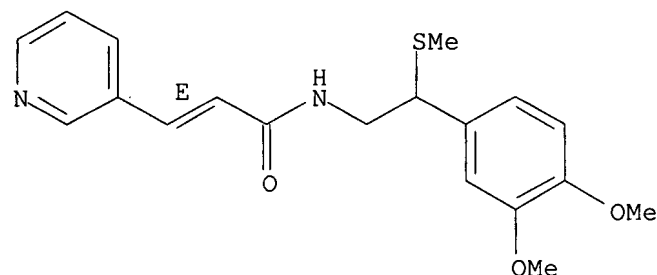
Double bond geometry as shown.



RN 637773-97-8 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)-2-(methylthio)ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT 219965-43-2P 637774-00-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

Updated Search

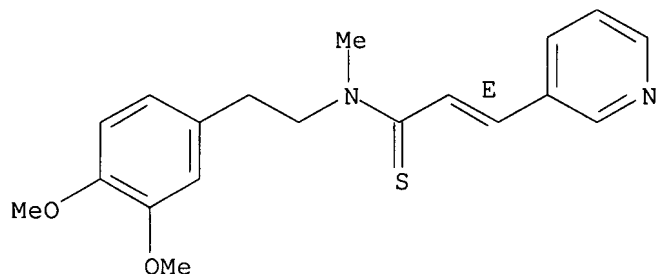
10510053

(intermediate; preparation of pyridylacrylamides as phosphodiesterase IV inhibitors)

RN 219965-43-2 HCAPLUS

CN 2-Propenethioamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

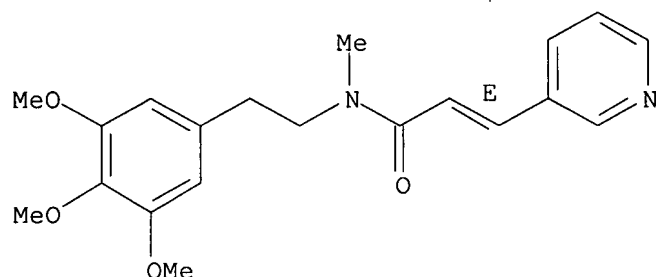
Double bond geometry as shown.



RN 637774-00-6 HCAPLUS

CN 2-Propenamide, N-methyl-3-(3-pyridinyl)-N-[2-(3,4,5-trimethoxyphenyl)ethyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1999:96215 HCAPLUS

DOCUMENT NUMBER: 130:124997

TITLE: Preparation of pyridylacrylamide derivatives as TGF- $\beta$  inhibitors and therapeutic agents for nephritis

INVENTOR(S): Hasegawa, Yoshihiro; Shindou, Shouichirou; Hattori, Tomohisa; Yamazaki, Yousuke; Obata, Tatsuhiro; Horiuchi, Fumiko; Hayakawa, Hiroyuki; Kumazawa, Hiroaki

PATENT ASSIGNEE(S): Tsumura & Co., Japan

SOURCE: PCT Int. Appl., 104 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

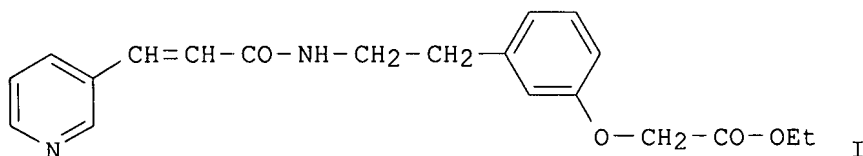
Updated Search

10510053

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9905109	A1	19990204	WO 1998-JP3312	19980724
W: AU, CA, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2298480	A1	19990204	CA 1998-2298480	19980724
AU 9883577	A	19990216	AU 1998-83577	19980724
AU 737018	B2	20010809		
EP 1000935	A1	20000517	EP 1998-933924	19980724
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6313153	B1	20011106	US 2000-463511	20000121
PRIORITY APPLN. INFO.:			JP 1997-200169	A 19970725
			JP 1997-288083	A 19971021
			WO 1998-JP3312	W 19980724

OTHER SOURCE(S): MARPAT 130:124997

GI



AB The title compds. Ar1C(R1):C(R2)C(:X)N(R3)(CH2)n-1C(A)(B)Ar2 [Ar1 is (substituted) pyridyl; Ar2 is (substituted) phenyl; R1 is H, alkyl or aryl; R2 is H, alkyl, cyano or alkoxy-carbonyl; R3 is H or (substituted) alkyl; X is O or S; A and B are each H, OH, alkoxy or alkylthio, or alternatively they together form oxo, thioxo, NY (wherein Y is dialkylamino, OH, aralkyloxy or alkoxy) or Z1MZ2 (wherein Z1 and Z2 are each O, S or optionally alkyl-substituted imino; and M is alkylene or phenylene), or B may be 1-alkylimidazol-2-yl with A being OH; and n is an integer of 1 to 3] are prepared. The title compound I at 2 mg/kg in mice gave significant inhibition of TGF-β1 production.

IT 219963-66-3P 219963-67-4P 219963-68-5P  
 219963-69-6P 219963-74-3P 219963-75-4P  
 219963-76-5P 219963-77-6P 219963-78-7P  
 219963-79-8P 219963-80-1P 219963-81-2P  
 219963-82-3P 219963-83-4P 219963-84-5P  
 219963-85-6P 219963-86-7P 219963-87-8P  
 219963-89-0P 219963-93-6P 219963-94-7P  
 219963-95-8P 219963-96-9P 219963-97-0P  
 219963-98-1P 219963-99-2P 219964-00-8P  
 219964-01-9P 219964-04-2P 219964-05-3P  
 219964-06-4P 219964-07-5P 219964-08-6P  
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 219964-41-7P 219964-42-8P 219964-45-1P  
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10510053

219964-77-9P 219964-79-1P 219964-81-5P  
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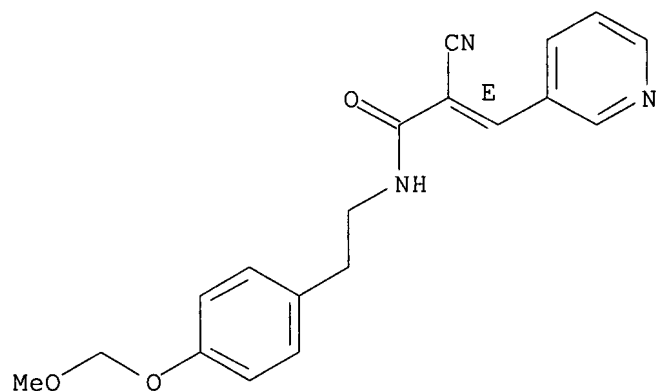
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyridylacrylamide derivs. as TGF- $\beta$  inhibitors and therapeutic agents for nephritis)

RN 219963-66-3 HCAPLUS

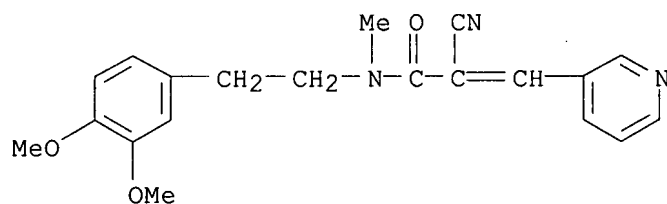
CN 2-Propenamide, 2-cyano-N-[2-[4-(methoxymethoxy)phenyl]ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 219963-67-4 HCAPLUS

CN 2-Propenamide, 2-cyano-N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



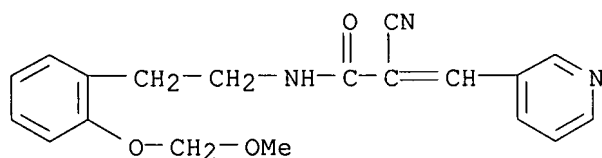
● HCl

Updated Search

10510053

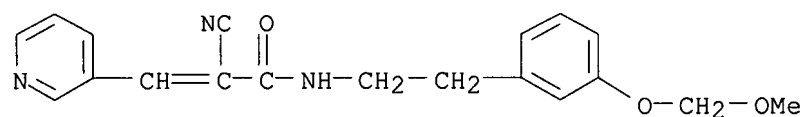
RN 219963-68-5 HCAPLUS

CN 2-Propenamide, 2-cyano-N-[2-[2-(methoxymethoxy)phenyl]ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 219963-69-6 HCAPLUS

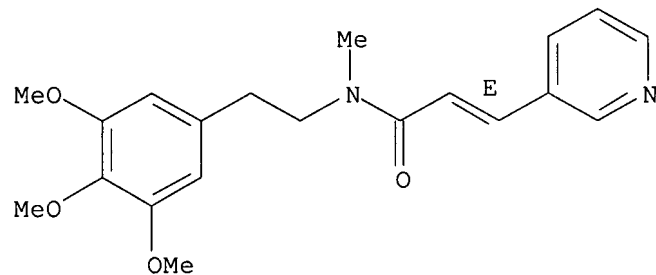
CN 2-Propenamide, 2-cyano-N-[2-[3-(methoxymethoxy)phenyl]ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 219963-74-3 HCAPLUS

CN 2-Propenamide, N-methyl-3-(3-pyridinyl)-N-[2-(3,4,5-trimethoxyphenyl)ethyl]-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



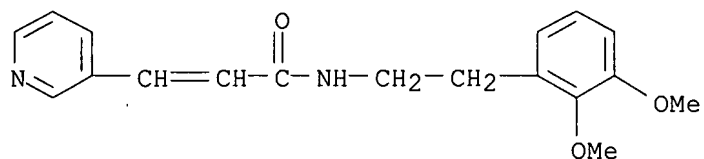
● HCl

RN 219963-75-4 HCAPLUS

CN 2-Propenamide, N-[2-(2,3-dimethoxyphenyl)ethyl]-3-(3-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Updated Search

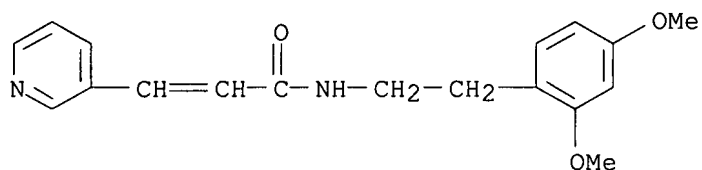
10510053



● HCl

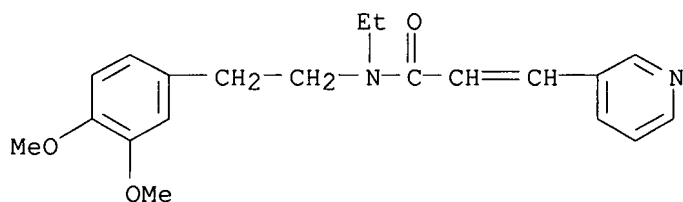
RN 219963-76-5 HCAPLUS

CN 2-Propenamide, N-[2-(2,4-dimethoxyphenyl)ethyl]-3-(3-pyridinyl)- (9CI)  
(CA INDEX NAME)



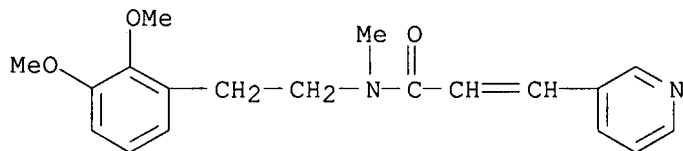
RN 219963-77-6 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-ethyl-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 219963-78-7 HCAPLUS

CN 2-Propenamide, N-[2-(2,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



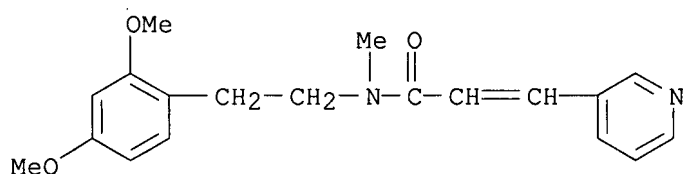
● HCl

RN 219963-79-8 HCAPLUS

CN 2-Propenamide, N-[2-(2,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

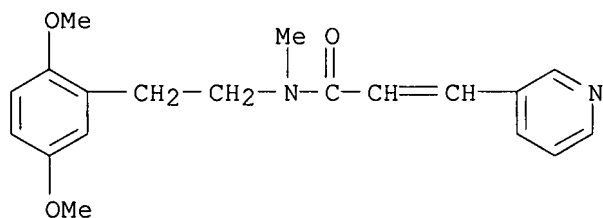
Updated Search

10510053



RN 219963-80-1 HCAPLUS

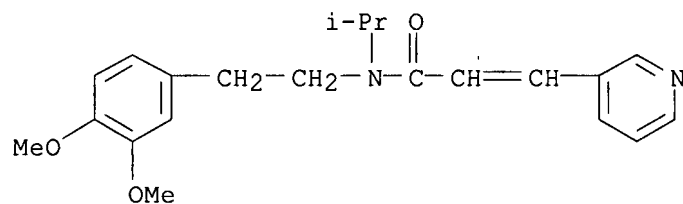
CN 2-Propenamide, N-[2-(2,5-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

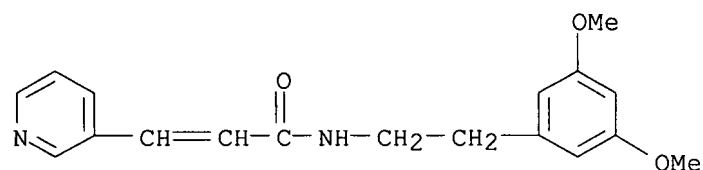
RN 219963-81-2 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-(1-methylethyl)-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 219963-82-3 HCAPLUS

CN 2-Propenamide, N-[2-(3,5-dimethoxyphenyl)ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



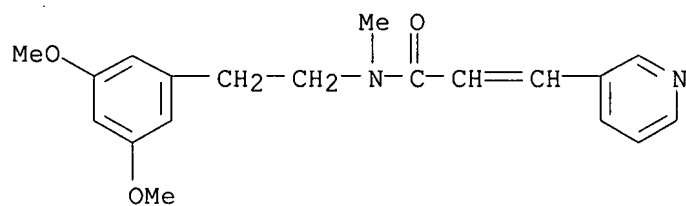
RN 219963-83-4 HCAPLUS

CN 2-Propenamide, N-[2-(3,5-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

Updated Search

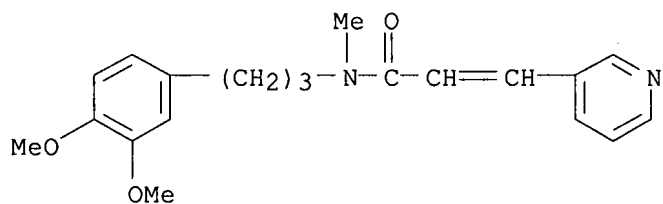


10510053



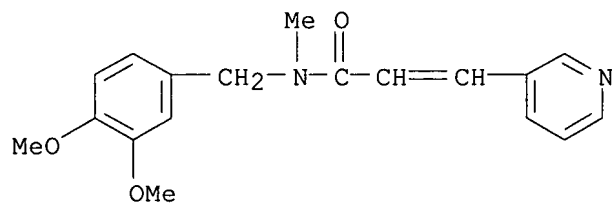
RN 219963-84-5 HCAPLUS

CN 2-Propenamide, N-[3-(3,4-dimethoxyphenyl)propyl]-N-methyl-3-(3-pyridinyl)-  
(9CI) (CA INDEX NAME)



RN 219963-85-6 HCAPLUS

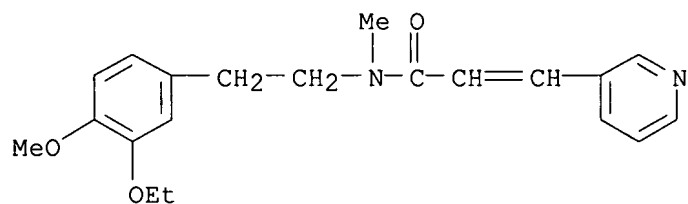
CN 2-Propenamide, N-[(3,4-dimethoxyphenyl)methyl]-N-methyl-3-(3-pyridinyl)-,  
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 219963-86-7 HCAPLUS

CN 2-Propenamide, N-[2-(3-ethoxy-4-methoxyphenyl)ethyl]-N-methyl-3-(3-  
pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



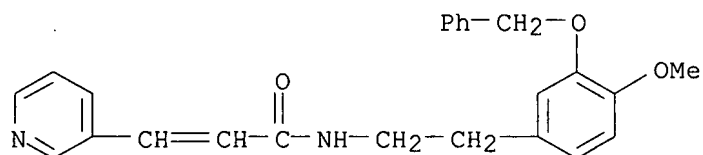
● HCl

Updated Search

10510053

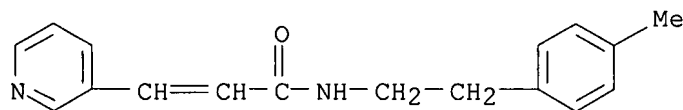
RN 219963-87-8 HCAPLUS

CN 2-Propenamide, N-[2-[4-methoxy-3-(phenylmethoxy)phenyl]ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



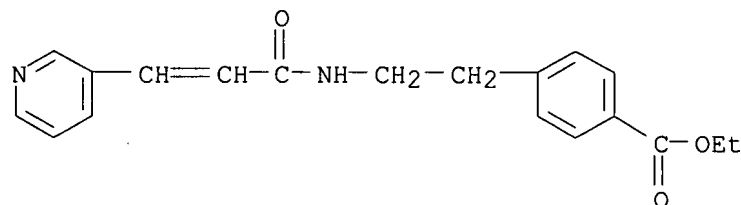
RN 219963-89-0 HCAPLUS

CN 2-Propenamide, N-[2-(4-methylphenyl)ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



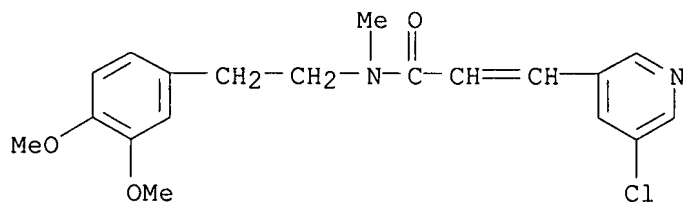
RN 219963-93-6 HCAPLUS

CN Benzoic acid, 4-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 219963-94-7 HCAPLUS

CN 2-Propenamide, 3-(5-chloro-3-pyridinyl)-N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl- (9CI) (CA INDEX NAME)

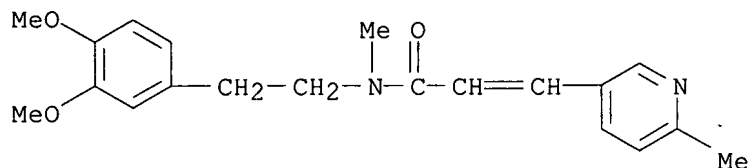


RN 219963-95-8 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(6-methyl-3-pyridinyl)- (9CI) (CA INDEX NAME)

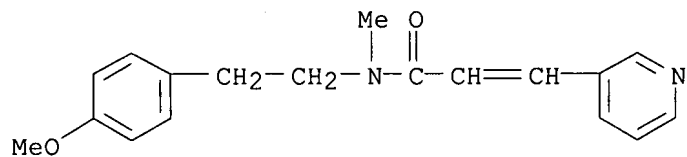
Updated Search

10510053



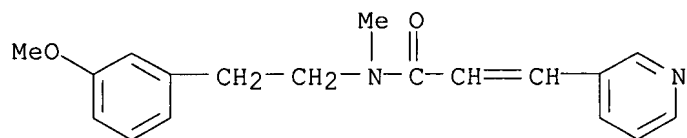
RN 219963-96-9 HCAPLUS

CN 2-Propenamide, N-[2-(4-methoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-  
(9CI) (CA INDEX NAME)



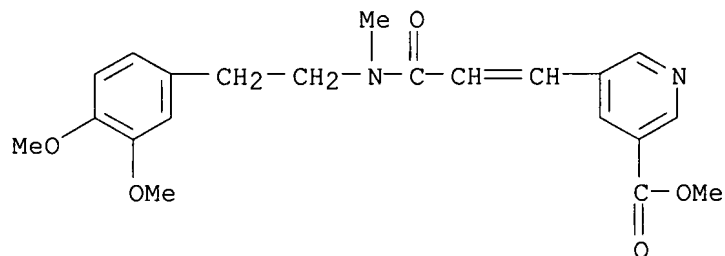
RN 219963-97-0 HCAPLUS

CN 2-Propenamide, N-[2-(3-methoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-  
(9CI) (CA INDEX NAME)



RN 219963-98-1 HCAPLUS

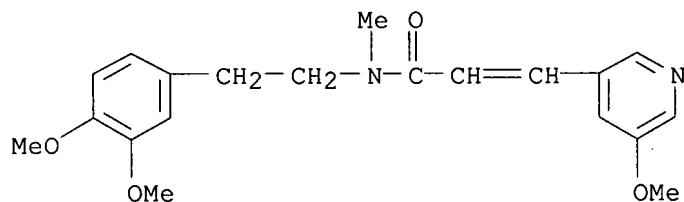
CN 3-Pyridinecarboxylic acid, 5-[3-[[2-(3,4-dimethoxyphenyl)ethyl]methylamino]-3-oxo-1-propenyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 219963-99-2 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-3-(5-methoxy-3-pyridinyl)-  
N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

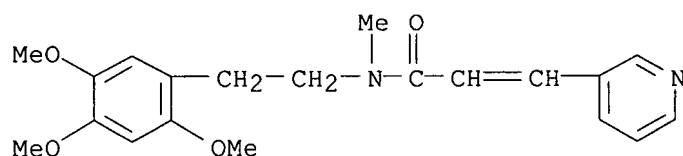
10510053



● HCl

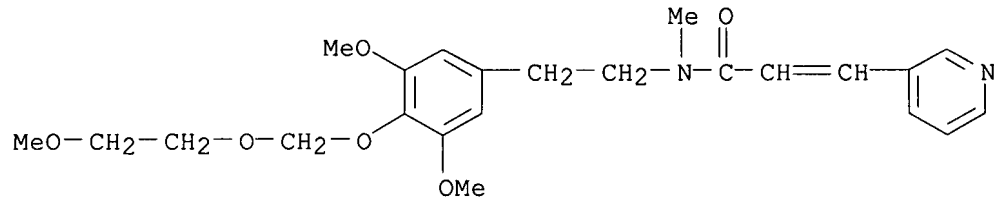
RN 219964-00-8 HCAPLUS

CN 2-Propenamide, N-methyl-3-(3-pyridinyl)-N-[2-(2,4,5-trimethoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)



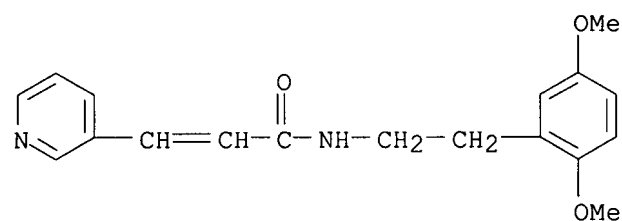
RN 219964-01-9 HCAPLUS

CN 2-Propenamide, N-[2-[3,5-dimethoxy-4-[(2-methoxyethoxy)methoxy]phenyl]ethyl]-N-methyl-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 219964-04-2 HCAPLUS

CN 2-Propenamide, N-[2-(2,5-dimethoxyphenyl)ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

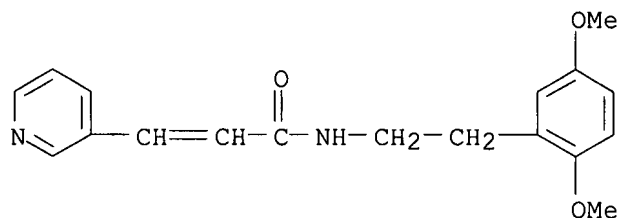


RN 219964-05-3 HCAPLUS

CN 2-Propenamide, N-[2-(2,5-dimethoxyphenyl)ethyl]-3-(3-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

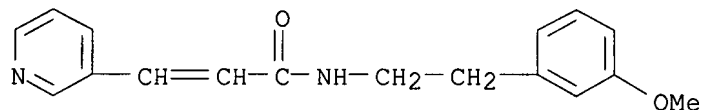
Updated Search

10510053

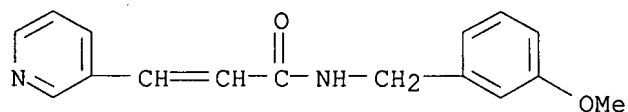


● HCl

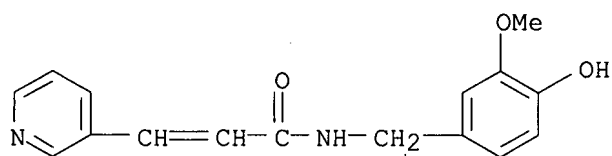
RN 219964-06-4 HCAPLUS  
CN 2-Propenamide, N-[2-(3-methoxyphenyl)ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 219964-07-5 HCAPLUS  
CN 2-Propenamide, N-[(3-methoxyphenyl)methyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



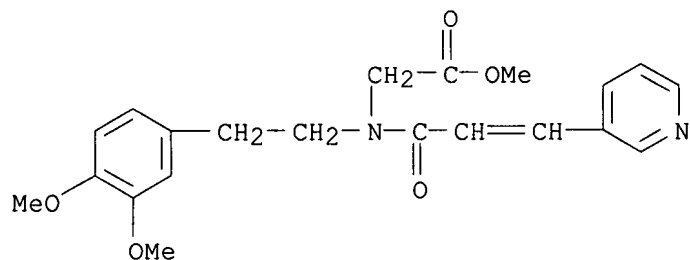
RN 219964-08-6 HCAPLUS  
CN 2-Propenamide, N-[(4-hydroxy-3-methoxyphenyl)methyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 219964-09-7 HCAPLUS  
CN Glycine, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-[1-oxo-3-(3-pyridinyl)-2-propenyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Updated Search

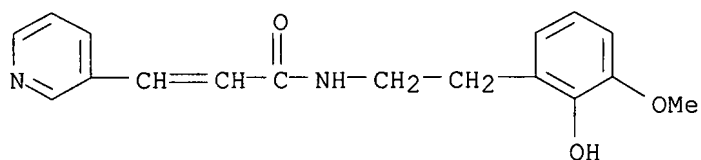
10510053



● HCl

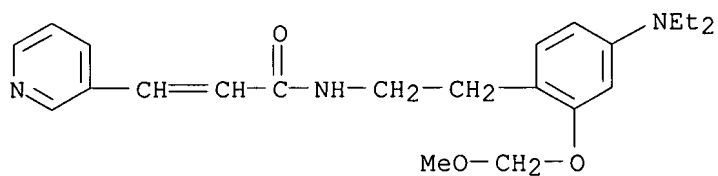
RN 219964-12-2 HCAPLUS

CN 2-Propenamide, N-[2-(2-hydroxy-3-methoxyphenyl)ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



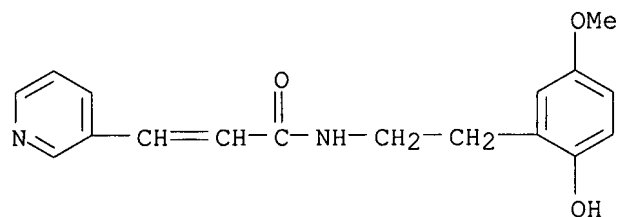
RN 219964-13-3 HCAPLUS

CN 2-Propenamide, N-[2-[4-(diethylamino)-2-(methoxymethoxy)phenyl]ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 219964-14-4 HCAPLUS

CN 2-Propenamide, N-[2-(2-hydroxy-5-methoxyphenyl)ethyl]-3-(3-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



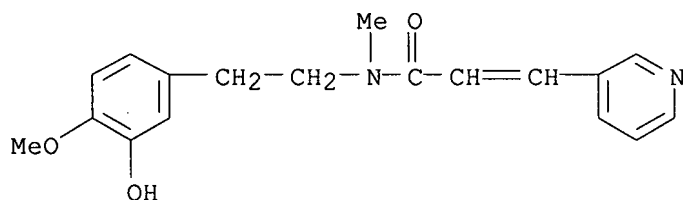
● HCl

Updated Search

10510053

RN 219964-15-5 HCAPLUS

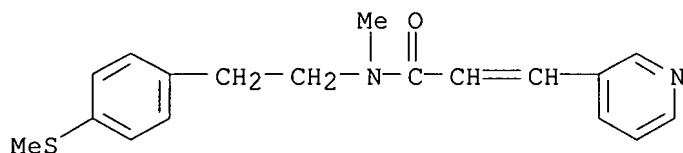
CN 2-Propenamide, N-[2-(3-hydroxy-4-methoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

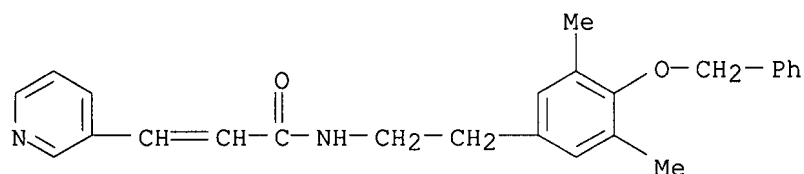
RN 219964-17-7 HCAPLUS

CN 2-Propenamide, N-methyl-N-[2-[4-(methylthio)phenyl]ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



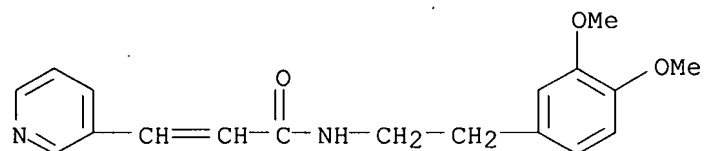
RN 219964-18-8 HCAPLUS

CN 2-Propenamide, N-[2-[3,5-dimethyl-4-(phenylmethoxy)phenyl]ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 219964-19-9 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

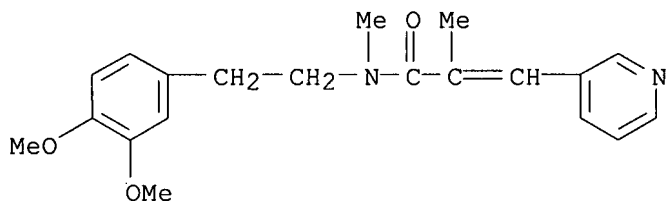


RN 219964-30-4 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N,2-dimethyl-3-(3-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Updated Search

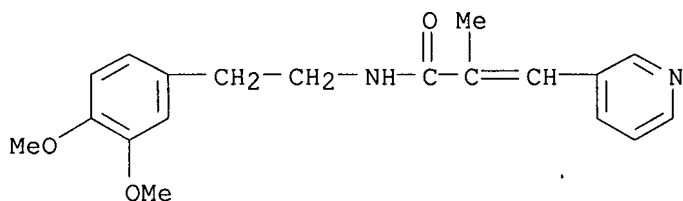
10510053



● HCl

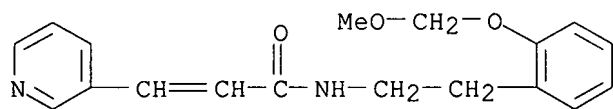
RN 219964-32-6 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-2-methyl-3-(3-pyridinyl)-  
(9CI) (CA INDEX NAME)



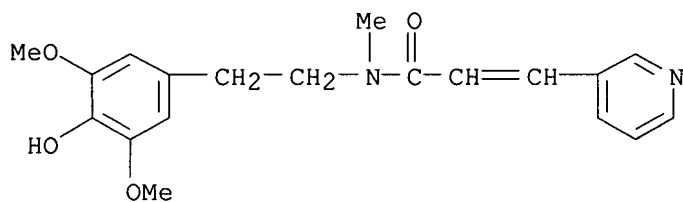
RN 219964-33-7 HCAPLUS

CN 2-Propenamide, N-[2-(2-(methoxymethoxy)phenyl)ethyl]-3-(3-pyridinyl)-  
(9CI) (CA INDEX NAME)



RN 219964-35-9 HCAPLUS

CN 2-Propenamide, N-[2-(4-hydroxy-3,5-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

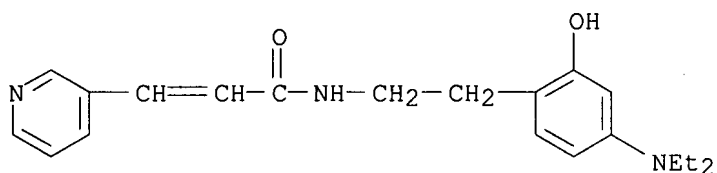
RN 219964-36-0 HCAPLUS

Updated Search



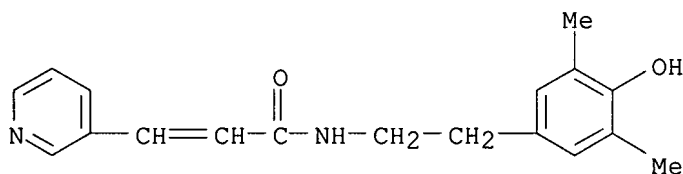
10510053

CN 2-Propenamide, N-[2-[4-(diethylamino)-2-hydroxyphenyl]ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 219964-37-1 HCAPLUS

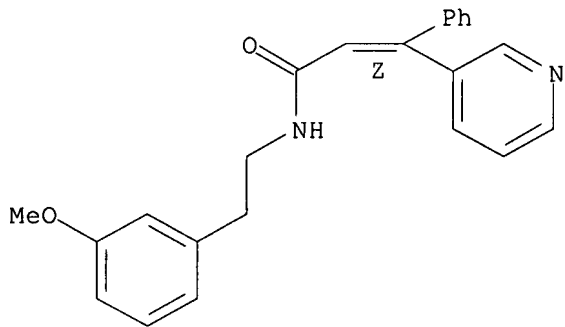
CN 2-Propenamide, N-[2-(4-hydroxy-3,5-dimethylphenyl)ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 219964-38-2 HCAPLUS

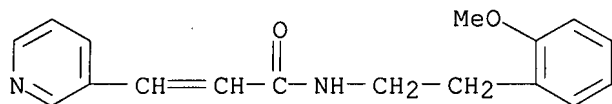
CN 2-Propenamide, N-[2-(3-methoxyphenyl)ethyl]-3-phenyl-3-(3-pyridinyl)-, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 219964-41-7 HCAPLUS

CN 2-Propenamide, N-[2-(2-methoxyphenyl)ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

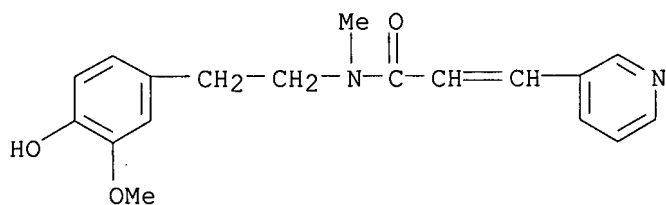


RN 219964-42-8 HCAPLUS

CN 2-Propenamide, N-[2-(4-hydroxy-3-methoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Updated Search

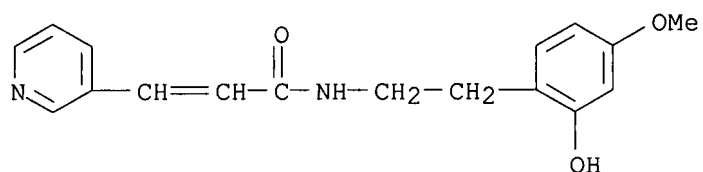
10510053



● HCl

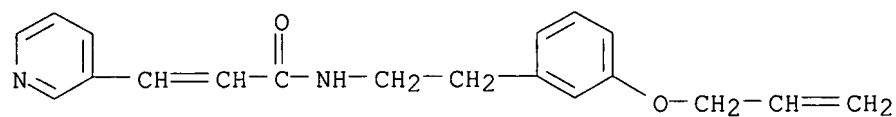
RN 219964-45-1 HCAPLUS

CN 2-Propenamide, N-[2-(2-hydroxy-4-methoxyphenyl)ethyl]-3-(3-pyridinyl)-  
(9CI) (CA INDEX NAME)



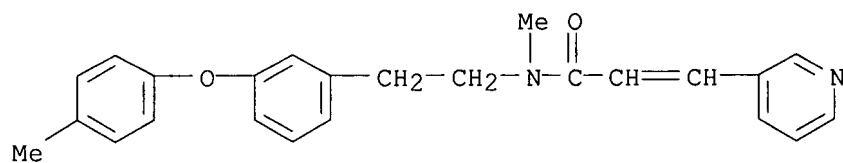
RN 219964-46-2 HCAPLUS

CN 2-Propenamide, N-[2-[3-(2-propenyloxy)phenyl]ethyl]-3-(3-pyridinyl)- (9CI)  
(CA INDEX NAME)



RN 219964-47-3 HCAPLUS

CN 2-Propenamide, N-methyl-N-[2-[3-(4-methylphenoxy)phenyl]ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

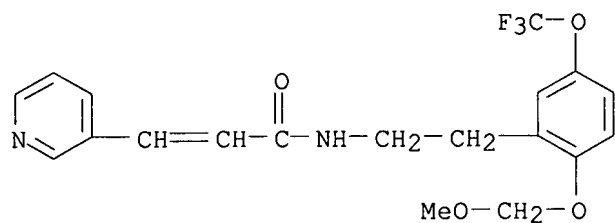


RN 219964-48-4 HCAPLUS

CN 2-Propenamide, N-[2-[2-(methoxymethoxy)-5-(trifluoromethoxy)phenyl]ethyl]-  
3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

Updated Search

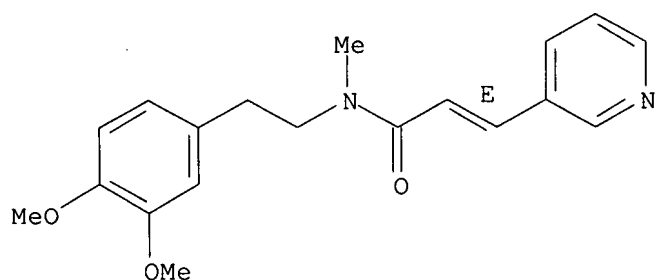
10510053



RN 219964-53-1 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

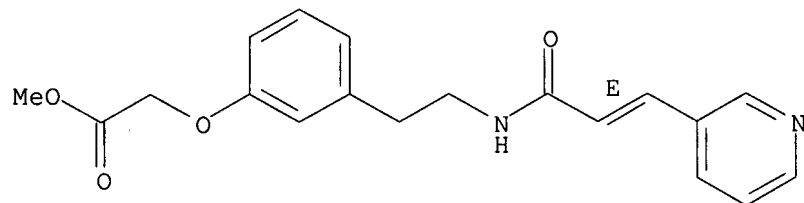
Double bond geometry as shown.



RN 219964-68-8 HCAPLUS

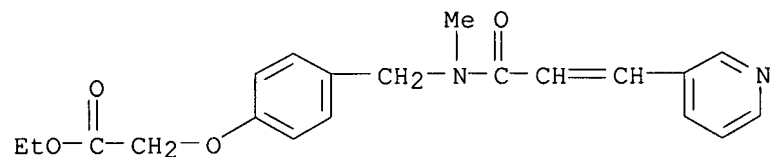
CN Acetic acid, [3-[2-[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 219964-69-9 HCAPLUS

CN Acetic acid, [4-[[methyl[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]methyl]phenoxy]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



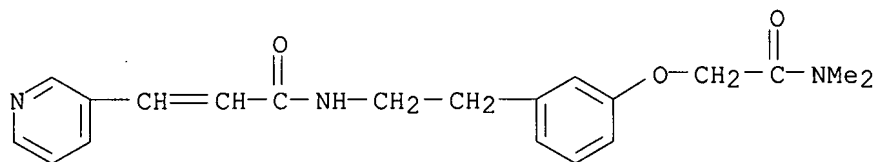
● HCl

Updated Search

10510053

RN 219964-71-3 HCAPLUS

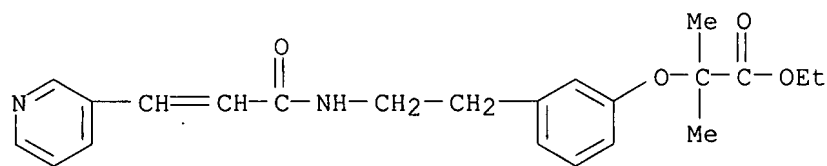
CN 2-Propenamide, N-[2-[3-[2-(dimethylamino)-2-oxoethoxy]phenyl]ethyl]-3-(3-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 219964-73-5 HCAPLUS

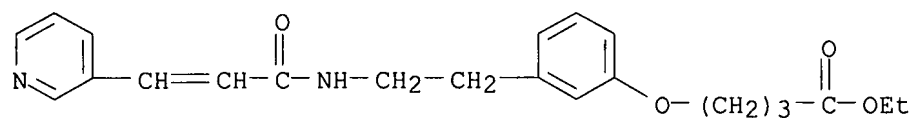
CN Propanoic acid, 2-methyl-2-[3-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

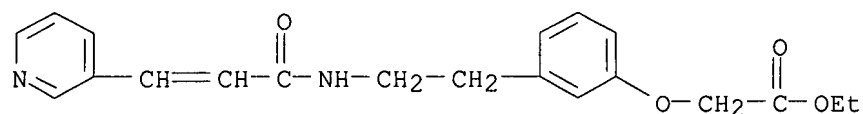
RN 219964-75-7 HCAPLUS

CN Butanoic acid, 4-[3-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 219964-77-9 HCAPLUS

CN Acetic acid, [3-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



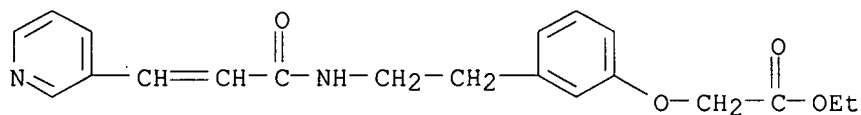
RN 219964-79-1 HCAPLUS

CN Acetic acid, [3-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]-

Updated Search

10510053

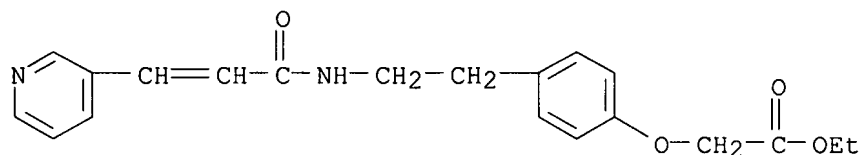
, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

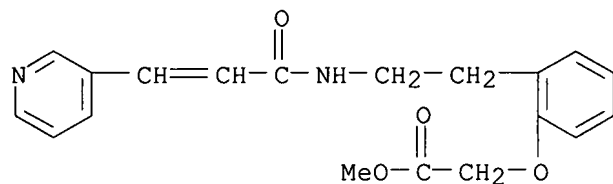
RN 219964-81-5 HCAPLUS

CN Acetic acid, [4-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 219964-84-8 HCAPLUS

CN Acetic acid, [2-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

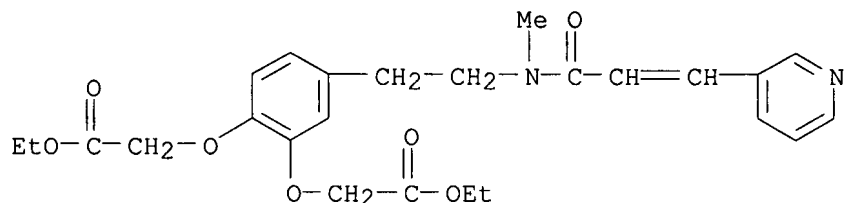


● HCl

RN 219964-88-2 HCAPLUS

CN Acetic acid, 2,2'-[[4-[2-[methyl[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]-1,2-phenylene]bis(oxy)]bis-, diethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

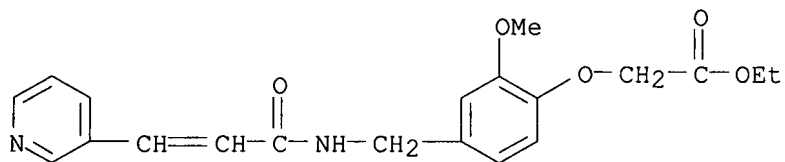
10510053



● HCl

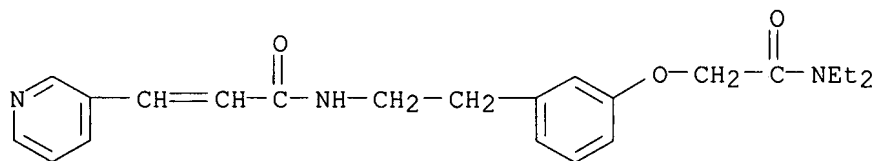
RN 219964-98-4 HCAPLUS

CN Acetic acid, [2-methoxy-4-[[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]methyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



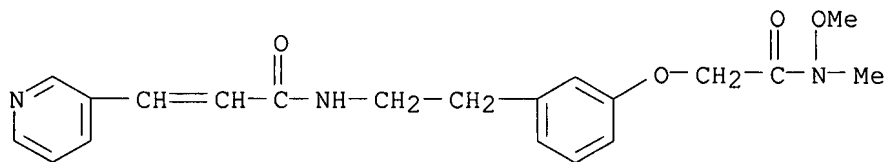
RN 219965-05-6 HCAPLUS

CN 2-Propenamide, N-[2-[3-[2-(diethylamino)-2-oxoethoxy]phenyl]ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 219965-12-5 HCAPLUS

CN 2-Propenamide, N-[2-[3-[2-(methoxymethylamino)-2-oxoethoxy]phenyl]ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

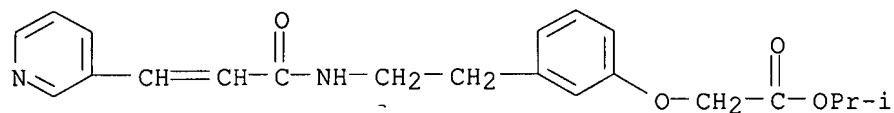


RN 219965-16-9 HCAPLUS

CN Acetic acid, [3-[2-[[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

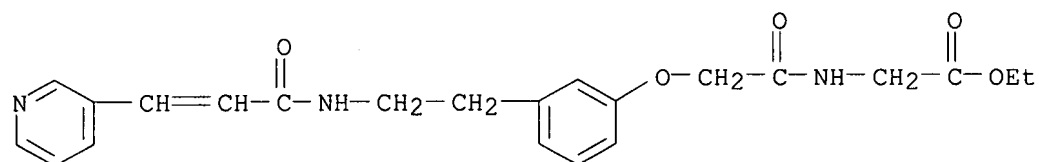
Updated Search

10510053



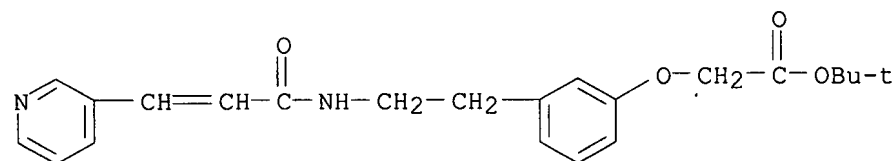
RN 219965-17-0 HCAPLUS

CN Glycine, N-[[3-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]acetyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 219965-18-1 HCAPLUS

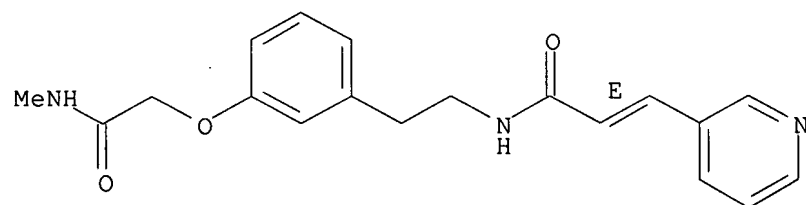
CN Acetic acid, [3-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 219965-22-7 HCAPLUS

CN 2-Propenamide, N-[2-[3-[2-(methylamino)-2-oxoethoxy]phenyl]ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



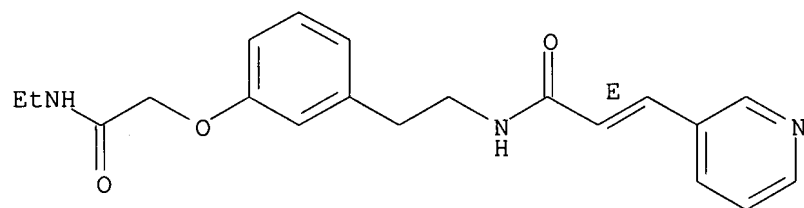
RN 219965-25-0 HCAPLUS

CN 2-Propenamide, N-[2-[3-[2-(ethylamino)-2-oxoethoxy]phenyl]ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Updated Search

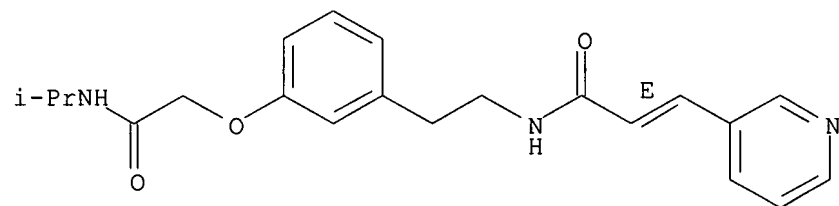
10510053



RN 219965-26-1 HCAPLUS

CN 2-Propenamide, N-[2-[3-[2-[(1-methylethyl)amino]-2-oxoethoxy]phenyl]ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

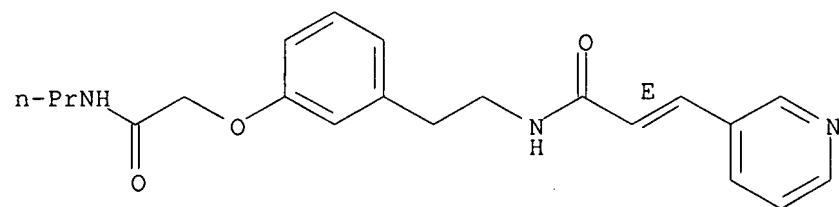
Double bond geometry as shown.



RN 219965-27-2 HCAPLUS

CN 2-Propenamide, N-[2-[3-[2-oxo-2-(propylamino)ethoxy]phenyl]ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

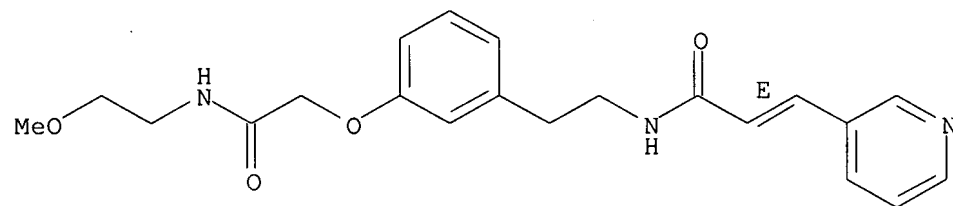
Double bond geometry as shown.



RN 219965-30-7 HCAPLUS

CN 2-Propenamide, N-[2-[3-[2-[(2-methoxyethyl)amino]-2-oxoethoxy]phenyl]ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 219965-33-0 HCAPLUS

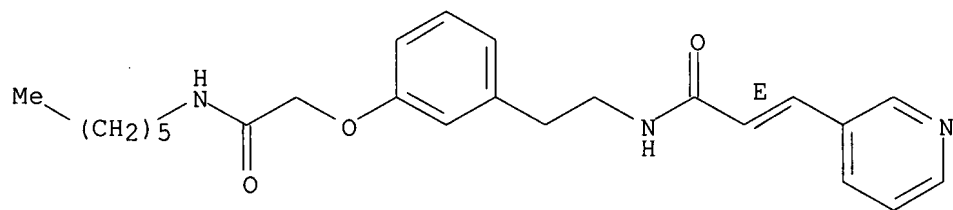
CN 2-Propenamide, N-[2-[3-[2-(hexylamino)-2-oxoethoxy]phenyl]ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Updated Search



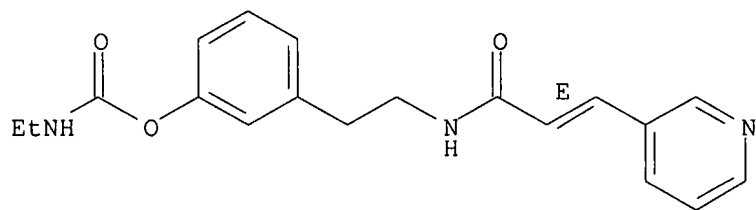
10510053



RN 219965-35-2 HCAPLUS

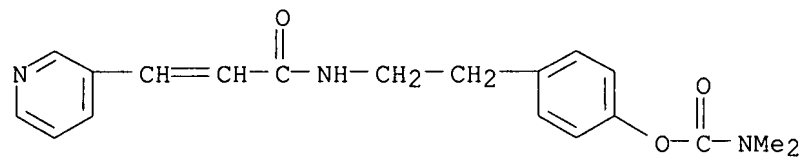
CN Carbamic acid, ethyl-, 3-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 219965-37-4 HCAPLUS

CN Carbamic acid, dimethyl-, 4-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenyl ester, monohydrochloride (9CI) (CA INDEX NAME)



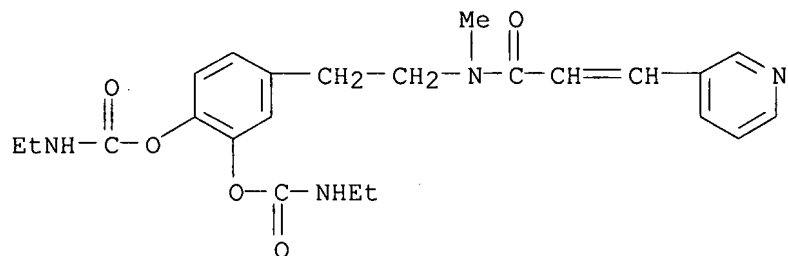
● HCl

RN 219965-41-0 HCAPLUS

CN Carbamic acid, ethyl-, 4-[2-[methyl[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]-1,2-phenylene ester, monohydrochloride (9CI) (CA INDEX NAME)

Updated Search

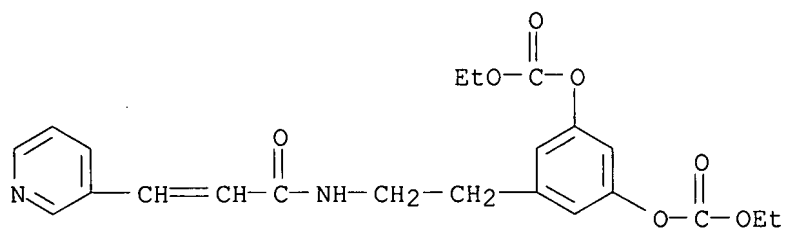
10510053



● HCl

RN 219965-42-1 HCAPLUS

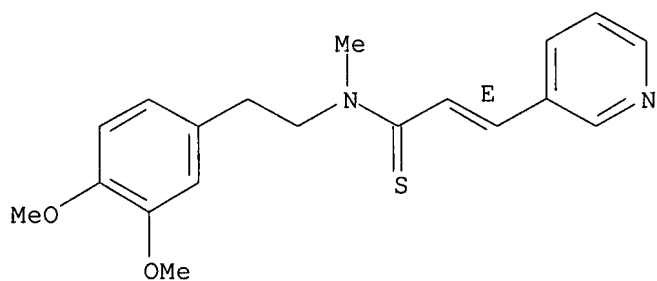
CN Carbonic acid, 5-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]-1,3-phenylene diethyl ester (9CI) (CA INDEX NAME)



RN 219965-43-2 HCAPLUS

CN 2-Propenethioamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



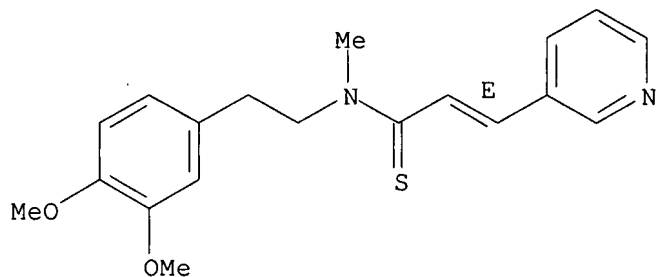
RN 219965-44-3 HCAPLUS

CN 2-Propenethioamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

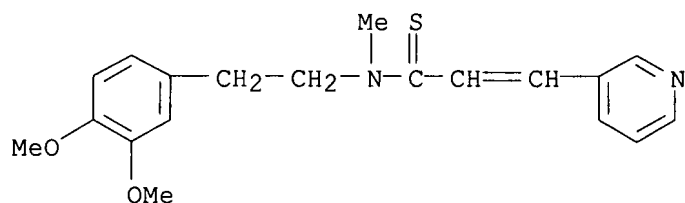
Updated Search

10510053



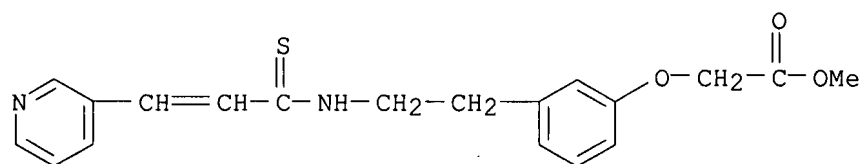
● HCl

RN 219965-46-5 HCAPLUS  
CN 2-Propenethioamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 219965-48-7 HCAPLUS  
CN Acetic acid, [3-[2-[[3-(3-pyridinyl)-1-thioxo-2-propenyl]amino]ethyl]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)

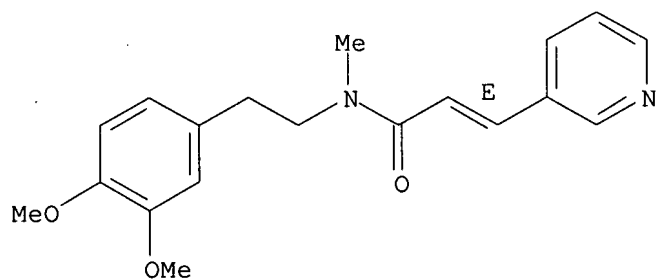


RN 219965-51-2 HCAPLUS  
CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Updated Search

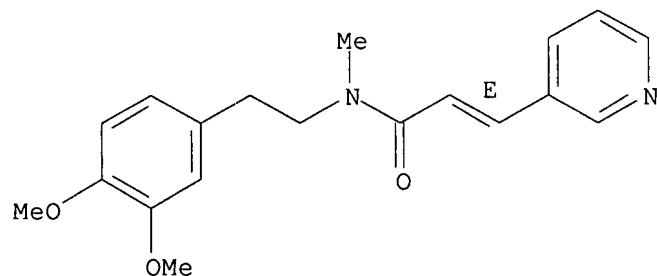
10510053



● HCl

RN 219965-52-3 HCAPLUS  
CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, monohydrobromide, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



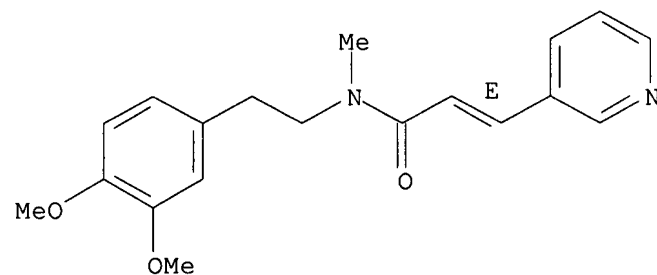
● HBr

RN 219965-53-4 HCAPLUS  
CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, (2E)-, sulfate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 219964-53-1  
CMF C19 H22 N2 O3

Double bond geometry as shown.



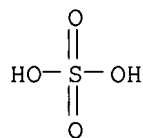
Updated Search

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CM 2

CRN 7664-93-9

CMF H2 O4 S



RN 219965-54-5 HCAPLUS

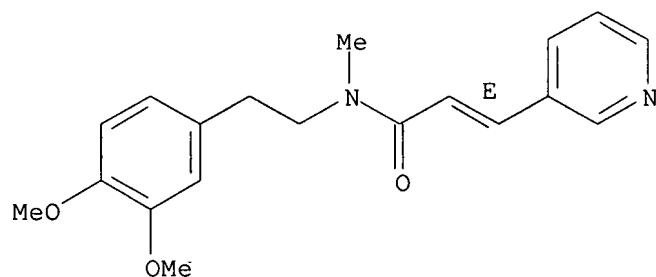
CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, (2E)-, phosphate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 219964-53-1

CMF C19 H22 N2 O3

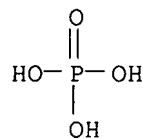
Double bond geometry as shown.



CM 2

CRN 7664-38-2

CMF H3 O4 P



RN 219965-55-6 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, (2E)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

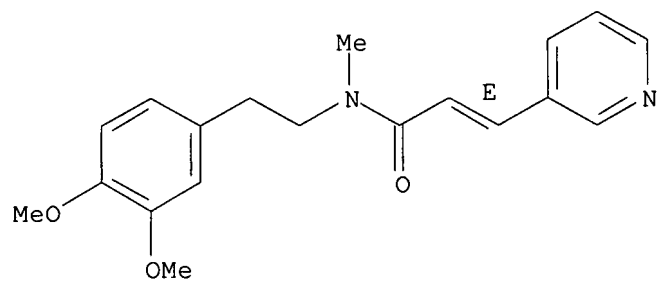
CRN 219964-53-1

CMF C19 H22 N2 O3

Updated Search

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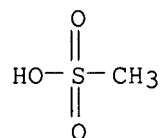
Double bond geometry as shown.



CM 2

CRN 75-75-2

CMF C H4 O3 S



RN 219965-56-7 HCAPLUS

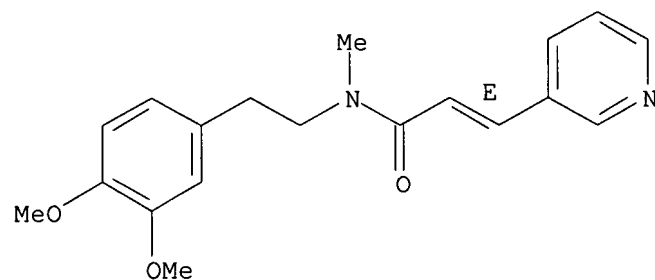
CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, (2E)-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 219964-53-1

CMF C19 H22 N2 O3

Double bond geometry as shown.



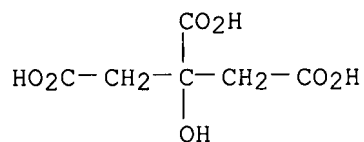
CM 2

CRN 77-92-9

CMF C6 H8 O7

Updated Search

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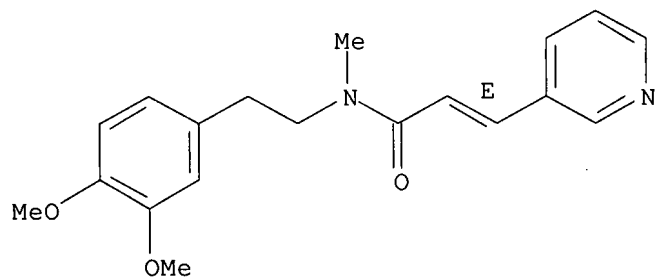


RN 219965-57-8 HCAPLUS  
CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-,  
(2E)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 219964-53-1  
CMF C19 H22 N2 O3

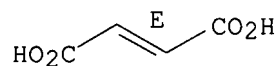
Double bond geometry as shown.



CM 2

CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.



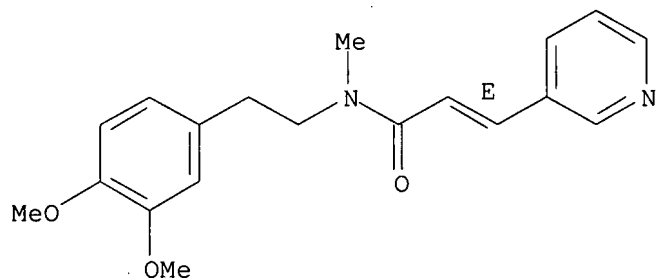
RN 219965-58-9 HCAPLUS  
CN Butanedioic acid, compd. with (2E)-N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-2-propenamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 219964-53-1  
CMF C19 H22 N2 O3

Double bond geometry as shown.

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CM 2

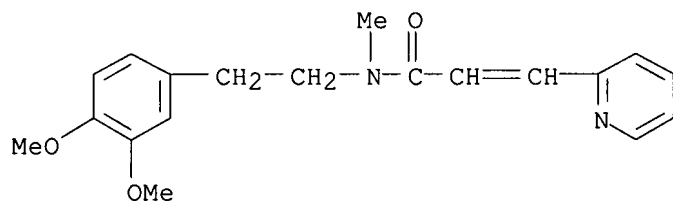
CRN 110-15-6

CMF C4 H6 O4

HO<sub>2</sub>C-CH<sub>2</sub>-CH<sub>2</sub>-CO<sub>2</sub>H

RN 219965-64-7 HCAPLUS

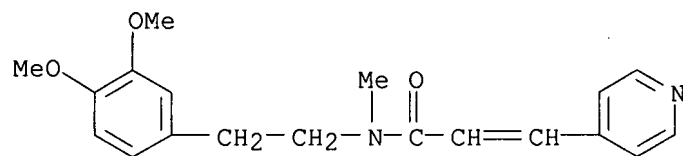
CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(2-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 219965-65-8 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(4-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 219965-66-9 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)-2-oxoethyl]-N-methyl-3-(2-

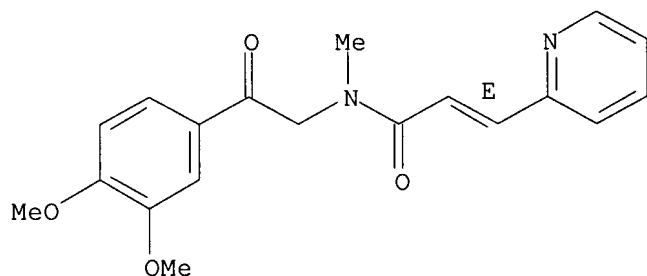
Updated Search



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pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

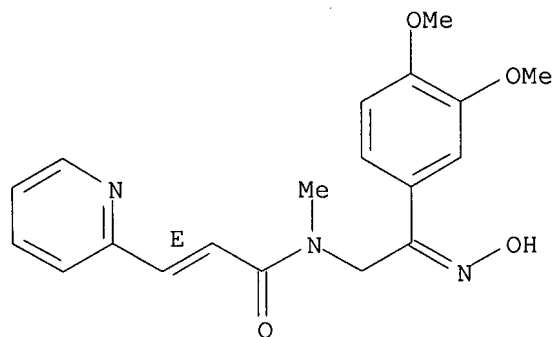
Double bond geometry as shown.



RN 219965-67-0 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)-2-(hydroxyimino)ethyl]-N-methyl-3-(2-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

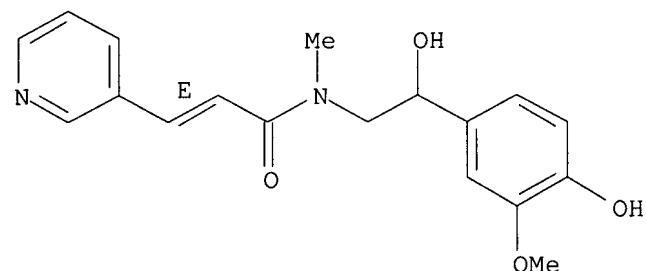
Double bond geometry as described by E or Z.



RN 219965-69-2 HCAPLUS

CN 2-Propenamide, N-[2-hydroxy-2-(4-hydroxy-3-methoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



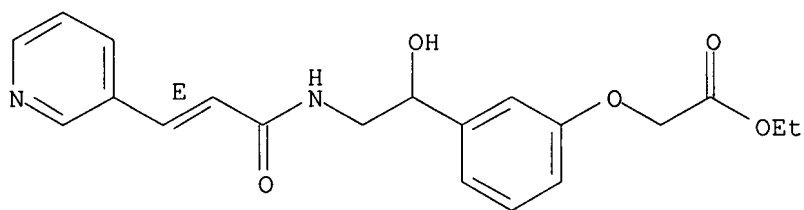
RN 219965-70-5 HCAPLUS

CN Acetic acid, [3-[1-hydroxy-2-[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

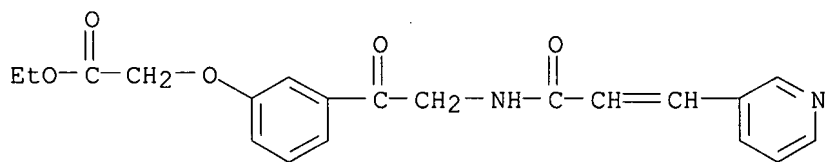
Updated Search

10510053



RN 219965-72-7 HCAPLUS

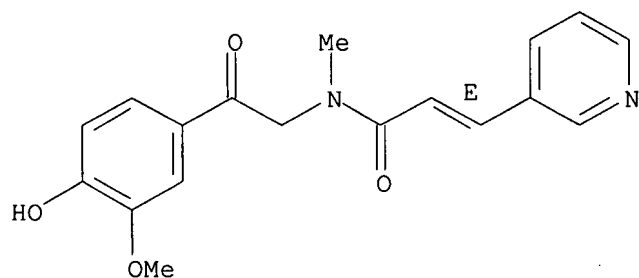
CN Acetic acid, [3-[[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]acetyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 219965-73-8 HCAPLUS

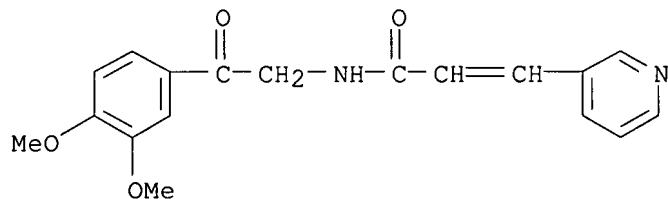
CN 2-Propenamide, N-[2-(4-hydroxy-3-methoxyphenyl)-2-oxoethyl]-N-methyl-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 219965-74-9 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)-2-oxoethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



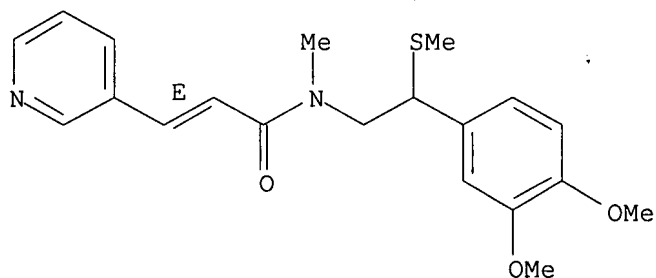
RN 219965-75-0 HCAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)-2-(methylthio)ethyl]-N-methyl-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Updated Search

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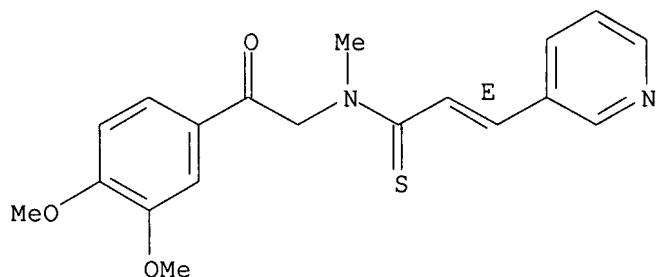
Double bond geometry as shown.



RN 219965-76-1 HCAPLUS

CN 2-Propenethioamide, N-[2-(3,4-dimethoxyphenyl)-2-oxoethyl]-N-methyl-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 19:12:07 ON 11 SEP 2007)

FILE 'REGISTRY' ENTERED AT 19:12:38 ON 11 SEP 2007

L1 STRUCTURE UPLOADED

L2 15 S L1

L3 299 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 19:18:04 ON 11 SEP 2007

L4 17 S L3

L5 2 S L4 AND HATTORI, T?/AU

=> s l4 not l5

L6 15 L4 NOT L5

=> s l6 and sasaki, t?/au

12191 SASAKI, T?/AU

L7 0 L6 AND SASAKI, T?/AU

=> s l6 and hasegawa, y?/au

4009 HASEGAWA, Y?/AU

L8 0 L6 AND HASEGAWA, Y?/AU

Updated Search

10510053

=> s l6 and obata, t?/au  
937 OBATA, T?/AU  
L9 0 L6 AND OBATA, T?/AU

=> d l6, ibib abs hitstr, 1-15

L6 ANSWER 1 OF 15 HCAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 2007:640830 HCAPLUS  
DOCUMENT NUMBER: 147:72815  
TITLE: Preparation of 3-heterocyclylacrylamide derivatives as  
FaBI protein inhibitors for treating bacterial  
infection  
INVENTOR(S): Pauls, Henry; Berman, Judd M.  
PATENT ASSIGNEE(S): Affinium Pharmaceuticals, Inc., Can.  
SOURCE: PCT Int. Appl., 199pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007067416	A2	20070614	WO 2006-US45903	20061201
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: US 2005-742514P P 20051205  
US 2005-754024P P 20051223  
OTHER SOURCE(S): MARPAT 147:72815  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; A = a monocyclic ring of 4-7 atoms containing 0-2 heteroatoms, a bicyclic ring of 8-12 atoms containing 0-4 heteroatoms or a tricyclic ring of 8-12 atoms containing 0-6 heteroatoms wherein the rings are independently aliphatic, aromatic, heteroaryl or heterocyclic in nature, the heteroatoms are selected from N, S or O and the rings are optionally substituted with one or more groups selected from C1-4-alkyl, OR'', cyano, OCF3, F, Cl, Br, iodo; wherein R'' = H, alkyl, aralkyl, or heteroaralkyl; R' = H, Me; R = Q-Q12, 6-amino-3-pyridyl; R1 = OH or -O(CH2)nAr; wherein n = an integer from 1 to 6 inclusive; Ar = aryl or heteroaryl; R2 = H or C(O)R3; R3 = H, alkyl, or aryl; R4 = OH or N(R3)2; the two R5 taken together form a spirocycloalkane, a spiroaryl, or a spiroheterocycloalkane; R6 = H, OH, alkyl, or aryl; R7 = alkyl, aryl, cycloalkane, or

Updated Search

heterocycloalkane; M = H or OH, or two M taken together form O or N(R3); provided that when R is Q1 or 6-amino-3-pyridyl, R' is (R)-Me] or pharmaceutically acceptable salts thereof are prepared. These compds. including 3-(pyridin-2-yl)acrylamide, 3-(7-oxo-5,6,7,8-tetrahydro[1,8]naphthyridin-3-yl)acrylamide, and 3-(8-oxo-5,7,8,9-tetrahydro-6-oxa-1,9-diazabenzocycloheptan-3-yl)acrylamide derivs. have FabI inhibiting activity, preferably inhabiting the Fab I activity of a microbe with an IC50 of at least 1 order of magnitude lower than the IC50 for inhibiting enoyl CoA hydratase of a mammal. They may also inhibit other enzymes, including those similar to FabI either structurally or functionally, for example, Fab K. Kits and compns. containing the compds. I and methods of treating a subject with a bacterial illness are also disclosed. Thus, 5-bromo-3-(pyridin-2-ylmethoxy)pyridin-2-ylamine was coupled with N-methyl-N-(3-methylbenzofuran-2-ylmethyl)acrylamide in the presence of diisopropylethylamine, Pd(OAc)2, and tris(o-tolyl)phosphine in DMF under refluxing overnight to give 27% (E)-3-[6-amino-5-(pyridin-2-ylmethoxy)pyridin-3-yl]-N-methyl-N-(3-methylbenzofuran-2-ylmethyl)acrylamide. In Staphylococcus aureus FabI enzyme inhibition assays, the invention compds. showed the IC50 values ranging from .apprx.0.05  $\mu$ M to .apprx.5.0  $\mu$ M.

IT 941603-85-6P, (E)-3-(6-Acetylamino-5-hydroxypyridin-3-yl)-N-(3-methoxy-2-propoxybenzyl)-N-methylacrylamide hydrochloride

941603-88-9P, (E)-3-(6-Acetylamino-5-hydroxypyridin-3-yl)-N-(3-methoxy-2-propoxybenzyl)-N-methylacrylamide

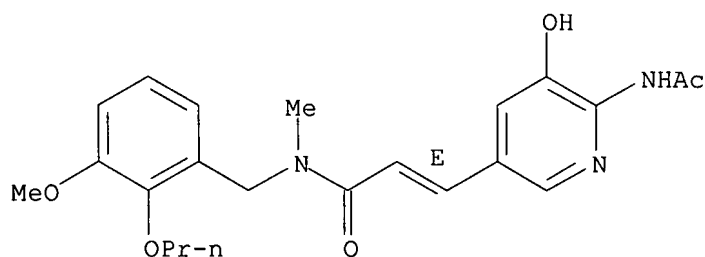
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 3-heterocyclacrylamide derivs. as FaBI protein inhibitors for treating bacterial infection)

RN 941603-85-6 HCAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-5-hydroxy-3-pyridinyl]-N-[(3-methoxy-2-propoxyphenyl)methyl]-N-methyl-, hydrochloride (1:1), (2E)- (CA INDEX NAME)

Double bond geometry as shown.



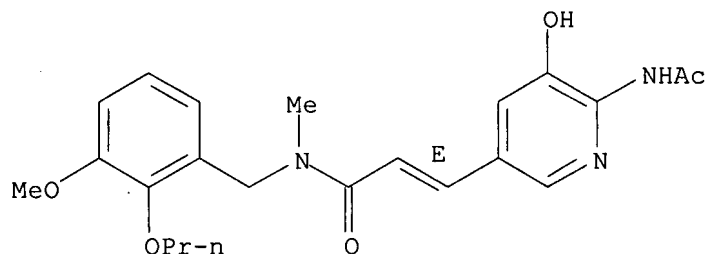
● HCl

RN 941603-88-9 HCAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-5-hydroxy-3-pyridinyl]-N-[(3-methoxy-2-propoxyphenyl)methyl]-N-methyl-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.

10510053



L6 ANSWER 2 OF 15 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:636869 HCAPLUS

DOCUMENT NUMBER: 145:103734

TITLE: Compositions comprising multiple antibiotic agents including a FabI inhibitor, methods of using the same, and preparation of the heterocycle FabI inhibitors  
INVENTOR(S): Berman, Judd M.; Schmid, Molly B.; Mendlein, John D.; Kaplan, Nachum

PATENT ASSIGNEE(S): Affinium Pharmaceuticals, Inc., Can.

SOURCE: U.S. Pat. Appl. Publ., 192 pp., which which

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

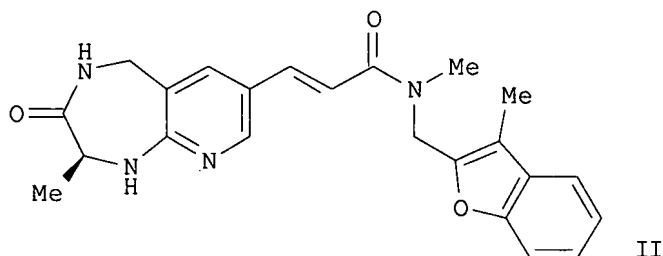
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006142265	A1	20060629	US 2005-231298	20050919
WO 2004082586	A2	20040930	WO 2004-IB1261	20040317
WO 2004082586	A3	20041223		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-455189P P 20030317  
US 2003-476970P P 20030609  
US 2003-488379P P 20030718  
WO 2004-IB1261 A2 20040317

OTHER SOURCE(S): MARPAT 145:103734  
GI



AB The invention is directed to antibacterial compns. comprising an NADH (or NADPH)-dependent enoyl-acyl carrier protein (ACP) reductase (FabI, previously designated EnvM) inhibitor of formula (Y1)a-A-CH(R1)-NR1CO-L-R2 (I) and at least one other antibiotic/antibacterial agent [L = alkyl, alkenyl, or cycloalkyl which may be substituted by one or more R1; A = (un)substituted bicyclic heteroaryl of 8-12 atoms or a tricyclic ring of 12-16 atoms, containing 1-4 heteroatoms selected from N, S, and O; R1 = H, cyclo/alkyl, alk/aryl; R2 = heterocyclyl; a = 0-4; Y1 = -(CH2)n-CO-NR4R5; R4 = water solubilizing group; R5 = H, cyclo/alkyl; n = 0-4]. The antibacterial composition exhibits a synergistic antibacterial effect compared to its individual components. Thus, bromination of (S)-2-methyl-1,2,4,5-tetrahydropyrido[2,3-e][1,4]diazepin-3-one (preparation given), coupling of the bromide with N-methyl-N-[(3-methylbenzofuran-2-yl)methyl]acrylamide, and acidulation of the free base (no data) with TFA gave pyridodiazepine II•TFA. Selected I inhibited FabI with a  $K_i < 1$  nM, an MIC (minimal inhibitory concentration)  $< 0.125$   $\mu\text{g/mL}$ , and an  $\text{IC}_{50} < 10$  nM.

IT 709651-92-3P, (E)-3-[6-Amino-5-[(morpholin-4-yl)methyl]pyridin-3-yl]-N-(2-ethoxy-3-methoxybenzyl)-N-methyl-2-propenamide monohydrochloride  
 709652-09-5P, (E)-3-[6-Amino-5-(4-methylpiperazin-1-ylmethyl)pyridin-3-yl]-N-(2-ethoxy-3-methoxybenzyl)-N-methyl-2-propenamide monohydrochloride  
 709652-12-0P, (E)-3-[6-Amino-5-(4-methylpiperazin-1-ylmethyl)pyridin-3-yl]-N-(3-methoxy-2-propoxybenzyl)-N-methyl-2-propenamide monohydrochloride  
 709652-13-1P, (E)-3-[6-Amino-5-(4-methylpiperazin-1-ylmethyl)pyridin-3-yl]-N-(2-ethoxy-3-methylbenzyl)-N-methyl-2-propenamide monohydrochloride  
 709652-28-8P, (E)-3-(6-Aminopyridin-3-yl)-N-(2,3-dimethoxybenzyl)-N-methyl-2-propenamide  
 709652-67-5P, (E)-3-(6-Aminopyridin-3-yl)-N-(2-ethoxy-3-methoxybenzyl)-N-methyl-2-propenamide monohydrochloride  
 709652-68-6P, (E)-3-(6-Aminopyridin-3-yl)-N-(2-propoxy-3-methoxybenzyl)-N-methyl-2-propenamide monohydrochloride  
 709652-69-7P, (E)-3-(6-Aminopyridin-3-yl)-N-(2-isopropoxy-3-methoxybenzyl)-N-methyl-2-propenamide monohydrochloride  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

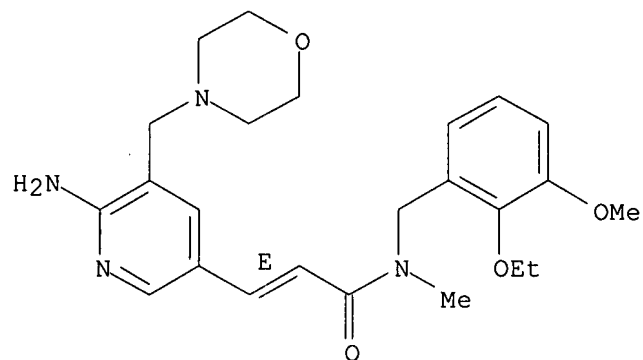
(bactericide; compns. comprising multiple antibiotic agents and preparation of heterocycle FabI inhibitor)

RN 709651-92-3 HCAPLUS

CN 2-Propenamide, 3-[6-amino-5-(4-morpholinylmethyl)-3-pyridinyl]-N-[(2-ethoxy-3-methoxyphenyl)methyl]-N-methyl-, monohydrochloride, (2E)- (9CI)  
 (CA INDEX NAME)

Double bond geometry as shown.

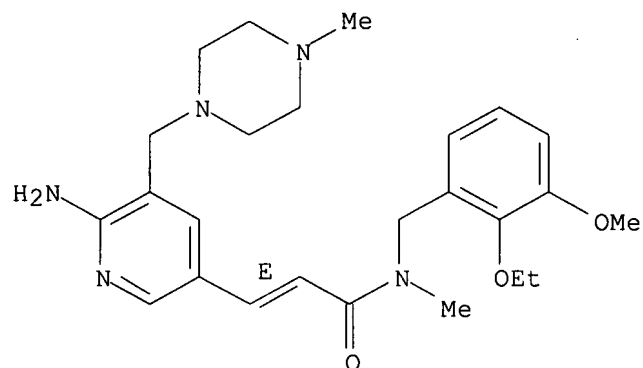
10510053



● HCl

RN 709652-09-5 HCAPLUS  
CN 2-Propenamide, 3-[6-amino-5-[(4-methyl-1-piperazinyl)methyl]-3-pyridinyl]-  
N-[(2-ethoxy-3-methoxyphenyl)methyl]-N-methyl-, monohydrochloride, (2E)-  
(9CI) (CA INDEX NAME)

Double bond geometry as shown.



● HCl

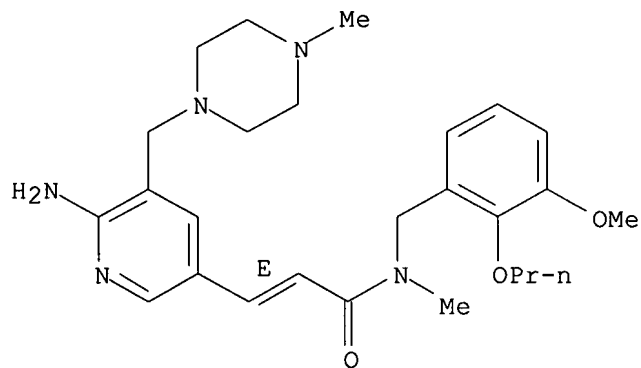
RN 709652-12-0 HCAPLUS  
CN 2-Propenamide, 3-[6-amino-5-[(4-methyl-1-piperazinyl)methyl]-3-pyridinyl]-  
N-[(3-methoxy-2-propoxyphenyl)methyl]-N-methyl-, monohydrochloride, (2E)-  
(9CI) (CA INDEX NAME)

Double bond geometry as shown.

Updated Search



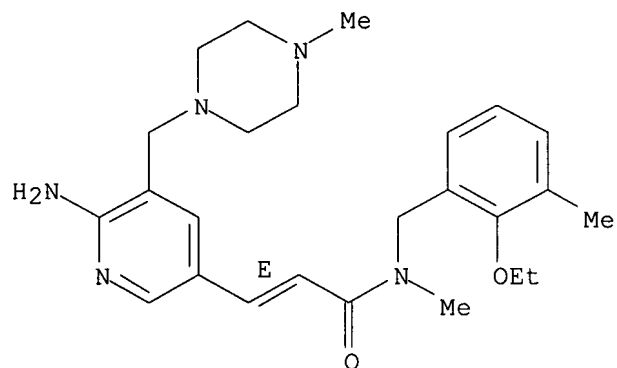
10510053



● HCl

RN 709652-13-1 HCAPLUS  
CN 2-Propenamide, 3-[6-amino-5-[(4-methyl-1-piperazinyl)methyl]-3-pyridinyl]-N-[(2-ethoxy-3-methylphenyl)methyl]-N-methyl-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

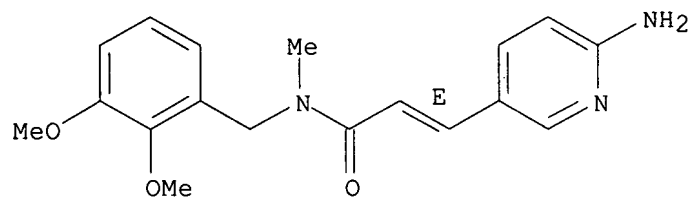
Double bond geometry as shown.



● HCl

RN 709652-28-8 HCAPLUS  
CN 2-Propenamide, 3-(6-amino-3-pyridinyl)-N-[(2,3-dimethoxyphenyl)methyl]-N-methyl-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



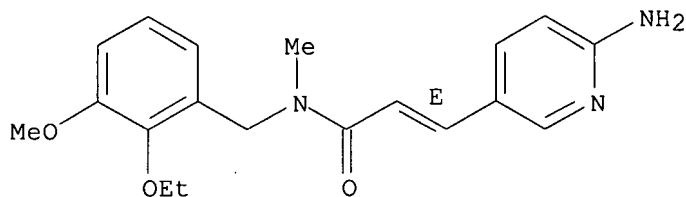
Updated Search

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RN 709652-67-5 HCAPLUS

CN 2-Propenamide, 3-(6-amino-3-pyridinyl)-N-[(2-ethoxy-3-methoxyphenyl)methyl]-N-methyl-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

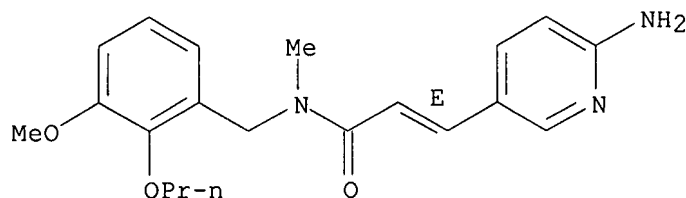


● HCl

RN 709652-68-6 HCAPLUS

CN 2-Propenamide, 3-(6-amino-3-pyridinyl)-N-[(3-methoxy-2-propoxyphenyl)methyl]-N-methyl-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

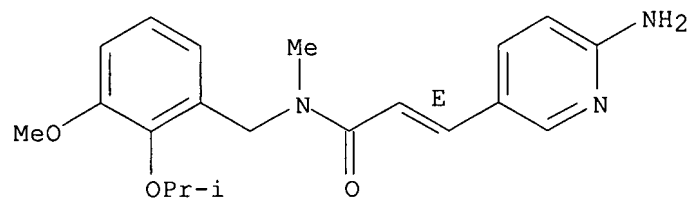


● HCl

RN 709652-69-7 HCAPLUS

CN 2-Propenamide, 3-(6-amino-3-pyridinyl)-N-[[3-methoxy-2-(1-methylethoxy)phenyl)methyl]-N-methyl-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



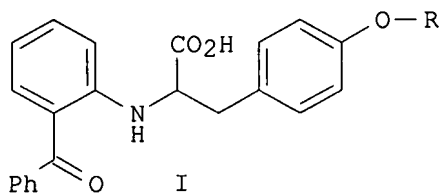
● HCl

Updated Search

10510053

L6 ANSWER 3 OF 15 HCAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 2006:99988 HCAPLUS  
DOCUMENT NUMBER: 144:192493  
TITLE: Preparation of N-(benzoylphenyl)tyrosine derivatives  
as PPAR $\gamma$  modulators  
INVENTOR(S): Serra Comas, Carmen; Fernandez Serrat, Anna; Balsa  
Lopez, Dolors; Masip Masip, Isabel; Catena Ruiz, Juan  
Lorenzo; Hidalgo Rodriguez, Jose; Lagunas Arnal,  
Carmen; Salcedo Roca, Carolina; Fernandez Garcia,  
Andres  
PATENT ASSIGNEE(S): Laboratorios S.A.L.V.A.T., S.A., Spain  
SOURCE: PCT Int. Appl., 123 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006010775	A1	20060202	WO 2005-EP53728	20050729
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
AU 2005266337	A1	20060202	AU 2005-266337	20050729
CA 2574021	A1	20060202	CA 2005-2574021	20050729
EP 1778624	A1	20070502	EP 2005-778004	20050729
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
IN 2007CN00845	A	20070824	IN 2007-CN845	20070227
PRIORITY APPLN. INFO.:			ES 2004-1966	A 20040730
			WO 2005-EP53728	W 20050729
OTHER SOURCE(S):	MARPAT 144:192493			
GI				



AB The invention relates to tyrosine derivs. I [R is (CH<sub>2</sub>)<sub>2-3</sub>N(X-R<sub>1</sub>)-A-J-T, where X is null or CO; R<sub>1</sub> is alkyl, haloalkyl, alkoxyalkyl, alkenyl, alkynyl, alk(en)(yn)ylene-Y (Y is a ring); A is alk(en)(yn)ylene or

Updated Search

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alk(en)(yn)ylene-Z (Z is a ring); J is a bond, (CH<sub>2</sub>)<sub>1-4</sub>, O, S, SO<sub>2</sub>, CO, etc.; T is H, alk(en)(yn)yl or Y], including stereoisomers and pharmaceutically-acceptable salts, which are PPAR $\gamma$  modulators and therefore are useful for the treatment or prevention of a condition or disease mediated by these receptors. Thus, (S)-2-(2-benzoylphenylamino)-3-[4-[3-[benzyl(3-phenylpropynoyl)amino]ethoxy]phenyl]propionic acid was prepared and K<sub>i</sub> < 500 nM in the PPAR $\gamma$  affinity assay.

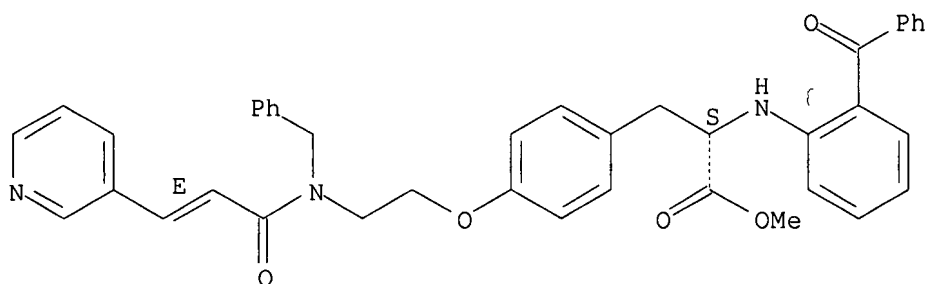
IT 875411-02-2P 875411-03-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of N-(benzoylphenyl)tyrosine derivs. as PPAR $\gamma$  modulators)

RN 875411-02-2 HCAPLUS

CN L-Tyrosine, N-(2-benzoylphenyl)-O-[2-[[ (2E)-1-oxo-3-(3-pyridinyl)-2-propenyl](phenylmethyl)amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)

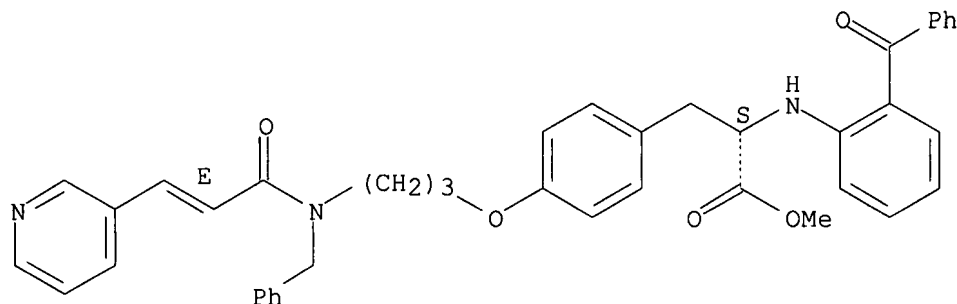
Absolute stereochemistry.  
Double bond geometry as shown.



RN 875411-03-3 HCAPLUS

CN L-Tyrosine, N-(2-benzoylphenyl)-O-[3-[[ (2E)-1-oxo-3-(3-pyridinyl)-2-propenyl](phenylmethyl)amino]propyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 15 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:921745 HCAPLUS

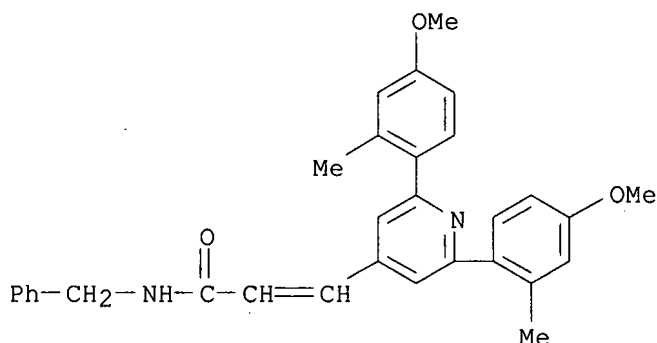
DOCUMENT NUMBER: 142:106026

TITLE: Structural studies of biarylpyridines fluorophores lead to the identification of promising long wavelength emitters for use in fluorescent

Updated Search

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chemosensors  
AUTHOR(S): Fang, A. G.; Mello, J. V.; Finney, N. S.  
CORPORATE SOURCE: Department of Chemistry and Biochemistry, University  
of California, San Diego, La Jolla, CA, 92093-0358,  
USA  
SOURCE: Tetrahedron (2004), 60(49), 11075-11087  
CODEN: TETRAB; ISSN: 0040-4020  
PUBLISHER: Elsevier B.V.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 142:106026  
AB Fluorescent chemosensors-mols. whose fluorescence emission changes in  
response to a reversible binding event-require both a substrate binding  
domain and a reporting fluorophore. The approach to chemosensor  
development is based on a combination of a new signaling mechanism and a  
modular fluorophore synthesis. The latter feature has facilitated  
detailed study of the properties of polyarylpuridine fluorophores, and led  
to the identification of a visibly-emissive puridine as a promising lead  
structure for chemosensor development. The results of this study are  
described herein.  
IT 816446-61-4P  
RL: ARG (Analytical reagent use); PRP (Properties); SPN (Synthetic  
preparation); ANST (Analytical study); PREP (Preparation); USES (Uses)  
(metal ions determination by fluorometry with long wavelength emitting  
biarylpuridine fluorophores)  
RN 816446-61-4 HCAPLUS  
CN 2-Propenamide, 3-[2,6-bis(4-methoxy-2-methylphenyl)-4-pyridinyl]-N-  
(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 15 HCAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 2004:799437 HCAPLUS  
DOCUMENT NUMBER: 141:314353  
TITLE: Compositions comprising multiple antibiotic agents  
including a FabI inhibitor, methods of using the same,  
and preparation of the heterocycle FabI inhibitors  
INVENTOR(S): Berman, Judd M.; Schmid, Molly B.; Mendlein, John D.;  
Kaplan, Nachum  
PATENT ASSIGNEE(S): Affinium Pharmaceuticals, Inc., Can.  
SOURCE: PCT Int. Appl., 311 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent

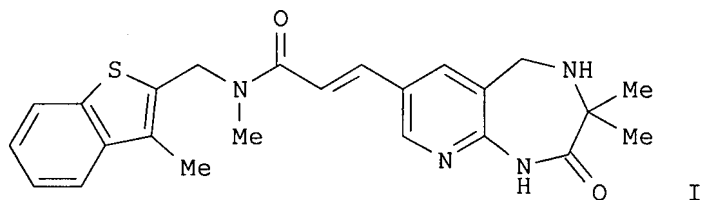
Updated Search

10510053

LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004082586	A2	20040930	WO 2004-IB1261	20040317
WO 2004082586	A3	20041223		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2519429	A1	20040930	CA 2004-2519429	20040317
EP 1608377	A2	20051228	EP 2004-721257	20040317
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
JP 2006523207	T	20061012	JP 2006-506526	20040317
US 2006142265	A1	20060629	US 2005-231298	20050919
PRIORITY APPLN. INFO.:				
			US 2003-455189P	P 20030317
			US 2003-476970P	P 20030609
			US 2003-488379P	P 20030718
			WO 2004-IB1261	W 20040317

OTHER SOURCE(S): MARPAT 141:314353  
 GI

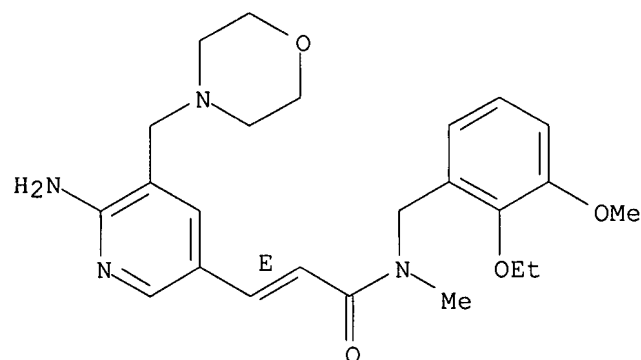


AB The invention is directed to antibacterial compns. comprising an NADH (or NADPH)-dependent enoyl-acyl carrier protein (ACP) reductase (FabI, previously designated EnvM) inhibitor of formula (Y1)a-A-CH(R1)-NR1CO-L-R2 (I) and at least one other antibiotic/antibacterial agent [L = alkyl, alkenyl, or cycloalkyl which may be substituted by one or more R1; A = (un)substituted bicyclic heteroaryl of 8-12 atoms or a tricyclic ring of 12-16 atoms, containing 1-4 heteroatoms selected from N, S, and O; R1 = cyclo/alkyl, alk/aryl; R2 = heterocyclyl; a = 0-4; Y1 = -(CH2)n-CO-NR4R5; R4 = water solubilizing group; R5 = H, cyclo/alkyl; n = 0-4]. The antibacterial composition exhibits a synergistic antibacterial effect compared to its individual components. Thus, reacting 7-Bromo-3,3-dimethyl-1,3,4,5-tetrahydropyrido[2,3-e][1,4]diazepin-2-one (preparation given) with N-Methyl-N-[(3-methylbenzo[b]thiophen-2-yl)methyl]acrylamide (preparation given), followed by acidulation gave diazepinone salt II•HCl. Selected I inhibited FabI with a Ki < 1 nM, an MIC (minimal inhibitory concentration) < 0.125 µg/mL, and an IC50 < 10 nM.

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IT 709651-92-3P, (E)-3-[6-Amino-5-[(morpholin-4-yl)methyl]pyridin-3-yl]-N-(2-ethoxy-3-methoxybenzyl)-N-methyl-2-propenamide monohydrochloride  
709652-09-5P, (E)-3-[6-Amino-5-(4-methylpiperazin-1-ylmethyl)pyridin-3-yl]-N-(2-ethoxy-3-methoxybenzyl)-N-methyl-2-propenamide monohydrochloride  
709652-12-0P, (E)-3-[6-Amino-5-(4-methylpiperazin-1-ylmethyl)pyridin-3-yl]-N-(3-methoxy-2-propoxybenzyl)-N-methyl-2-propenamide monohydrochloride  
709652-13-1P, (E)-3-[6-Amino-5-(4-methylpiperazin-1-ylmethyl)pyridin-3-yl]-N-(2-ethoxy-3-methylbenzyl)-N-methyl-2-propenamide monohydrochloride  
709652-28-8P, (E)-3-(6-Aminopyridin-3-yl)-N-(2,3-dimethoxybenzyl)-N-methyl-2-propenamide  
709652-67-5P, (E)-3-(6-Aminopyridin-3-yl)-N-(2-ethoxy-3-methoxybenzyl)-N-methyl-2-propenamide monohydrochloride  
709652-68-6P, (E)-3-(6-Aminopyridin-3-yl)-N-(2-propoxy-3-methoxybenzyl)-N-methyl-2-propenamide monohydrochloride  
709652-69-7P, (E)-3-(6-Aminopyridin-3-yl)-N-(2-isopropoxy-3-methoxybenzyl)-N-methyl-2-propenamide monohydrochloride  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(bactericide; compns. comprising multiple antibiotic agents and preparation of heterocycle FabI inhibitor)  
RN 709651-92-3 HCAPLUS  
CN 2-Propenamide, 3-[6-amino-5-(4-morpholinylmethyl)-3-pyridinyl]-N-[(2-ethoxy-3-methoxyphenyl)methyl]-N-methyl-, monohydrochloride, (2E)-(9CI)  
(CA INDEX NAME)

Double bond geometry as shown.



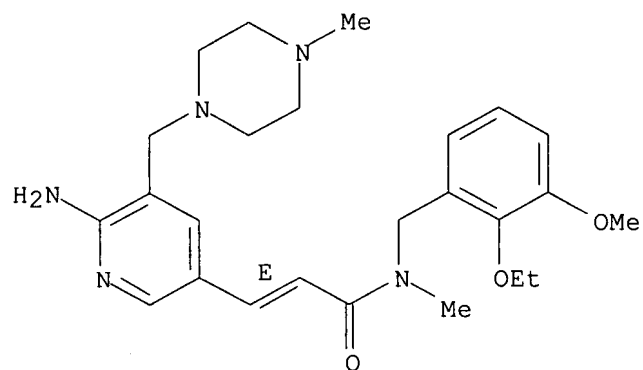
● HCl

RN 709652-09-5 HCAPLUS  
CN 2-Propenamide, 3-[6-amino-5-[(4-methyl-1-piperazinyl)methyl]-3-pyridinyl]-N-[(2-ethoxy-3-methoxyphenyl)methyl]-N-methyl-, monohydrochloride, (2E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

Updated Search

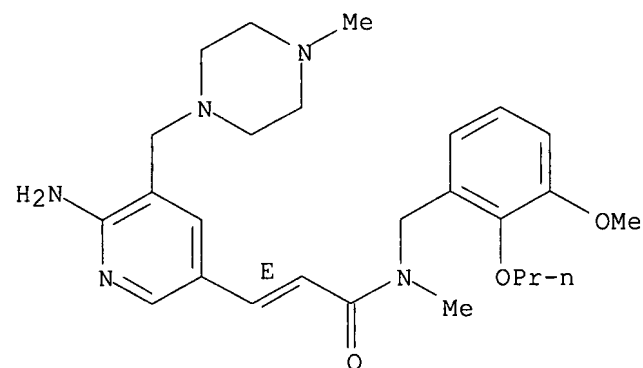
10510053



● HCl

RN 709652-12-0 HCAPLUS  
CN 2-Propenamide, 3-[6-amino-5-[(4-methyl-1-piperazinyl)methyl]-3-pyridinyl]-  
N-[(3-methoxy-2-propoxyphenyl)methyl]-N-methyl-, monohydrochloride, (2E)-  
(9CI) (CA INDEX NAME)

Double bond geometry as shown.



● HCl

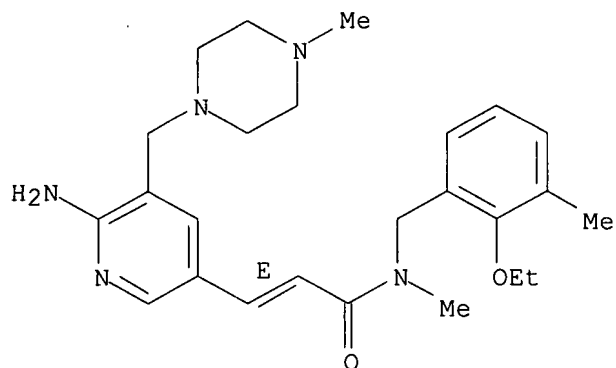
RN 709652-13-1 HCAPLUS  
CN 2-Propenamide, 3-[6-amino-5-[(4-methyl-1-piperazinyl)methyl]-3-pyridinyl]-  
N-[(2-ethoxy-3-methylphenyl)methyl]-N-methyl-, monohydrochloride, (2E)-  
(9CI) (CA INDEX NAME)

Double bond geometry as shown.

Updated Search



10510053

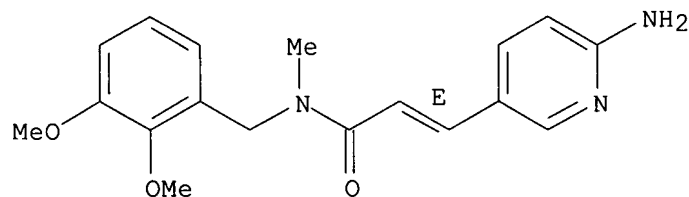


● HCl

RN 709652-28-8 HCAPLUS

CN 2-Propenamide, 3-(6-amino-3-pyridinyl)-N-[(2,3-dimethoxyphenyl)methyl]-N-methyl-, (2E)- (9CI) (CA INDEX NAME)

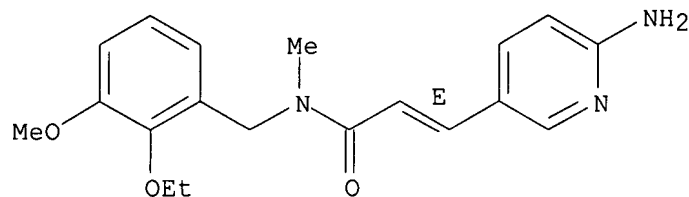
Double bond geometry as shown.



RN 709652-67-5 HCAPLUS

CN 2-Propenamide, 3-(6-amino-3-pyridinyl)-N-[(2-ethoxy-3-methoxyphenyl)methyl]-N-methyl-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● HCl

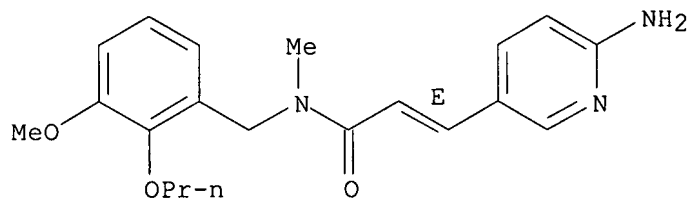
RN 709652-68-6 HCAPLUS

CN 2-Propenamide, 3-(6-amino-3-pyridinyl)-N-[(3-methoxy-2-propoxyphenyl)methyl]-N-methyl-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Updated Search

10510053

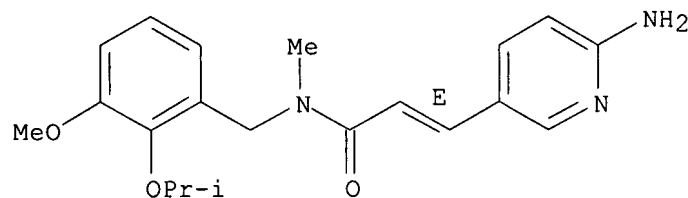
Double bond geometry as shown.



● HCl

RN 709652-69-7 HCAPLUS  
CN 2-Propenamide, 3-(6-amino-3-pyridinyl)-N-[[3-methoxy-2-(1-methylethoxy)phenyl]methyl]-N-methyl-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● HCl

L6 ANSWER 6 OF 15 HCAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 2004:515512 HCAPLUS  
DOCUMENT NUMBER: 141:71572  
TITLE: Preparation of heterocyclic compounds as antibacterial agents  
INVENTOR(S): Berman, Judd; Sampson, Peter; Pauls, Heinz W.; Ramnauth, Jailall; Manning, David Douglas; Surman, Matthew David; Xie, Dejian; Decornez, Helene Yvonne  
PATENT ASSIGNEE(S): Affinium Pharmaceuticals, Inc., Can.  
SOURCE: PCT Int. Appl., 223 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004052890	A1	20040624	WO 2003-US38706	20031205
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,				

Updated Search

PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,  
 TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,  
 BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,  
 ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,  
 TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 CA 2508792 A1 20040624 CA 2003-2508792 20031205  
 AU 2003298937 A1 20040630 AU 2003-298937 20031205  
 EP 1575951 A1 20050921 EP 2003-796699 20031205  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  
 JP 2006513262 T 20060420 JP 2005-508475 20031205  
 US 2006183908 A1 20060817 US 2006-537747 20060327  
 PRIORITY APPLN. INFO.: US 2002-431406P P 20021206  
 US 2003-465583P P 20030425  
 WO 2003-US38706 W 20031205

OTHER SOURCE(S): MARPAT 141:71572

AB Compds. of formula (Y1)a-A-CH(R1)-NR1CO-L-R2 [I; L = a bond, is alkyl, alkenyl, or cycloalkyl which may be substituted with one or more R1; A = a bicyclic heteroaryl ring of 8-12 atoms or a tricyclic ring of 12-16 atoms, wherein the heteroaryl rings contain 1-4 heteroatoms selected from N, S, and O, and wherein the heteroaryl rings are optionally substituted with one or more groups selected from C1-4 alkyl, CH2OH, OR, SR, CN, N(R)2, CH2N(R)2, NO2, CF3, CO2R, CON(R)2, COR, NRC(O)R, F, Cl, Br, iodo, and S(O)rCF3 (R = H, alkyl, alkaryl; r = 0-2); R1 = H, alkyl, cycloalkyl, aryl, or alkaryl; R2 = heterocyclyl] or pharmaceutically acceptable salts thereof are prepared. These compds. inhibit an NADH (or NADPH)-dependent enoyl-acyl carrier protein (ACP) reductase (FabI, previously designated EnvM) which is believed to be a major biosynthetic enzyme and is a key regulatory point in the overall synthetic pathway of bacterial fatty acid biosynthesis and catalyzes the final step of fatty acid biosynthesis in some bacteria. The present invention also relates to inhibitors and compns. comprising inhibitors of enzymes similar to FabI either structurally or functionally, such as, for example, FabK which is also believed to play a role in bacterial fatty acid synthesis. In another aspect of the present invention, the antibacterial compds. of the present invention may be used to disinfect an inanimate surface by administering the antibacterial compound to the inanimate surface. Thus, (E)-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1H-pyrido[2,3-e][1,4]diazepin-7-yl)acrylic acid hydrochloride was condensed with N-methyl-N-(1-propylnaphthalen-2-ylmethyl)amine in DMF using diisopropylethylamine, 1-hydroxybenzotriazole hydrate, and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride at room temperature for 18 h to give, after silica gel chromatog., 41% (E)-N-Methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1H-pyrido[2,3-e][1,4]diazepin-7-yl)-N-[(1-propylnaphthalen-2-yl)methyl]acrylamide as a glassy orange solid and as a mixture of amide rotamers. The compds. I inhibit FabI with a Ki of about 5 pM or less.

IT 709651-92-3P 709652-09-5P 709652-12-0P  
 709652-13-1P 709652-28-8P 709652-67-5P  
 709652-68-6P 709652-69-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

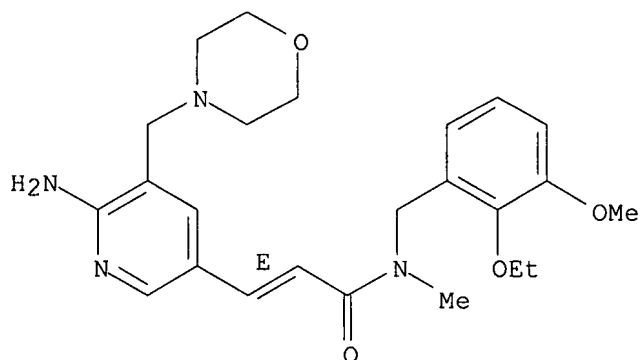
(preparation of heterocyclic compds. as enoyl-acyl carrier protein reductase FabI inhibitors and antibacterial agents)

RN 709651-92-3 HCAPLUS

CN 2-Propenamide, 3-[6-amino-5-(4-morpholinylmethyl)-3-pyridinyl]-N-[(2-ethoxy-3-methoxyphenyl)methyl]-N-methyl-, monohydrochloride, (2E)- (9CI)  
 (CA INDEX NAME)

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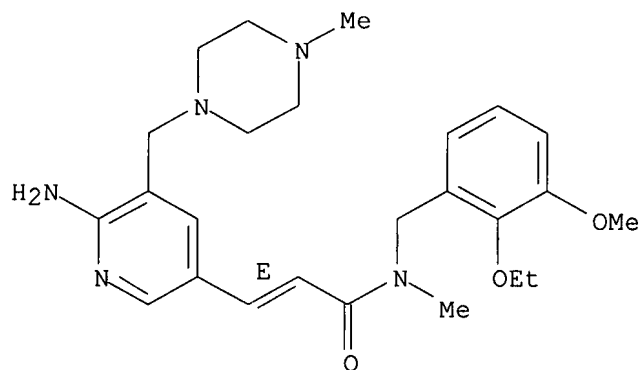
Double bond geometry as shown.



● HCl

RN 709652-09-5 HCAPLUS  
CN 2-Propenamide, 3-[6-amino-5-[(4-methyl-1-piperazinyl)methyl]-3-pyridinyl]-  
N-[(2-ethoxy-3-methoxyphenyl)methyl]-N-methyl-, monohydrochloride, (2E)-  
(9CI) (CA INDEX NAME)

Double bond geometry as shown.



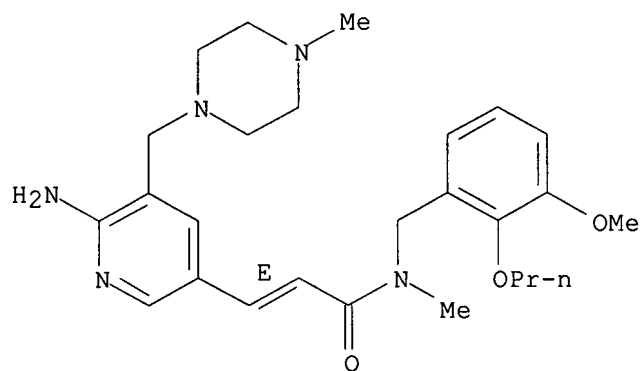
● HCl

RN 709652-12-0 HCAPLUS  
CN 2-Propenamide, 3-[6-amino-5-[(4-methyl-1-piperazinyl)methyl]-3-pyridinyl]-  
N-[(3-methoxy-2-propoxyphenyl)methyl]-N-methyl-, monohydrochloride, (2E)-  
(9CI) (CA INDEX NAME)

Double bond geometry as shown.

Updated Search

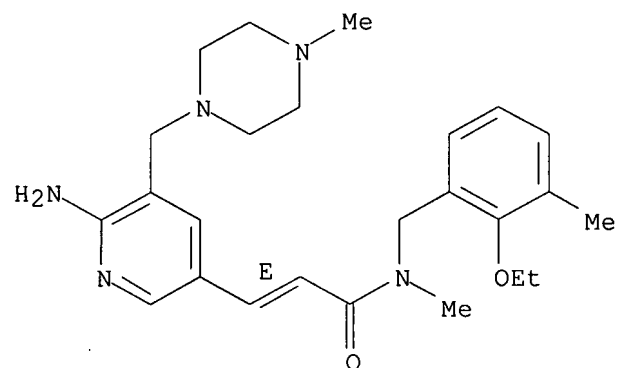
10510053



● HCl

RN 709652-13-1 HCAPLUS  
CN 2-Propenamide, 3-[6-amino-5-[(4-methyl-1-piperazinyl)methyl]-3-pyridinyl]-  
N-[(2-ethoxy-3-methylphenyl)methyl]-N-methyl-, monohydrochloride, (2E)-  
(9CI) (CA INDEX NAME)

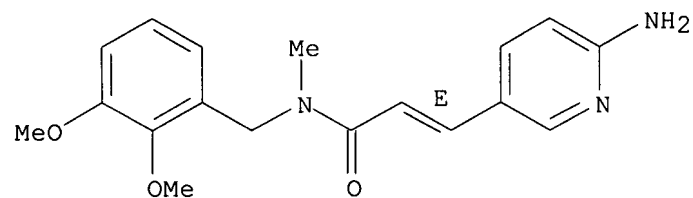
Double bond geometry as shown.



● HCl

RN 709652-28-8 HCAPLUS  
CN 2-Propenamide, 3-(6-amino-3-pyridinyl)-N-[(2,3-dimethoxyphenyl)methyl]-N-  
methyl-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



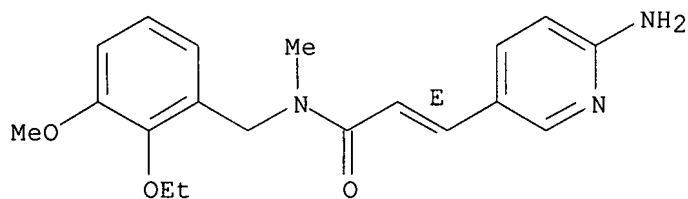
Updated Search

10510053

RN 709652-67-5 HCAPLUS

CN 2-Propenamide, 3-(6-amino-3-pyridinyl)-N-[(2-ethoxy-3-methoxyphenyl)methyl]-N-methyl-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

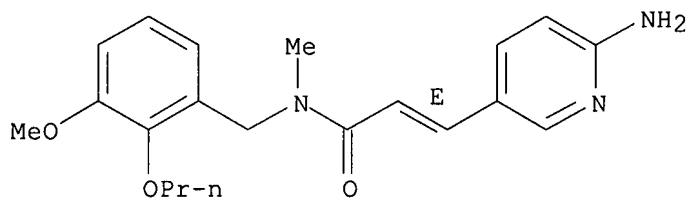


● HCl

RN 709652-68-6 HCAPLUS

CN 2-Propenamide, 3-(6-amino-3-pyridinyl)-N-[(3-methoxy-2-propoxyphenyl)methyl]-N-methyl-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

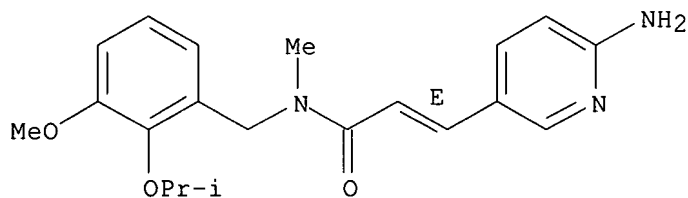


● HCl

RN 709652-69-7 HCAPLUS

CN 2-Propenamide, 3-(6-amino-3-pyridinyl)-N-[[3-methoxy-2-(1-methylethoxy)phenyl]methyl]-N-methyl-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● HCl

Updated Search

10510053

L6 ANSWER 7 OF 15 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:875248 HCAPLUS

DOCUMENT NUMBER: 139:350943

TITLE: Synthesis of pyrrolidinedione-terminated  $\beta$ -amino acid containing oligopeptides for use as antibacterial agents in human or veterinary medicine

INVENTOR(S): Brunner, Nina; Freiberg, Christoph; Lampe, Thomas; Newton, Ben; Otteneder, Michael; Pernerstorfer, Josef; Pohlmann, Jens; Schiffer, Guido; Shimada, Mitsuyuki; Svenstrup, Niels; Endermann, Rainer; Nell, Peter

PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 136 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

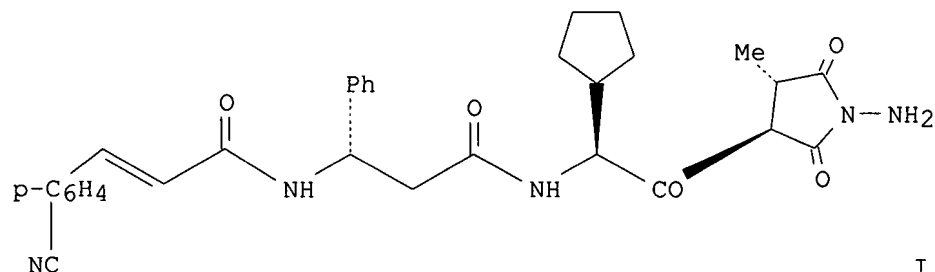
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003091212	A1	20031106	WO 2003-EP3834	20030414
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
DE 10218582	A1	20031106	DE 2002-10218582	20020426
CA 2483303	A1	20031106	CA 2003-2483303	20030414
AU 2003224069	A1	20031110	AU 2003-224069	20030414
EP 1501795	A1	20050202	EP 2003-720461	20030414
EP 1501795	B1	20070228		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2005528411	T	20050922	JP 2003-587777	20030414
US 2006178369	A1	20060810	US 2005-512724	20051031
PRIORITY APPLN. INFO.:			DE 2002-10218582	A 20020426
			WO 2003-EP3834	W 20030414

OTHER SOURCE(S): MARPAT 139:350943

GI



I

AB The invention relates to compds., methods for the production thereof, pharmaceutical compns. containing said compds. and the use thereof in the treatment and/or prophylaxis of diseases, especially bacterial diseases, in human beings and animals. Thus, (3S)-3-methyl-dihydro-2,5-furandione was reacted with methylamine to give the N-methyl-pyrrolidinedione, which was then coupled with N-protected L- or DL-amino acids, and the product N-deprotected. To form the second intermediates, an L- or DL- $\beta$ -amino acid ester was condensed with a substituted acid, and the product deesterified. These two intermediate classes were then coupled to give final product, e.g. (I). Title compds. had minimal inhibitory concns. (MIC) in vitro against Staphylococcus aureus 133 or Haemophilus influenzae Spain 7 ranging from <1-7.8 and 3.9-62.5  $\mu\text{M/l}$ , resp; I had MIC <1 and 7.8  $\mu\text{M/l}$ .

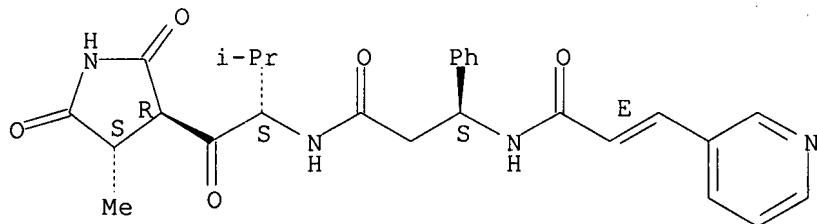
IT 618110-63-7P 618110-64-8P 618110-65-9P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of pyrrolidinedione-terminated  $\beta$ -amino acid containing oligopeptides for use as antibacterial agents in human or veterinary medicine)

RN 618110-63-7 HCAPLUS

CN Benzenepropanamide, N-[(1S)-2-methyl-1-[(3R,4S)-4-methyl-2,5-dioxo-3-pyrrolidinyl]carbonyl]propyl]- $\beta$ -[[ (2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]amino]-, ( $\beta$ S)- (9CI) (CA INDEX NAME)

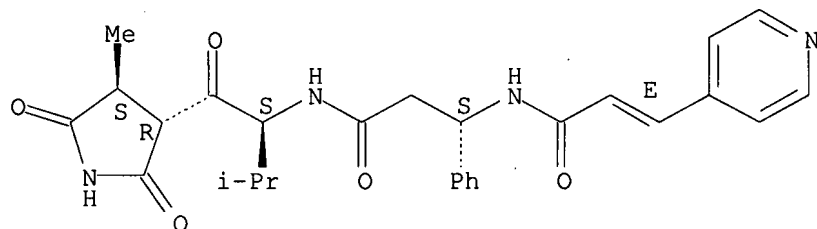
Absolute stereochemistry.  
Double bond geometry as shown.



RN 618110-64-8 HCAPLUS

CN Benzenepropanamide, N-[(1S)-2-methyl-1-[(3R,4S)-4-methyl-2,5-dioxo-3-pyrrolidinyl]carbonyl]propyl]- $\beta$ -[[ (2E)-1-oxo-3-(4-pyridinyl)-2-propenyl]amino]-, ( $\beta$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



RN 618110-65-9 HCAPLUS

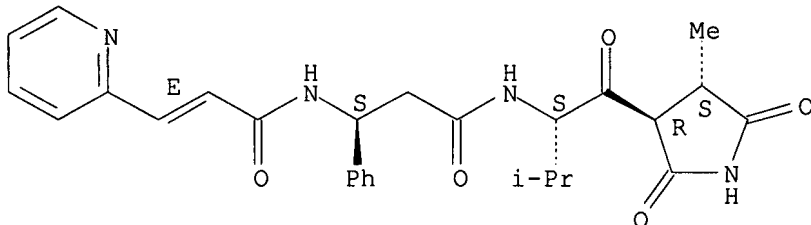
CN Benzenepropanamide, N-[(1S)-2-methyl-1-[(3R,4S)-4-methyl-2,5-dioxo-3-pyrrolidinyl]carbonyl]propyl]- $\beta$ -[[ (2E)-1-oxo-3-(2-pyridinyl)-2-



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propenyl]amino]-, (βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 15 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:964190 HCAPLUS

DOCUMENT NUMBER: 138:39272

DOCUMENT NUMBER: 100409242  
TITLE: Preparation of 3-(oxazolylalkoxyphenyl)propionic acids and analogs as modulators of peroxisome proliferator activated receptors for treatment of diabetes and related conditions

INVENTOR(S): Gossett, Lynn Stacy; Green, Jonathan Edward; Henry, James Robert; Jones, Winton Dennis, Jr.; Matthews, Donald Paul; Shen, Quan Rong; Smith, Daryl Lynn; Vance, Jennifer Ann; Warshawsky, Alan M.

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 438 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

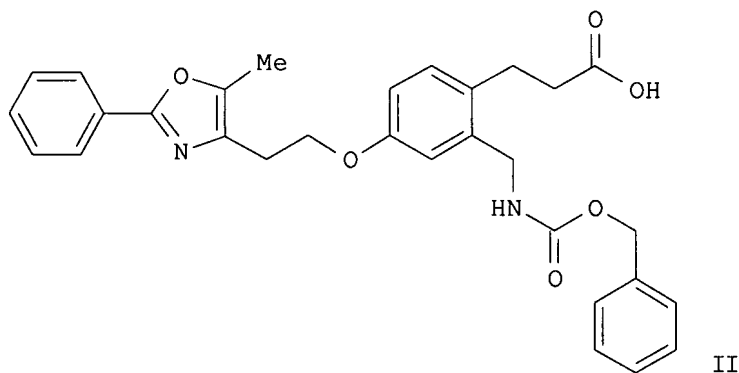
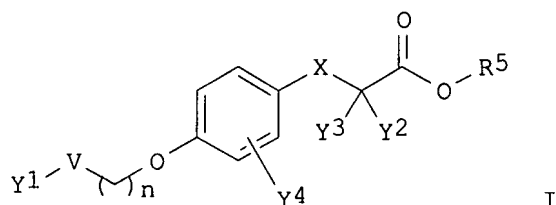
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100403	A1	20021219	WO 2002-US15143	20020524
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2448552	A1	20021219	CA 2002-2448552	20020524
AU 2002316105	A1	20021223	AU 2002-316105	20020524
NZ 529550	A	20031219	NZ 2002-529550	20020524
EP 1401434	A1	20040331	EP 2002-746380	20020524
EP 1401434	B1	20061115		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
BR 2002010167	A	20040406	BR 2002-10167	20020524
HU 200400268	A2	20040728	HU 2004-268	20020524
JP 2005502600	T	20050127	JP 2003-503224	20020524

Updated Search

10510053

CN 1578659	A	20050209	CN 2002-815453	20020524
AT 345128	T	20061215	AT 2002-746380	20020524
ES 2275887	T3	20070616	ES 2002-2746380	20020524
US 2005075378	A1	20050407	US 2003-477405	20031112
ZA 2003009059	A	20050810	ZA 2003-9059	20031120
MX 2003PA10903	A	20040217	MX 2003-PA10903	20031127
IN 2003KN01573	A	20060317	IN 2003-KN1573	20031203
PRIORITY APPLN. INFO.:			US 2001-296701P	P 20010607
OTHER SOURCE(S):			WO 2002-US15143	W 20020524
GI			MARPAT 138:39272	



AB Title compds. I [wherein n = 2-5; V = a bond or O; X = CH<sub>2</sub> or O; p = 0 or 1; m = 1-4; Y<sub>1</sub> = (un)substituted (hetero)aryl; Y<sub>2</sub> and Y<sub>3</sub> = independently H, alkyl, or alkoxy; Y<sub>4</sub> = (un)substituted alk(en/yn)ylaminoalkyl, carboxyalkyl, (thio)ureidoalkyl, carbamoylalkyl, aminoalkyl, alkoxyalkyl, alkylthioalkyl, or CN; R<sub>5</sub> = H or alkyl; and pharmaceutically acceptable salts, solvates, hydrates, or stereoisomers thereof] were prepared as peroxisome proliferator activated receptor (PPAR) modulators (no data). For example, 3-[2-(1,3-dioxo-1,3-dihydroisoindolo-2-ylmethyl)-4-hydroxyphenyl]propionic acid tert-Bu ester was coupled with toluene-4-sulfonic acid 2-(5-methyl-2-phenyloxazol-4-yl)ethyl ester in the presence of Cs<sub>2</sub>CO<sub>3</sub> in DMF. Deprotection of the amine using NaBH<sub>4</sub> in isopropanol followed by conversion to the carbamate and deesterification gave II. I are useful for the treatment of Syndrome X, Type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, arteriosclerosis, and other disorders related to Syndrome X, as well as cardiovascular diseases (no data).

IT 478539-17-2P, 3-[2-[[[3-(3-Pyridyl)-2-propenoyl]amino]methyl]-4-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]phenyl]propionic acid

Updated Search

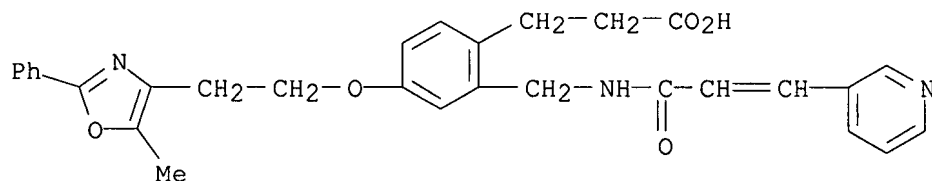
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478539-18-3P, 3-[2-[[[3-(4-Pyridyl)-2-propenoyl]amino]methyl]-4-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]phenyl]propionic acid  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(PPAR modulator; preparation of (oxazolylalkoxyphenyl)propionic acid and analogs as PPAR modulators for treatment of diabetes and related conditions)

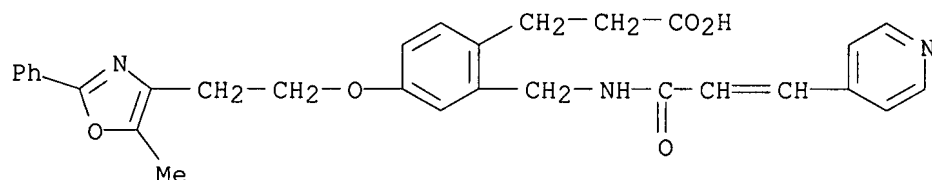
RN 478539-17-2 HCAPLUS

CN Benzenepropanoic acid, 4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-2-[[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]methyl]- (9CI) (CA INDEX NAME)



RN 478539-18-3 HCAPLUS

CN Benzenepropanoic acid, 4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-2-[[[1-oxo-3-(4-pyridinyl)-2-propenyl]amino]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 15 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:347230 HCAPLUS

DOCUMENT NUMBER: 136:355159

TITLE: Preparation of heteroarylacryloylaminoalkyl benzenesulfonamides as cardiovascular agents.

INVENTOR(S): Heitsch, Holger; Englert, Heinrich Christian

PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany

SOURCE: Ger. Offen., 34 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10054482	A1	20020508	DE 2000-10054482	20001103
CA 2427548	A1	20020510	CA 2001-2427548	20011020
WO 2002036565	A1	20020510	WO 2001-EP12142	20011020

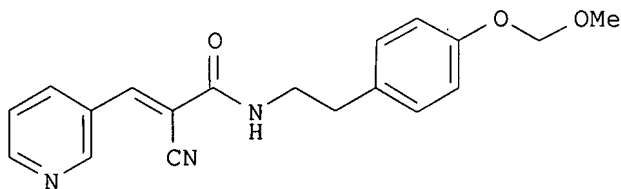
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

Updated Search

10510053

DOCUMENT NUMBER: 140:59516  
 TITLE: Preparation of pyridylacrylamides as phosphodiesterase IV inhibitors  
 INVENTOR(S): Hattori, Tomohisa; Sasaki, Toshinobu  
 ; Hasegawa, Yoshihiro; Obata, Tatsuhiro  
 PATENT ASSIGNEE(S): Tsumura & Co., Japan  
 SOURCE: PCT Int. Appl., 63 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003086396	A1	20031023	WO 2003-JP4227	20030402
WO 2003086396	A9	20031224		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG CA 2481178 A1 20031023 CA 2003-2481178 20030402 AU 2003236340 A1 20031027 AU 2003-236340 20030402 BR 2003008935 A 20050104 BR 2003-8935 20030402 EP 1495757 A1 20050112 EP 2003-746165 20030402 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK CN 1655783 A 20050817 CN 2003-812237 20030402 MX 2004PA09580 A 20050527 MX 2004-PA9580 20041001 IN 2004CN02447 A 20070831 IN 2004-CN2447 20041028 US 2005187264 A1 20050825 US 2005-510053 20050412 PRIORITY APPLN. INFO.: JP 2002-99491 A 20020402 WO 2003-JP4227 W 20030402 OTHER SOURCE(S): MARPAT 140:59516 GI				



AB The title compds. with general formula of Ar1-C(R1)=C(R2)-C(=X)-N(R3)-(CH2)n-1-C(A)(B)-Ar2 [wherein Ar1 = (un)substituted Py; Ar2 = substituted Ph; R1 = H, alkyl, or aryl; R2 = H, alkyl, CN, or alkoxy carbonyl; R3 = H

Updated Search

10510053

L6 ANSWER 15 OF 15 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1966:429395 HCAPLUS

DOCUMENT NUMBER: 65:29395

ORIGINAL REFERENCE NO.: 65:5441h,5442a-b

TITLE: N-(2-Furylmethyl)-4-halo-5-alkoxysulfamoylanthranilic acids

PATENT ASSIGNEE(S): Farbwerke Hoechst A.-G.

SOURCE: 12 pp.

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 666766		19660112	BE	
DE 1220435			DE	
NL 6508664			NL	

PRIORITY APPLN. INFO.: DE 19640711

GI For diagram(s), see printed CA Issue.

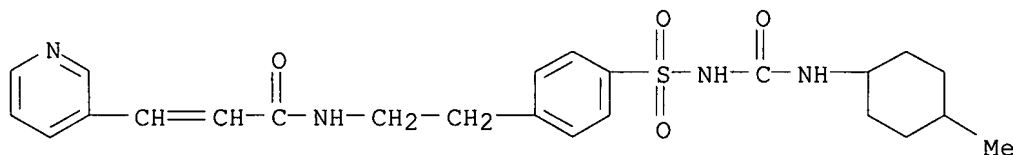
AB I are prepared Thus, a mixture of 28.2 g. 2,4,5-FC1 [H(MeO)N-O2S]C6H2CO2H and 58 g. furfurylamine is heated 2 hrs. at 100°, cooled to 6°, and added to 1 l.10% HOAc to give 87% 4-chloro-N-(2-furylmethyl)-5-methoxysulfamoylanthranilic acid, m. 188° (decomposition) (EtOH-water). Similarly prepared are the following I (X, R, m.p., and % yield given): Br, Me, 196-8° (decomposition) (EtOH-water), 83; Cl, Et, 185-6° (decomposition), 48; Cl, Pr 182° (decomposition), 44; Cl, iso-Pr, 198° (decomposition) (EtOH-ether), 84; Cl, Bu, 176-7° (decomposition) (EtOH-water), 89.

IT 95699-31-3

(Derived from data in the 7th Collective Formula Index (1962-1966))

RN 95699-31-3 HCAPLUS

CN Urea, 1-(4-methylcyclohexyl)-3-[[p-[2-[3-(3-pyridyl)acrylamido]ethyl]phenyl]sulfonyl]- (7CI) (CA INDEX NAME)



=> s hattori, t?/au and sasaki, t?/au and hasegawa, y?/au and obata, t?/au

4613 HATTORI, T?/AU

12191 SASAKI, T?/AU

4009 HASEGAWA, Y?/AU

937 OBATA, T?/AU

L10 1 HATTORI, T?/AU AND SASAKI, T?/AU AND HASEGAWA, Y?/AU AND OBATA, T?/AU

=> d l10, ibib abs hitstr, 1

L10 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:836850 HCAPLUS

Updated Search

10510053

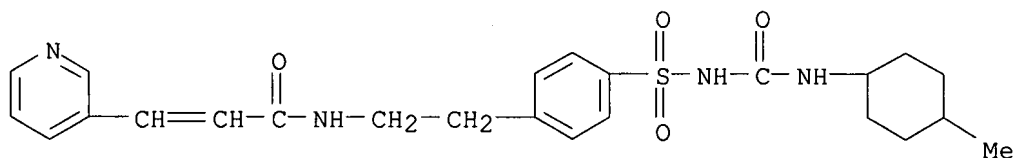
carboxamido)ethyl]benzenesulfonyl]-N'-cyclohexylurea, m. 192-4° (DMF-H<sub>2</sub>O), and the corresponding trans-N'-(4-methylcyclohexyl)urea, m. 127-9° (MeOH), and N-[4-(3,4-tetra-methylenethiophene - 2 - carboxamidomethyl)benzenesulfonyl]-N'-(4-methylcyclohexyl)urea, m. 192-3° (MeOH) (trans form) (starting benzenesulfonamide m. 174-5°); from 4-[β-(thiophene-3-hydroxyacetamido)ethyl]benzene sulfonamide, m. 203°: N-[4-[β-(thiophene-3-hydroxyacetamido)ethyl]benzenesulfonyl]-N'-cyclohexylurea, m. 148-9° (MeOH), and the corresponding trans-N'-(4-methylcyclohexyl)urea, m. 182-3° (MeOH), and N-[4-[β-(3-methoxyethoxythiophene - 2 - carboxamido)ethyl]benzenesulfonyl]-N'-(4-methylcyclohexyl)urea, m. 163-5° (MeOH) (trans form) (starting benzenesulfoxamide m. 160-2°); from the required substituted benzenesulfoxamide, m. 132-4°: N-[4-[β-(3-β-methoxyethoxythiophene-2-carboxamido)ethyl]benzenesulfonyl]-N'-cyclohexylurea, m. 135-7° (MeOH), and the corresponding trans-N'-(4-methylcyclohexyl)urea, m. 103-5° (MeOH), and N-[4-[β-(3-allyloxythiophene-2-carboxamido)ethyl]benzenesulfonyl]-N'-(4-methylcyclohexyl)urea, m. 135-6° (MeOH) (trans form) (starting benzenesulfoxamide m. 145-7°).

IT 95699-31-3

(Derived from data in the 7th Collective Formula Index (1962-1966))

RN 95699-31-3 HCAPLUS

CN Urea, 1-(4-methylcyclohexyl)-3-[[p-[2-[3-(3-pyridyl)acrylamido]ethyl]phenyl]sulfonyl]- (7CI) (CA INDEX NAME)



IT 6721-83-1P, Urea, 1-(4-methylcyclohexyl)-3-[[p-[2-[3-(3-pyridyl)acrylamido]ethyl]phenyl]sulfonyl]-, trans-

RL: PREP (Preparation)

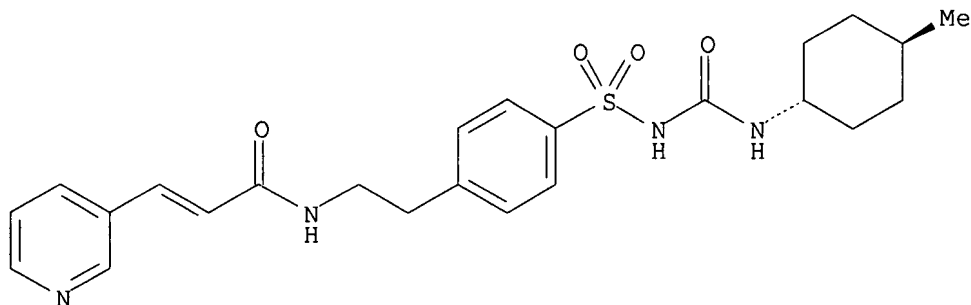
(preparation of)

RN 6721-83-1 HCAPLUS

CN Urea, 1-(4-methylcyclohexyl)-3-[[p-[2-[3-(3-pyridyl)acrylamido]ethyl]phenyl]sulfonyl]-, trans- (8CI) (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry unknown.

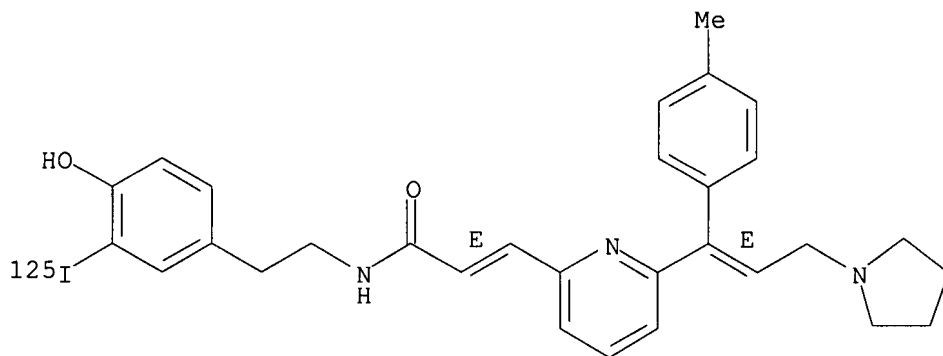


Updated Search

N'-butylurea, m. 171-3°, and N'-(4-isopropylcyclohexyl)urea, m. 190-2°. Starting with 4-( $\beta$ -furyl-2-propionamidoethyl)-benzenesulfonamide, m. 195-7°, (preparation given) are obtained: N-[4-( $\beta$ -furyl-2-propionamidoethyl)benzenesulfonyl]-N'-cyclohexylurea, m. 170-2° (EtOH-H<sub>2</sub>O); and the corresponding N'-(4-ethylcyclohexyl)urea, m. 141-3°, and N'-butylurea, m. 132-4°; from 4-( $\beta$ -furfurylideneacetamidoethyl)benzenesulfonamide, m. 240°, are prepared N-[4-( $\beta$ -furfurylideneacetamidoethyl)benzenesulfonyl]-N'-cyclohexylurea, m. 200°, and the corresponding N'-butylurea, m. 199°. Also is prepared N-[4-(5-chlorofuroylaminomethyl)benzenesulfonyl]-N'-butylurea, m. 161-2° (EtOH-H<sub>2</sub>O). Prepared as described for II, and starting with N-[4-( $\beta$ -furoylaminoethyl)benzenesulfonyl]carbamic acid Me ester, m. 182-4°, (prepared from 4-( $\beta$ -furoylaminoethyl)benzenesulfonamide, chloroformic acid Me ester, and K<sub>2</sub>CO<sub>3</sub>) is: N-[4-( $\beta$ -furoylaminoethyl)benzenesulfonyl]-N'-cyclohexylurea, m. 176-8° (EtOH-H<sub>2</sub>O). Also are prepared from [4-( $\beta$ -furoylaminoethyl)benzenesulfonyl]urea, m. 185-7°, N-[4-( $\beta$ -furoylaminoethyl)benzenesulfonyl]-N'-cyclohexylurea; from the appropriate N'-isobutylthiourea, m. 131-3°, N-[4-( $\beta$ -furoylaminoethyl)benzenesulfonyl]-N'-isobutylurea, m. 192-4° (Me-OH) (which is also prepared from the corresponding oily N'-isobutylisourea Me ether). Prepared according to the method used for I, and starting with 4-(pyridine-3-carboxamidomethyl)-benzenesulfonamide, m. 175°, is: N-[4-(pyridine-3-carboxamidomethyl)benzenesulfonyl]-N'-cyclohexylurea, m. 200-2° (EtOH-H<sub>2</sub>O). Similarly are prepared, starting with 4-( $\beta$ -pyridine-4-carboxamidoethyl)benzenesulfonamide, m. 239-41°: N-[4-( $\beta$ -pyridine-4-carboxamidoethyl)benzenesulfonyl]-N'-(4-methylcyclohexyl)urea, m. 187-8° (DMF-H<sub>2</sub>O), and the corresponding N'-(4-ethylcyclohexyl)urea, m. 207-8° (trans form); and starting with 4-( $\beta$ -pyridine-3-carboxamidoethyl)benzenesulfonamide, m. 205-6°: N-[4-( $\beta$ -pyridine-3-carboxamidoethyl)benzenesulfonyl]-N'-cyclohexylurea, m. 184-5° (DMF-H<sub>2</sub>O); and the corresponding N'-(4-methylcyclohexyl)urea, m. 123-4° (DMF-H<sub>2</sub>O), and N'-(4-ethylcyclohexyl)urea, m. 126-8° (decomposition) (MeOH) (trans form); and from 4-( $\beta$ -pyridine-3-acrylamidoethyl)benzenesulfonamide, m. 226-8°: trans-N-[4-( $\beta$ -pyridine-3-acrylamidoethyl)benzenesulfonyl]-N'-(4-methylcyclohexyl)urea, m. 194-6° (MeOH). Starting with the required substituted benzenesulfonamide, m. 176-8°, are prepared: N-[4-( $\beta$ -(thiophene-2-acetamido)ethyl)benzenesulfonyl]-N'-cyclohexylurea, m. 186° (DMF-H<sub>2</sub>O), and the corresponding trans-N'-(4-methylcyclohexyl)urea, m. 173-4° (DMF-MeOH). Starting with the appropriate substituted benzenesulfonamide, m. 183-5°, are prepared: N-[4-( $\beta$ -(3-phenyl-4-methylthiophene-2-carboxamido)ethyl)benzenesulfonyl]-N'-cyclohexylurea, m. 150-2° (MeOH) and the corresponding trans-N'-(4-methylcyclohexyl)urea, m. 136-8° (MeOH). Starting with 4-(3-methylthiophene-2-carboxamidomethyl)benzenesulfonamide, m. 153°, are prepared: N-[4-(3-methylthiophene-2-carboxamidomethyl)benzenesulfonyl]-N'-cyclohexylurea, m. 163-5° (MeOH), and the corresponding trans-N'-cyclohexylurea, m. 163-5° (MeOH), and the corresponding trans-N'-(4-methylcyclohexyl)-urea, m. 190-1° (MeOH). From the required substituted benzenesulfonamide, m. 132-4°, are prepared: N-[4-( $\beta$ -(N-methyl-3-methoxythiophene-2-carboxamido)ethyl)benzenesulfonyl]-N'-cyclohexylurea, m. 143-5° (MeOH), and the corresponding trans-N'-(4-methylcyclohexyl)urea, m. 159-61° (MeOH). Further are prepared, again using the appropriate substituted benzenesulfonamide, m. 173-4°: N-[4-( $\beta$ -(3,4-tetramethylenethiophene-2-

N'-butylurea, m. 173-5° (MeOH); and -N'-(4-methylcyclohexyl)urea, m. 190-2° (DMF-H<sub>2</sub>O); starting with 4-(β-3-ethoxythiophene-2-carboxamidoethyl)benzenesulfonamide, m. 177° were prepared N-[4-(β-3-ethoxythiophene-2-carboxamidoethyl)benzenesulfonyl]-N'-cyclooctylurea, m. 158-60° (DMF-MeOH); and the corresponding N'-cyclohexylurea, m. 174-5° (DMF-MeOH); -N'-butylurea, m. 146-7° (DMF-H<sub>2</sub>O); and -N'-(4-methylcyclohexyl)urea, m. 174-6° (DMF-H<sub>2</sub>O); starting with 4-(β-3,5-dimethylthiophene-2-carboxamidoethyl)benzenesulfonamide, m. 176-7°, were prepared N-[4-(β-3,5-dimethylthiophene-2-carboxamidoethyl)benzenesulfonyl]-N'-cyclohexylurea, m. 189-90° (DMF-H<sub>2</sub>O); and the corresponding N'-(4-methylcyclohexyl)urea, m. 173-5° (DMF-H<sub>2</sub>O); starting with 4-(β-3-methylthiophene-2-carboxamidoethyl)benzenesulfonamide, m. 198-200°, were obtained: N-[4-(β-3-methylthiophene-2-carboxamidoethyl)benzenesulfonyl]-N'-cyclooctylurea, m. 203-5° (DMF-H<sub>2</sub>O), and the corresponding -N'-cyclohexylurea, m. 183-4° (DMF-H<sub>2</sub>O); -N'-butylurea, m. 175-7° (DMF-H<sub>2</sub>O); and -N'-(4-methylcyclohexyl)urea, m. 201-3° (DMF-H<sub>2</sub>O) (trans form); and starting with 4-(β-3-chlorothiophene-2-carboxamidoethyl)benzenesulfonamide, m. 211-13°, were prepared: N-[4-(β-3-chlorothiophene-2-carboxamidoethyl)benzenesulfonyl]-N'-cyclohexylurea, m. 183-4° (DMF-H<sub>2</sub>O); and the corresponding N'-butylurea, m. 189-90° (MeOH); N'-(4-methylcyclohexyl)urea, m. 207-9° (DMF-H<sub>2</sub>O) (trans form); and N'-(4-ethylcyclohexyl)urea, m. 177-8° (MeOH) (trans form). A mixture of 11.5 g. N-[4-(β-3-benzyloxythiophene-2-carboxamidoethyl)benzenesulfonyl]urea, m. 109-10° (DMF-H<sub>2</sub>O), 300 ml. PhMe, 30 ml. glycol monomethyl ether, 1.65 g. AcOH, and 2.8 g. cyclohexylamine is refluxed 5 hrs. with stirring to yield the corresponding N'-cyclohexylurea, m. 167-8° (DMF-H<sub>2</sub>O). To a suspension of 23.8 g. N-[4-(β-3-benzyloxythiophene-2-carboxamidoethyl)benzenesulfonyl]methylethane, m. 163-4° (EtOH), in 50 ml. xylene is added dropwise with stirring at 70° 5.8 g. 4-methylcyclohexylamine, and the mixture is heated 30 min. at 130° to yield N-[4-(β-3-benzyloxythiophene-2-carboxamidoethyl)benzenesulfonyl]-N'-(4-methylcyclohexyl)urea (II), m. 153-5° (MeOH). A solution of 1.35 g. N-[4-(β-thiophene-2-carboxamidoethyl)benzenesulfonyl]-N'-cyclohexylthiourea, m. 181-3°, in 3 ml. N NaOH and 30 ml. H<sub>2</sub>O is added to a mixture of 0.81 g. HgCl<sub>2</sub>, 15 ml. H<sub>2</sub>O, and 3 ml. 2N NaOH. The mixture is stirred 5 min. at 40° and worked up to yield N-[4-(β-thiophene-2-carboxamidoethyl)benzenesulfonyl]-N'-cyclohexylurea, m. 191-3° (MeOH). Oily N-[4-(β-thiophene-2-carboxamidoethyl)benzenesulfonyl]-N'-cyclohexylisourea Me ether (1.2 g.) (prepared from the corresponding N'-cyclohexylthiourea with HgO in MeOH) is heated 10 min. on a steam bath with 15 ml. concentrated HCl to yield N-[4-(β-thiophene-2-carboxamidoethyl)benzenesulfonyl]-N'-cyclohexylurea, m. 191-3° (MeOH). Starting with the required substituted benzenesulfonamide, m. 228-30°, are prepared (cf. I) N-[4-(β-furoylaminoethyl)benzenesulfonyl]-N'-cyclohexylurea, m. 176-8° (EtOH-H<sub>2</sub>O); and the corresponding N'-(4-ethylcyclohexyl)urea, m. 196-8°; N'-butylurea, m. 201-3°; N'-(4-methylcyclohexyl)urea, m. 184-6°; N'-(4-isopropylcyclohexyl)urea, m. 209-11°; and N'-(3-cyclohexenyl)urea, m. 166-8°; from the appropriate substituted benzenesulfonamide, m. 192-4°, were prepared N-[4-(β-furoylaminoethyl)benzenesulfonyl]-N'-cyclohexylurea, m. 196-8°, and the corresponding N'-(4-ethylcyclohexyl)urea, m. 179-81°; and from 4-furoylaminomethylbenzenesulfonamide, m. 218-19° were prepared N-(4-furoylaminomethylbenzenesulfonyl)-N'-cyclohexylurea, m. 173-5° (EtOH), and the corresponding





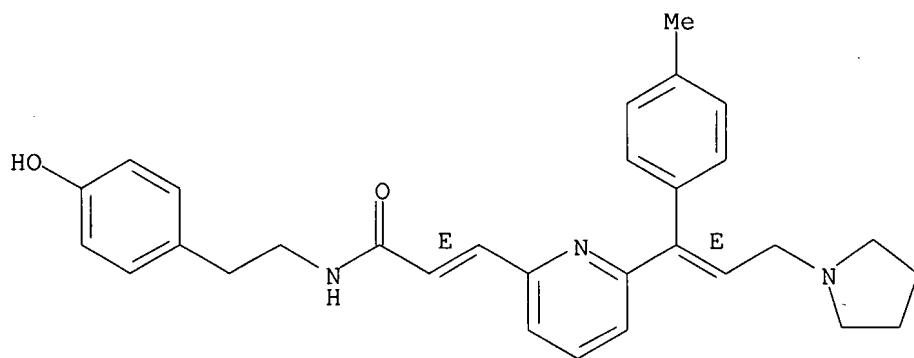
L6 ANSWER 14 OF 15 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1966:429396 HCAPLUS  
 DOCUMENT NUMBER: 65:29396  
 ORIGINAL REFERENCE NO.: 65:5442b-h,5443a-h,5444a  
 TITLE: Substituted benzenesulfonylurea derivatives  
 PATENT ASSIGNEE(S): Farbwerke Hoechst AG  
 SOURCE: 23 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Unavailable  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 6509697		19660202	NL 1965-9697	19650727
			DE	19640801

PRIORITY APPLN. INFO.:

AB The title compds., useful as long-acting sugar level lowering agents are prepared by known methods. Thus, to a solution of 15.5 g. 4-[ $\beta$ -(thiophene-2-carboxamido)ethyl]benzenesulfonamide, m. 238°, (prepared from 4-( $\beta$ -aminoethyl)benzenesulfonamide and thiophene-2-carboxylic acid chloride) in 2 g. NaOH, H<sub>2</sub>O, and 200 ml. acetone is added dropwise with stirring at room temperature 6.5 g. cyclohexyl isocyanate, and the mixture stirred 2 hrs. to yield N-[4- $\beta$ -thiophene-2-carboxamidoethyl]benzenesulfonyl] - N'-cyclohexylurea (I), m. 194-6° (EtOH-H<sub>2</sub>O). Similarly are prepared the following N-[4-( $\beta$ -thiophene-2-carboxamidoethyl)benzenesulfonyl]-N'-substituted ureas (substituent and m.p. given): Bu, 213-15°; 4-ethylcyclohexyl, 192-4°; 4-methylcyclohexyl, 181-3°; 3-cyclohexenyl, 181-3°; and starting with 4-(thiophene-2-carboxamidomethyl)benzenesulfonamide, m. 219-21°, the following N-[4-(thiophene-2-carboxamidomethyl)benzenesulfonyl] - N'-substituted ureas (substituent and m.p. given): Bu, 155-7°; cyclohexyl, 187-8°; 4-ethylcyclohexyl, 196-8°; and from 4( $\beta$ -5-chlorothiophene-2-carboxamidoethyl)benzenesulfonamide, m. 280°, are prepared the corresponding N-[4-( $\beta$ -5-chlorothiophene-2-carboxamidoethyl)benzenesulfonyl] - N'-cyclohexylurea, m. 189-91° (EtOH-H<sub>2</sub>O); -N'-butylurea, m. 188-90°; -N'-(4-methylcyclohexyl)urea, m. 190-2°; -N'-(4-ethylcyclohexyl)-urea, m. 191-3°; and -N'-(3-cyclohexenyl)urea, m. 186-8°. Starting with 4-( $\beta$ -3-methoxythiophene-2-carboxamidoethyl)benzenesulfonamide, m. 201-3°, [dimethylformamide (DMF)H<sub>2</sub>O] were obtained: N-[4-( $\beta$ -3-methoxythiophene-2-carboxamidoethyl)benzenesulfonyl]-N'-cyclohexylurea, m. 193-4° (DMF-H<sub>2</sub>O); and the corresponding

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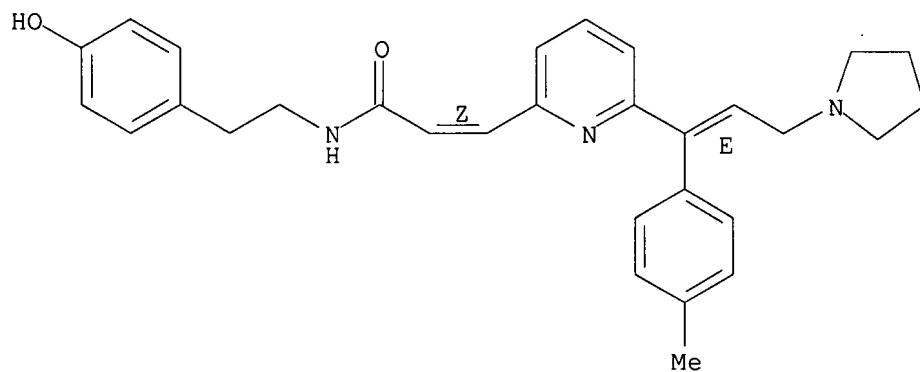
IT 93752-50-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 93752-50-2 HCAPLUS

CN 2-Propenamide, N-[2-(4-hydroxyphenyl)ethyl]-3-[6-[1-(4-methylphenyl)-3-(1-pyrrolidinyl)-1-propenyl]-2-pyridinyl]-, (Z,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT 93775-28-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, for triprolidine radioimmunoassay in blood of humans and laboratory animals)

RN 93775-28-1 HCAPLUS

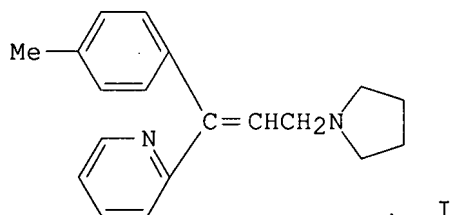
CN 2-Propenamide, N-[2-[4-hydroxy-3-(iodo-125I)phenyl]ethyl]-3-[6-[1-(4-methylphenyl)-3-(1-pyrrolidinyl)-1-propenyl]-2-pyridinyl]-, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Updated Search

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GI

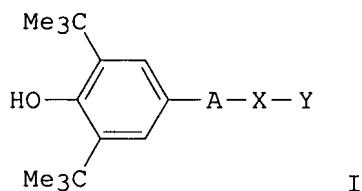


- AB A hapten derivative of triprolidine (I) [486-12-4] bearing an acrylic acid side chain ortho to the pyridine ring N atom, was synthesized and coupled to bovine serum albumin. Immunization of New Zealand White rabbits with the resulting drug-protein conjugate resulted in the production of antisera capable of binding of radioiodinated tyramine conjugate of the triprolidine hapten derivative at high antiserum dilns. (1:70,000-1:150,000). These antisera were used to develop a radioimmunoassay (RIA) for triprolidine in human plasma with a sensitivity limit of 0.1 ng/mL (0.01 ng of actual mass). The known hydroxymethyl and carboxyl metabolites of triprolidine cross-reacted weakly (<2 and <0.05%, resp.) with this antiserum. The RIA could be used for the direct anal. of triprolidine in human and rabbit plasma but not for rat or dog plasma, presumably due to the presence of other interfering substances (possibly metabolites). The validity of the RIA procedure in human plasma was demonstrated by comparative anal. of a number of samples by quant. TLC ( $r = 0.985$ , slope = 1.076). The assay was employed to describe the pharmacokinetics of triprolidine in the rabbit ( $t_{1/2,\beta} = 1.7$  h). The assay had adequate sensitivity to detect low circulating drug concns. in humans after therapeutic oral doses and also substantiated previous disposition expts. with triprolidine in humans ( $t_{1/2,\beta} = 2.27$  h). TLC anal. demonstrated that the absolute oral bioavailability of triprolidine (1 mg/kg dose) in the dog was low (4%). A comparison of triprolidine pharmacokinetic parameters in dogs, rabbits, rats, and humans revealed considerable similarity in elimination characteristics in these species.
- IT 93752-49-9P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and radioiodination of)
- RN 93752-49-9 HCAPLUS
- CN 2-Propenamide, N-[2-(4-hydroxyphenyl)ethyl]-3-[6-[1-(4-methylphenyl)-3-(1-pyrrolidinyl)-1-propenyl]-2-pyridinyl]-, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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AB Over 160 title compds. I [A = bond, C1-5 alkylene; X = NRCO, NRCONR; R = H, C1-4 alkyl; Y = (un)branched (un)saturated C1-6 hydrocarbon chain [optionally substituted by (un)substituted (hetero)aryl, aryloxy, or arylthio], C3-6 cycloalkyl, (un)substituted aryl; Y can only = unsubstituted Ph when A  $\neq$  CH<sub>2</sub> or CH<sub>2</sub>CH<sub>2</sub>] were prepared as pharmacol. antioxidants, ACAT-inhibiting hypolipemics, antiinflammatories, cytoprotectives, and antiasthmatics (no data). For example, reaction of 3,5-di-tert-butyl-4-hydroxybenzylamine-HCl with 4-ClC<sub>6</sub>H<sub>4</sub>CH:CHCOCl in PhMe containing Et<sub>3</sub>N gave title compound I (A = CH<sub>2</sub>, X = NHCO, Y = CH:CHC<sub>6</sub>H<sub>4</sub>Cl-4).

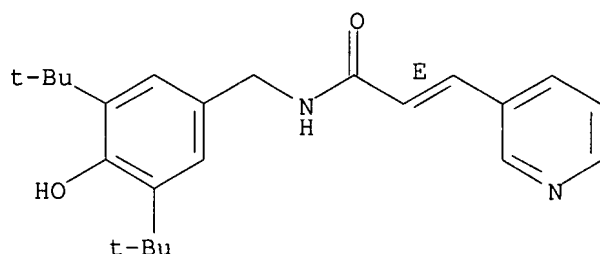
IT 148015-39-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as antioxidant and hypolipidemic)

RN 148015-39-8 HCAPLUS

CN 2-Propenamide, N-[[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-3-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L6 ANSWER 13 OF 15 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1985:72255 HCAPLUS

DOCUMENT NUMBER: 102:72255

TITLE: Triprolidine radioimmunoassay: disposition in animals and humans

AUTHOR(S): Findlay, John W. A.; Butz, Robert F.; Coker, Geoffrey G.; DeAngelis, Richard L.; Welch, Richard M.

CORPORATE SOURCE: Dep. Med. Biochem., Wellcome Res. Lab., Research Triangle Park, NC, 27709, USA

SOURCE: Journal of Pharmaceutical Sciences (1984), 73(10), 1339-44

CODEN: JPMSAE; ISSN: 0022-3549

DOCUMENT TYPE: Journal

LANGUAGE: English

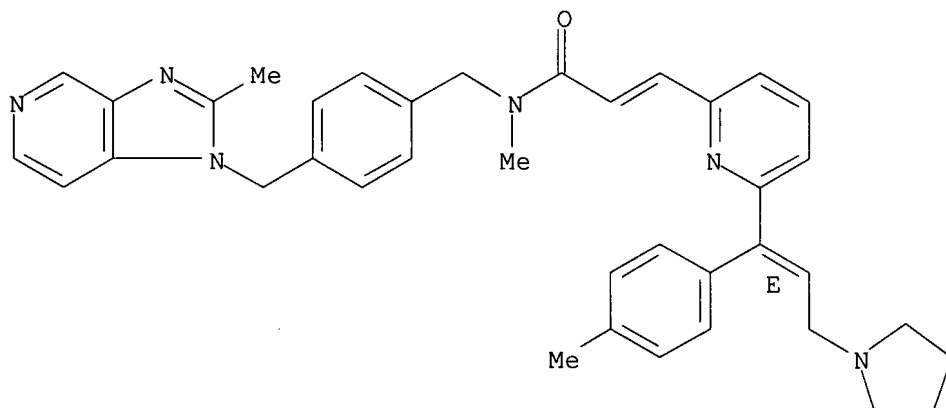
Updated Search

10510053

RN 184474-02-0 HCAPLUS

CN 2-Propenamide, N-methyl-N-[[4-[(2-methyl-1H-imidazo[4,5-c]pyridin-1-yl)methyl]phenyl)methyl]-3-[6-[1-(4-methylphenyl)-3-(1-pyrrolidinyl)-1-propenyl]-2-pyridinyl]-, (?E)- (9CI) (CA INDEX NAME)

Double bond geometry as described by E or Z.



L6 ANSWER 12 OF 15 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1993:427842 HCAPLUS

DOCUMENT NUMBER: 119:27842

TITLE: 3,5-Di-tert-butyl-4-hydroxyphenyl derivatives, useful as antioxidants and antiatherosclerotics, and process for their preparation

INVENTOR(S): Dreckmann-Behrendt, Bruno; Heck, Reinhard; Dresel, Alois; Michel, Helmut

PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., Germany

SOURCE: Eur. Pat. Appl., 29 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 527458	A1	19930217	EP 1992-113574	19920810
R: PT				
DE 4126662	A1	19930218	DE 1991-4126662	19910813
WO 9304035	A1	19930304	WO 1992-EP1821	19920810
W: AU, BG, BR, CA, CS, FI, HU, JP, KR, NO, PL, RO, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE				
AU 9224186	A	19930316	AU 1992-24186	19920810
EP 600949	A1	19940615	EP 1992-917133	19920810
EP 600949	B1	19960110		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, SE				
JP 06510030	T	19941110	JP 1992-504071	19920810
AT 132849	T	19960115	AT 1992-917133	19920810
ZA 9206049	A	19940214	ZA 1992-6049	19920812
PRIORITY APPLN. INFO.:			DE 1991-4126662	A 19910813
			WO 1992-EP1821	A 19920810

OTHER SOURCE(S): MARPAT 119:27842

Updated Search

10510053

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9633997	A1	19961031	WO 1996-GB680	19960322
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PRIORITY APPLN. INFO.:			GB 1995-8748	A 19950428
OTHER SOURCE(S):		MARPAT 126:47223		
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

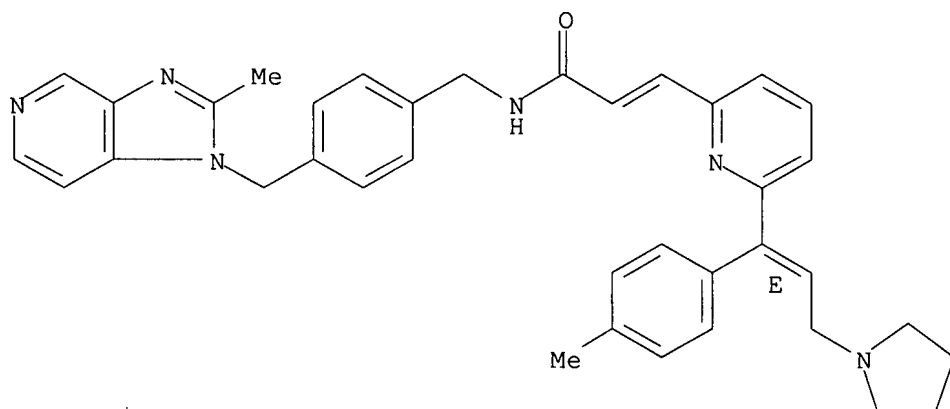
AB Title compds. [I; A = N, CR1; R1 = H, alkyl, alkenyl, halo, CN, CO<sub>2</sub>H, CONH<sub>2</sub>, CHO, CF<sub>3</sub>, alkoxy, NH<sub>2</sub>, NO<sub>2</sub>, etc.; R = H, alkyl, alkenyl, halo, alkoxy; R<sub>2</sub> = H, alkyl, alkenyl, alkoxy, alkylthio, cyclopropyl, hydroxyalkyl, dialkylamino, CF<sub>3</sub>; R<sub>3</sub> = H, alkyl, alkenyl, alkynyl, alkoxy, alkoxy-carbonyl, PhS, etc.; R<sub>4</sub>, R<sub>5</sub> = H, alkyl; R<sub>4</sub>R<sub>5</sub>C = 3-8 membered carbocyclyl, heterocyclyl; W = N, CH; X = O, S, imino, etc.; Y = CO, SO<sub>2</sub>; Z = bond, bivalent alkyl, alkenyl, alkynyl; B = H, OH, D = H; or BD = C:C; R<sub>6</sub> = H, halo, OH, cyano, alkyl, CF<sub>3</sub>, alkoxy; R<sub>7</sub>, R<sub>8</sub> = H, alkyl; R<sub>7</sub>R<sub>8</sub>N = 4-7 membered heterocyclyl; n, m = 0, 1], were prepared Thus, 4-[1H-2-methylimidazo[4,5-c]pyridin-1-ylmethyl]benzyl alc. (preparation given) was stirred with 3-[6-[3-pyrrolidin-1-yl-1-(4-tolyl)prop-(E)-enyl]pyridin-2-yl]acrylic acid and N-(3-dimethylaminopropyl)-N'-ethylcarbodiimide hydrochloride and 4-dimethylaminopyridine in CH<sub>2</sub>Cl<sub>2</sub> for 72 h to give 67% title compound (II). II inhibited histamine-induced bronchoconstriction in guinea pigs with ED<sub>50</sub> = 0.5 mg/kg orally.

IT 184473-97-0P 184474-02-0P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of imidazopyridine and benzimidazole derivs. as dual histamine H<sub>1</sub> and platelet activating factor antagonists)

RN 184473-97-0 HCAPLUS

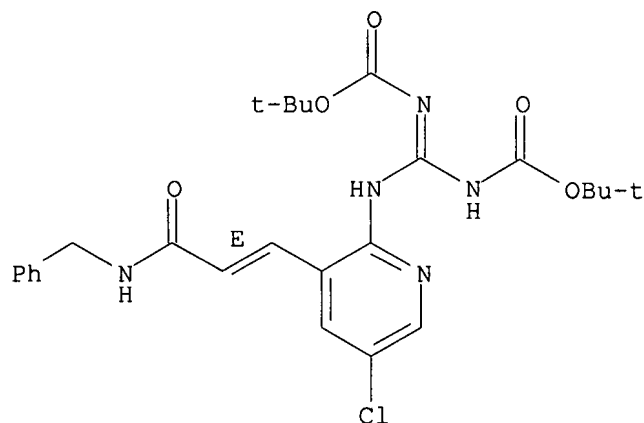
CN 2-Propenamide, N-[[4-[(2-methyl-1H-imidazo[4,5-c]pyridin-1-yl)methyl]phenyl)methyl]-3-[6-[1-(4-methylphenyl)-3-(1-pyrrolidinyl)-1-propenyl]-2-pyridinyl]-, (?E)- (9CI) (CA INDEX NAME)

Double bond geometry as described by E or Z.



Updated Search

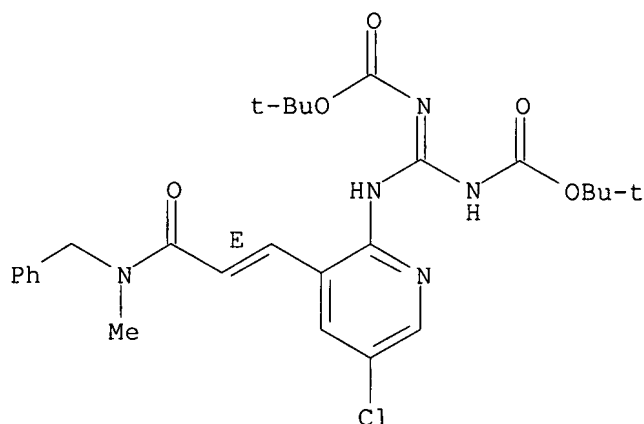
10510053



RN 301542-73-4 HCAPLUS

CN Carbamic acid, [[5-chloro-3-[(1E)-3-[methyl(phenylmethyl)amino]-3-oxo-1-propenyl]-2-pyridinyl]carbonimidoyl]bis-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L6 ANSWER 11 OF 15 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:2422 HCAPLUS

DOCUMENT NUMBER: 126:47223

TITLE: Preparation of imidazopyridine and benzimidazole derivatives as dual histamine H1 and platelet activating factor antagonists.

INVENTOR(S): Martin, Fiona Mitchell; Floyd, Christopher David; Spavold, Zoe Marie; Ayscough, Andrew Paul; Whittaker, Mark

PATENT ASSIGNEE(S): British Biotech Pharmaceuticals Limited, UK; Martin, Fiona Mitchell; Floyd, Christopher David; Spavold, Zoe Marie; Ayscough, Andrew Paul; Whittaker, Mark

SOURCE: PCT Int. Appl., 55 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

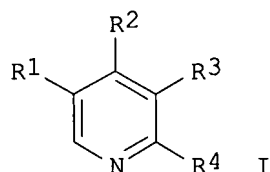
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

Updated Search

10510053

PT 1044967	T	20041029	PT 2000-302778	20000331
ES 2221829	T3	20050116	ES 2000-302778	20000331
JP 2000297074	A	20001024	JP 2000-104725	20000406
JP 3521347	B2	20040419		
BR 2000001569	A	20010821	BR 2000-1569	20000407
US 6583162	B1	20030624	US 2000-546410	20000410
CA 2305047	A1	20001013	CA 2000-2305047	20000412
MX 200003589	A	20020201	MX 2000-3589	20000412
US 2003203914	A1	20031030	US 2003-386888	20030312
US 6673789	B2	20040106		
PRIORITY APPLN. INFO.:			GB 1999-8410	A 19990413
			US 2000-546410	A3 20000410
OTHER SOURCE(S):		MARPAT 133:296381		
GI				



AB Title compds. [I; R1 = H, halo, cyano, alkyl, haloalkyl, alkoxy, haloalkoxy; R2, R3 = H, halo, (substituted) alkyl, aryl, carboxyalkyl, CH:CHCO<sub>2</sub>H, etc.; R4 = N:C(NH<sub>2</sub>)<sub>2</sub>, NHC(:NH)NH<sub>2</sub>], were prepared as urokinase inhibitors (no data). Thus, 2-amino-5-picoline and Et<sub>3</sub>N in CH<sub>2</sub>Cl<sub>2</sub> at 0° were treated with 1,3-bis(tert-butoxycarbonyl)-2-methyl-2-thiopseudourea and HgCl<sub>2</sub> followed by stirring at room temperature for 64 h to give tert-Bu N-[(tert-butoxycarbonyl)amino][(5-methyl-2-pyridinyl)imino]methylcarbamate. This was stirred with CF<sub>3</sub>CO<sub>2</sub>H to give N''-(5-methyl-2-pyridinyl)guanidine.

IT 301542-71-2P 301542-73-4P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of 2-pyridinylguanidines as urokinase inhibitors)

RN 301542-71-2 HCAPLUS

CN Carbamic acid, [[5-chloro-3-[(1E)-3-oxo-3-[(phenylmethyl)amino]-1-propenyl]-2-pyridinyl]carbonimidoyl]bis-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

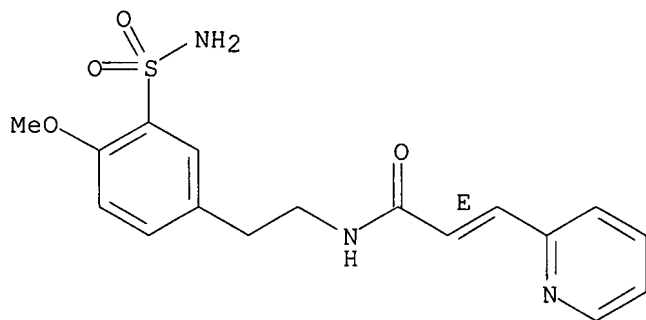
Updated Search



10510053

pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

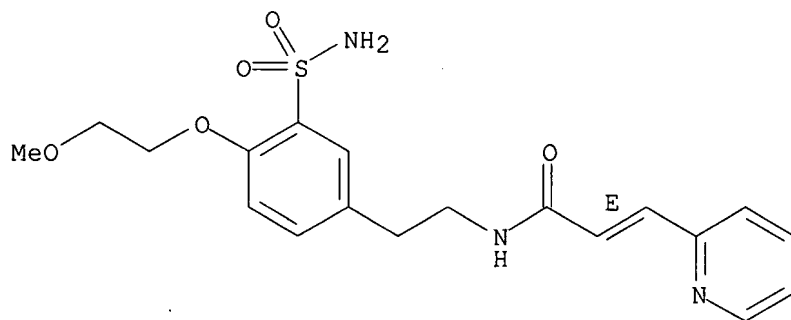
Double bond geometry as shown.



RN 420137-83-3 HCAPLUS

CN 2-Propenamide, N-[2-[3-(aminosulfonyl)-4-(2-methoxyethoxy)phenyl]ethyl]-3-(2-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L6 ANSWER 10 OF 15 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:741025 HCAPLUS

DOCUMENT NUMBER: 133:296381

TITLE: Preparation of 2-pyridinylguanidines as urokinase inhibitors.

INVENTOR(S): Barber, Christopher Gordon; Dickinson, Roger Peter

PATENT ASSIGNEE(S): Pfizer Inc., USA; Pfizer Ltd.

SOURCE: Eur. Pat. Appl., 28 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

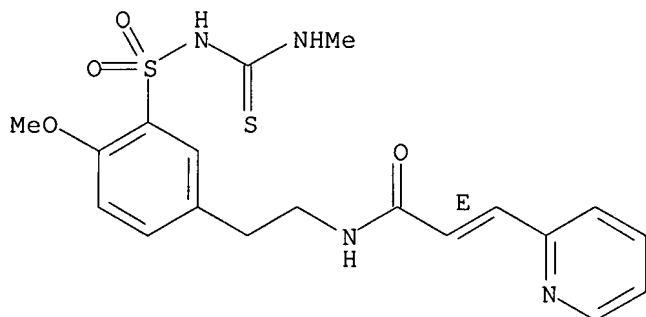
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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EP 1044967	A2	20001018	EP 2000-302778	20000331
EP 1044967	A3	20010207		
EP 1044967	B1	20040811		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AT 273280	T	20040815	AT 2000-302778	20000331

Updated Search

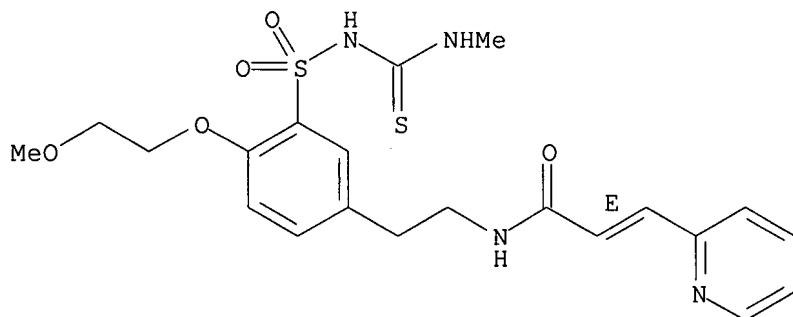
10510053



RN 420137-52-6 HCAPLUS

CN 2-Propenamide, N-[2-[4-(2-methoxyethoxy)-3-[[[(methylamino)thioxomethyl]amino]sulfonyl]phenyl]ethyl]-3-(2-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

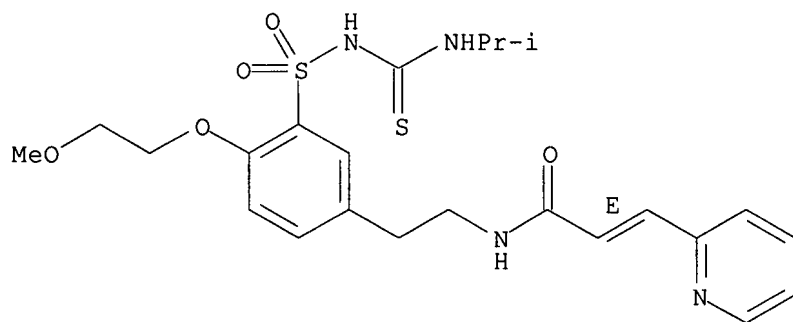
Double bond geometry as shown.



RN 420137-53-7 HCAPLUS

CN 2-Propenamide, N-[2-[4-(2-methoxyethoxy)-3-[[[(1-methylethyl)amino]thioxomethyl]amino]sulfonyl]phenyl]ethyl]-3-(2-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT 420137-82-2P 420137-83-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of heteroarylacryloylaminoalkyl benzenesulfonamides as cardiovascular agents)

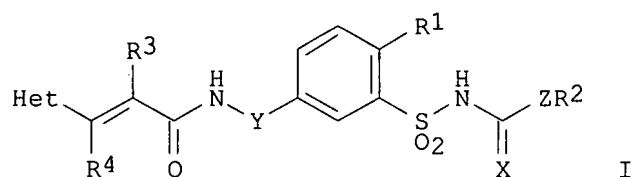
RN 420137-82-2 HCAPLUS

CN 2-Propenamide, N-[2-[3-(aminosulfonyl)-4-methoxyphenyl]ethyl]-3-(2-

Updated Search

10510053

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,  
PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,  
UZ, VN, YU, ZA, ZW  
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
AU 200223631 A 20020515 AU 2002-23631 20011020  
EP 1335902 A1 20030820 EP 2001-992700 20011020  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
JP 2004513114 T 20040430 JP 2002-539325 20011020  
US 2002123495 A1 20020905 US 2001-985359 20011102  
US 6472413 B2 20021029  
MX 2003PA03778 A 20030728 MX 2003-PA3778 20030429  
PRIORITY APPLN. INFO.: DE 2000-10054482 A 20001103  
WO 2001-EP12142 W 20011020  
OTHER SOURCE(S): CASREACT 136:355159; MARPAT 136:355159  
GI



AB Title compds. [I; R1 = halo, (substituted) alkyl, alkoxy, alkenyl, alkenyloxy, alkynyl, Ph, heteroaryl, etc.; R2-R5 = H, alkyl; Het = (substituted) 5-6 membered heteroaryl; X = O, S; Y = [C(R5)2]n; Z = NH, O; m = 0-2; n = 1-4], were prepared I have an inhibiting effect on ATP sensitive potassium channels in heart muscle and/or the vagal nerve and are suitable for the treatment of coronary heart disease, arrhythmia, heart failure, cardiomyopathy, or for the prevention of sudden heart death. Thus, 5-[2-[trans-3-(2-thienyl)acryloylamino]ethyl]-2-methoxybenzolsulfonamide (preparation given) was stirred with KOCMe3 in DMF; MeNCS in DMF was added and the mixture was stirred 1 h at 80° to give 1-[5-[2-[trans-3-(2-thienyl)acryloylamino]ethyl]-2-methoxyphenylsulfonyl]-3-methylthiourea. The latter at 2  $\mu$ M increased hypoxia-shortened APD90 by 33% in guinea pig papillary muscle.

IT 420137-51-5P 420137-52-6P 420137-53-7P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of heteroarylacryloylaminoalkyl benzenesulfonamides as cardiovascular agents)

RN 420137-51-5 HCAPLUS  
CN 2-Propenamide, N-[2-[4-methoxy-3-[[[(methylamino)thioxomethyl]amino]sulfonyl]phenyl]ethyl]-3-(2-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Updated Search

10510053

or (un)substituted alkyl; X = O or S; A and B = independently H, OH, alkoxy, or alkylthio; or A and B together form oxo, thioxo, or (un)substituted imino, etc.; n = 1-3] or pharmaceutically acceptable salts thereof are prepared as phosphodiesterase IV inhibitors. For example, 4-(methoxymethoxy)phenethylamine was reacted with cyanoacetic acid in DMF in the presence of diethylphosphoryl cyanide and Et<sub>3</sub>N to give 2-cyano-N-(4-methoxymethoxyphenethyl)acetamide (45%). The acetamide obtained was treated with 3-pyridinecarboxaldehyde in ethanol in the presence of a little amount of piperidine to afford I (64%). The title compds. showed inhibitory activity of 43 to 86  $\mu$ M against human phosphodiesterase IV.

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file caold

COST IN U.S. DOLLARS

SINCE FILE TOTAL

ENTRY SESSION

FULL ESTIMATED COST

100.22 276.13

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL

ENTRY SESSION

CA SUBSCRIBER PRICE

-14.04 -14.04

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FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

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.. (FILE 'HOME' ENTERED AT 19:12:07 ON 11 SEP 2007)

FILE 'REGISTRY' ENTERED AT 19:12:38 ON 11 SEP 2007

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L3 299 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 19:18:04 ON 11 SEP 2007

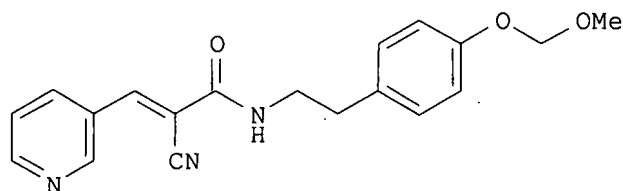
L4 17 S L3

.. S L4 AND NATIONAL, 1977/1978

10510053

DOCUMENT NUMBER: 140:59516  
 TITLE: Preparation of pyridylacrylamides as phosphodiesterase  
 IV inhibitors  
 INVENTOR(S): Hattori, Tomohisa; Sasaki, Toshinobu  
 ; Hasegawa, Yoshihiro; Obata,  
 Tatsuhiro  
 PATENT ASSIGNEE(S): Tsumura & Co., Japan  
 SOURCE: PCT Int. Appl., 63 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003086396	A1	20031023	WO 2003-JP4227	20030402
WO 2003086396	A9	20031224		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG CA 2481178 A1 20031023 CA 2003-2481178 20030402 AU 2003236340 A1 20031027 AU 2003-236340 20030402 BR 2003008935 A 20050104 BR 2003-8935 20030402 EP 1495757 A1 20050112 EP 2003-746165 20030402 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK CN 1655783 A 20050817 CN 2003-812237 20030402 MX 2004PA09580 A 20050527 MX 2004-PA9580 20041001 IN 2004CN02447 A 20070831 IN 2004-CN2447 20041028 US 2005187264 A1 20050825 US 2005-510053 20050412 PRIORITY APPLN. INFO.: JP 2002-99491 A 20020402 WO 2003-JP4227 W 20030402 OTHER SOURCE(S): MARPAT 140:59516 GI				



AB The title compds. with general formula of Ar1-C(R1)=C(R2)-C(=X)-N(R3)-  
 (CH2)n-1-C(A)(B)-Ar2 [wherein Ar1 = (un)substituted Py; Ar2 = substituted  
 Ph; R1 = H, alkyl, or aryl; R2 = H, alkyl, CN, or alkoxy carbonyl; R3 = H

Updated Search

10510053

or (un)substituted alkyl; X = O or S; A and B = independently H, OH, alkoxy, or alkylthio; or A and B together form oxo, thioxo, or (un)substituted imino, etc.; n = 1-3] or pharmaceutically acceptable salts thereof are prepared as phosphodiesterase IV inhibitors. For example, 4-(methoxymethoxy)phenethylamine was reacted with cyanoacetic acid in DMF in the presence of diethylphosphoryl cyanide and Et<sub>3</sub>N to give 2-cyano-N-(4-methoxymethoxyphenethyl)acetamide (45%). The acetamide obtained was treated with 3-pyridinecarboxaldehyde in ethanol in the presence of a little amount of piperidine to afford I (64%). The title compds. showed inhibitory activity of 43 to 86  $\mu$ M against human phosphodiesterase IV.

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file caold

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
100.22	276.13

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-14.04	-14.04

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FILE LAST UPDATED: 01 May 1997 (19970501/UP)

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(FILE 'HOME' ENTERED AT 19:12:07 ON 11 SEP 2007)

FILE 'REGISTRY' ENTERED AT 19:12:38 ON 11 SEP 2007

L1 STRUCTURE UPLOADED

L2 15 S L1

L3 299 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 19:18:04 ON 11 SEP 2007

L4 17 S L3

L5 2 S L4 AND HATTORI, T?/AU

L6 15 S L4 NOT L5

L7 0 S L6 AND SASAKI, T?/AU

Updated Search

10510053

L8 0 S L6 AND HASEGAWA, Y?/AU  
L9 0 S L6 AND OBATA, T?/AU  
L10 1 S HATTORI, T?/AU AND SASAKI, T?/AU AND HASEGAWA, Y?/AU AND OBAT

FILE 'CAOLD' ENTERED AT 19:19:51 ON 11 SEP 2007

=> s l3

L11 1 L3

=> d l11, all, 1

L11 ANSWER 1 OF 1 CAOLD COPYRIGHT 2007 ACS on STN

AN CA65:5442b CAOLD

TI benzenesulfonylureas (substituted)

PA Farbwerke Hoechst A.-G.

DT Patent

PATENT NO.	KIND	DATE
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PI NL 6509697					
IT 6576-10-9	6576-11-0	6576-12-1	6576-13-2	6576-14-3	
6576-15-4	6576-16-5	6576-17-6	6576-18-7	6576-19-8	6576-20-1
6576-21-2	6576-22-3	6576-23-4	6658-76-0	6658-77-1	6658-78-2
6658-79-3	6658-84-0	6658-85-1	6658-86-2	6658-87-3	6658-88-4
6658-89-5	6658-90-8	6658-91-9	6658-92-0	6658-93-1	6658-94-2
6658-95-3	6658-96-4	6658-97-5	6658-98-6	6659-07-0	6659-08-1
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6715-37-3	6721-82-0	6721-83-1	6751-06-0	6751-07-1	
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91762-49-1	93427-50-0	95138-74-2	95138-80-0	95699-31-3	
96967-35-0	100154-77-6	100628-75-9	100658-79-5	101520-32-5	
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=> FIL REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-14.04

FILE 'REGISTRY' ENTERED AT 19:20:06 ON 11 SEP 2007

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STRUCTURE FILE UPDATES: 10 SEP 2007 HIGHEST RN 946567-47-1  
DICTIONARY FILE UPDATES: 10 SEP 2007 HIGHEST RN 946567-47-1

Updated Search

10510053

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

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REGISTRY includes numerically searchable data for experimental and  
predicted properties as well as tags indicating availability of  
experimental property data in the original document. For information  
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stdoc/properties.html>

=> S 6721-83-1/RN

L12 1 6721-83-1/RN

=> SET NOTICE 1 DISPLAY

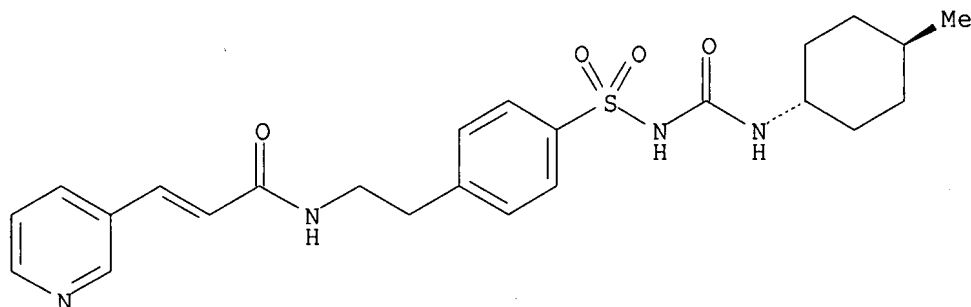
NOTICE SET TO 1 U.S. DOLLAR FOR DISPLAY COMMAND  
SET COMMAND COMPLETED

=> D L12 SQIDE 1-

YOU HAVE REQUESTED DATA FROM 1 ANSWERS - CONTINUE? Y/(N):y  
THE ESTIMATED COST FOR THIS REQUEST IS 6.55 U.S. DOLLARS  
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L12 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2007 ACS on STN  
RN 6721-83-1 REGISTRY  
CN Urea, 1-(4-methylcyclohexyl)-3-[[p-[2-[3-(3-pyridyl)acrylamido]ethyl]phenyl]sulfonyl]-, trans- (8CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C24 H30 N4 O4 S  
LC STN Files: BEILSTEIN\*, CA, CAOLD, CAPLUS  
(\*File contains numerically searchable property data)  
DT.CA Caplus document type: Patent  
RL.P Roles from patents: PREP (Preparation)

Relative stereochemistry.  
Double bond geometry unknown.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

Updated Search



10510053

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)  
1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

=> SET NOTICE LOGIN DISPLAY

NOTICE SET TO OFF FOR DISPLAY COMMAND  
SET COMMAND COMPLETED

=>

=> FIL REGISTRY

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	2.40	279.67
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-14.04

FILE 'REGISTRY' ENTERED AT 19:20:20 ON 11 SEP 2007  
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STRUCTURE FILE UPDATES: 10 SEP 2007 HIGHEST RN 946567-47-1  
DICTIONARY FILE UPDATES: 10 SEP 2007 HIGHEST RN 946567-47-1

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TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

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conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and  
predicted properties as well as tags indicating availability of  
experimental property data in the original document. For information  
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=> S 95699-31-3/RN

L13 1 95699-31-3/RN

=> SET NOTICE 1 DISPLAY

NOTICE SET TO 1 U.S. DOLLAR FOR DISPLAY COMMAND  
SET COMMAND COMPLETED

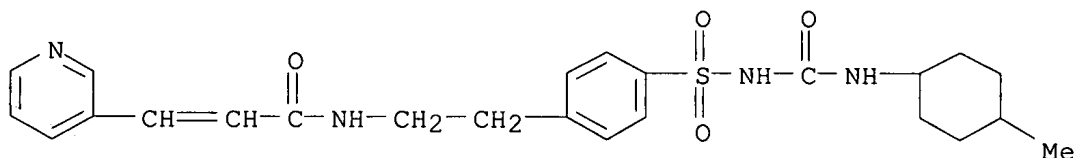
=> D L13 SQIDE 1-

Updated Search

10510053

YOU HAVE REQUESTED DATA FROM 1 ANSWERS - CONTINUE? Y/(N):y  
THE ESTIMATED COST FOR THIS REQUEST IS 6.55 U.S. DOLLARS  
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L13 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2007 ACS on STN  
RN 95699-31-3 REGISTRY  
CN Urea, 1-(4-methylcyclohexyl)-3-[[p-[2-[3-(3-pyridyl)acrylamido]ethyl]phenylsulfonyl]- (7CI) (CA INDEX NAME)  
MF C24 H30 N4 O4 S  
LC STN Files: BEILSTEIN\*, CA, CAOLD, CAPLUS  
(\*File contains numerically searchable property data)  
DT.CA CAplus document type: Patent  
RL.P Roles from patents: NORL (No role in record)



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)  
1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

=> SET NOTICE LOGIN DISPLAY

NOTICE SET TO OFF FOR DISPLAY COMMAND  
SET COMMAND COMPLETED

=>

Updated Search